Welcome to STN International! Enter x:x

LOGINID: SSSPTA1623PAZ

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

NEWS 1 Web Page for STN Seminar Schedule - N. America

NEWS 2 AUG 10 Time limit for inactive STN sessions doubles to 40 minutes

NEWS 3 AUG 18 COMPENDEX indexing changed for the Corporate Source (CS) field

NEWS 4 AUG 24 ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced

NEWS 5 AUG 24 CA/CAplus enhanced with legal status information for U.S. patents

NEWS 6 SEP 09 50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY

NEWS 7 SEP 11 WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus

NEWS 8 OCT 21 Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded

NEWS 9 OCT 21 Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models

NEWS 10 OCT 27 Free display of legal status information in CA/CAplus, USPATFULL, and USPAT2 in the month of November.

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4, AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

NEWS HOURS STN Operating Hours Plus Help Desk Availability NEWS LOGIN Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 06:57:23 ON 29 OCT 2009

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.22 0.22

FULL ESTIMATED COST

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 OCT 2009 HIGHEST RN 1190482-45-1 DICTIONARY FILE UPDATES: 28 OCT 2009 HIGHEST RN 1190482-45-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when conducting ${\tt SmartSELECT}$ searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> logoff hold
COST IN U.S. DOLLARS

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
1.44
1.66

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 06:59:33 ON 29 OCT 2009

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1623PAZ

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * * * SESSION RESUMED IN FILE 'REGISTRY' AT 07:30:14 ON 29 OCT 2009 FILE 'REGISTRY' ENTERED AT 07:30:14 ON 29 OCT 2009 COPYRIGHT (C) 2009 American Chemical Society (ACS)

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
1.44 1.66

FULL ESTIMATED COST

=>

 $\label{thm:poly} \begin{tabular}{ll} $$ Uploading C:\Documents and Settings\PZucker\My Documents\Examination Auxillary files\10575122\10575122 elected specie.str $$$

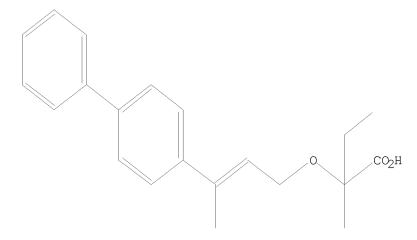
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13 14 15 16 17 18 19 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :
6-7 10-13 13-14 13-15 14-16 16-17 17-18 18-19 18-20 18-21 21-22
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
16-17 17-18
exact bonds :
6-7 10-13 13-14 13-15 14-16 18-19 18-20 18-21 21-22
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> search 11 exact full FULL SEARCH INITIATED 07:31:16 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED -0 TO ITERATE

0 ITERATIONS 100.0% PROCESSED 0 ANSWERS

SEARCH TIME: 00.00.01

0 SEA EXA FUL L1 L2

=> logoff hold

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 68.58 FULL ESTIMATED COST 68.36

SESSION WILL BE HELD FOR 120 MINUTES STN INTERNATIONAL SESSION SUSPENDED AT 07:35:41 ON 29 OCT 2009

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1623PAZ

PASSWORD:

=>

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * * SESSION RESUMED IN FILE 'REGISTRY' AT 07:43:33 ON 29 OCT 2009 FILE 'REGISTRY' ENTERED AT 07:43:33 ON 29 OCT 2009 COPYRIGHT (C) 2009 American Chemical Society (ACS)

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 68.36 68.58

FULL ESTIMATED COST

Uploading C:\Documents and Settings\PZucker\My Documents\Examination Auxillary $files \ 10575122 \ 10575122$ claim 1 generic.str

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chain nodes :
7  8  9  10  11  12  13  14  15  16  23
ring nodes :
1  2  3  4  5  6
chain bonds :
6-7  7-8  8-9  9-10  10-11  11-12  12-13  13-14  14-15  15-16  15-23
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
7-8  9-10  10-11  12-13  13-14  15-16  15-23
exact bonds :
6-7  8-9  11-12  14-15
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
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G1:0,S,N

G2:0,N

Match level :

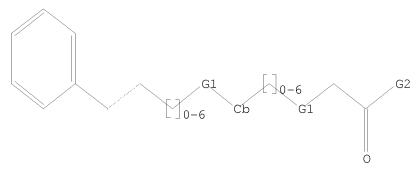
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 23:CLASS

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

L3 STR



G1 O,S,N G2 O,N

Structure attributes must be viewed using STN Express query preparation.

21 ANSWERS

=> search 13 sss sam
SAMPLE SEARCH INITIATED 07:44:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 218218 TO ITERATE

0.9% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH **INCOMPLETE**

PROJECTED ITERATIONS: 4336880 TO 4391840
PROJECTED ANSWERS: 42954 TO 48696

L4 21 SEA SSS SAM L3

=> d scan

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Thieno[2,3-c]pyridine-3-carboxylic acid,
2-[[2-[[3-[[2-(benzoylamino)-3-(2-ethoxyphenyl)-1-oxo-2-propen-1yl]amino]phenyl]thio]acetyl]amino]-4,5,6,7-tetrahydro-6-(phenylmethyl)-,
methyl ester

MF C42 H40 N4 O6 S2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzoic acid, 5-[[2-[[4-[[2-(benzoylamino)-1-oxo-3-(2,4,5-

trimethoxyphenyl)-2-propen-1-yl]amino]phenyl]thio]acetyl]amino]-2-hydroxy-

MF C34 H31 N3 O9 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C35 H35 N3 O5 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C33 H30 Br N3 O5 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzeneacetamide, α -[[3-[[2-(benzoylamino)-3-(4-methylphenyl)-1-oxo-

2-propen-1-yl]amino]phenyl]thio]-N-(4-iodophenyl)-

MF C37 H30 I N3 O3 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C35 H34 N4 O4 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C37 H30 N4 O4 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

MF C35 H29 C1 N2 O3 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C45 H39 N3 O4 S

$$\begin{array}{c|c} O & O & O \\ | O & NH-C-Ph \\ Ph_2N-C & | O & NH-C-Ph \\ Et-CH-S & NH-C-C-C-CH-CH-C-Ph \\ \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN L-Phenylalanine, N-[N-[N-[N2-[[3,5-bis[[N-[N-[N-(N2-benzoyl-L-arginyl)glycyl]-L- α -aspartyl]-L-phenylalanyl]amino]cyclohexyl]carbonyl]-L-arginyl]glycyl]-L- α -aspartyl]- (9CI)

SQL 13,9,4

MF C84 H109 N23 O22

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-B

PAGE 2-B

21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN L4

ΙN INDEX NAME NOT YET ASSIGNED

C33 H29 C12 N3 O5 S MF

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

L4

21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN Benzenepropanoic acid, $\beta\text{-}[[(1,1\text{-}dimethylethoxy)carbonyl]amino]\text{-}$ ΙN α -[[[(1S,3R,4R,5R)-1,3,4-tris(acetyloxy)-5-[[3-[3,4bis(acetyloxy)phenyl]-1-oxo-2-propen-1-yl]oxy]cyclohexyl]carbonyl]oxy]-, (2aR, 4S, 4aS, 6R, 9S, 11S, 12S, 12aR, 12bS) -12b-(acetyloxy) -12-(benzoyloxy)-2a, 3, 4, 4a, 5, 6, 9, 10, 11, 12, 12a, 12b-dodecahydro-4, 6, 11-trihydroxy-4a, 8, 13, 13tetramethy1-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, $(\alpha R, \beta S)$ -

C69 H79 N O27 MF

Absolute stereochemistry. Double bond geometry unknown.

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, N-[2-(2,5-dimethoxyphenyl)-1-[[[3-[[2-[[4-(4-fluorophenyl)-2-thiazolyl]amino]-1-methyl-2-oxoethyl]thio]phenyl]amino]carbonyl]ethenyl]-MF C36 H31 F N4 O5 S2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Glycine, N-[4-[[2-[4-(difluoromethoxy)-3-methoxyphenyl]ethyl]amino]-3-nitrobenzoyl]-

MF C19 H19 F2 N3 O7

$$\begin{array}{c|c} & \text{NO}_2 \\ & \text{NH-CH}_2\text{-CH}_2 \\ & \text{O} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C32 H26 C13 N3 O3 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Glycine, N-[4-[[2-(3-fluorophenyl)acetyl]amino]benzoyl]-

MF C17 H15 F N2 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Butanamide, N-(3,5-dichloropheny1)-2-[[3-[(1-oxo-3-pheny1-2-propen-1-y1)amino]pheny1]thio]-

MF C25 H22 C12 N2 O2 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 3-Thiophenecarboxylic acid, 2-[[2-[[3-[[2-(benzoylamino)-3-(2-chlorophenyl)-1-oxo-2-propen-1-yl]amino]phenyl]thio]-1-oxopropyl]amino]-4-(4-methylphenyl)-, ethyl ester

MF C39 H34 C1 N3 O5 S2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzeneacetamide, 4-chloro-N-[3-[[2-[(3-chloro-4-methylphenyl)amino]-1-methyl-2-oxoethyl]thio]phenyl]-

MF C24 H22 C12 N2 O2 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C37 H36 N4 O4 S2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

21 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN T.4

Benzoic acid, 4-[[2-[4-[2-(benzoylamino)-3-(2,5-dimethoxyphenyl)-1-oxo-2-ΙN propen-1-yl]amino]phenyl]thio]-2-phenylacetyl]amino]-, ethyl ester

C41 H37 N3 O7 S MF

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> search 13 sss full FULL SEARCH INITIATED 07:45:36 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 4372779 TO ITERATE

30.2% PROCESSED 1321092 ITERATIONS

1431 ANSWERS

43.0% PROCESSED 1879691 ITERATIONS

2025 ANSWERS 2046 ANSWERS

TOTAL

45.7% PROCESSED 2000000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.49

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

> BATCH **INCOMPLETE**

PROJECTED ITERATIONS: 4372779 TO 4372779 PROJECTED ANSWERS: 4273 TO 4673

L5 2046 SEA SSS FUL L3

=> save 15 pparawcmpds/a ANSWER SET L5 HAS BEEN SAVED AS 'PPARAWCMPDS/A'

=> file caplus

COST IN U.S. DOLLARS SINCE FILE

ENTRY SESSION 257.34

FULL ESTIMATED COST 257.12 FILE 'CAPLUS' ENTERED AT 07:47:28 ON 29 OCT 2009

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FILE COVERS 1907 - 29 Oct 2009 VOL 151 ISS 18
FILE LAST UPDATED: 28 Oct 2009 (20091028/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> 15

L6 90 L5

=> ppar

L7

14036 PPAR 1687 PPARS 14244 PPAR

(PPAR OR PPARS)

=> 16 and 17

L8 7 L6 AND L7

=> d 18 1-7 ti

- L8 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
- TI Preparation of isoindolinone derivatives as PPAR activators
- L8 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
- TI Preparation of aryl compounds as ligands for peroxisome proliferator-activated receptors
- L8 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
- TI Structure-based drug design of a novel family of chalcones as PPAR α agonists: virtual screening, synthesis, and biological activities in vitro
- L8 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
- ${\tt TI}$ Preparation of 1,3-diethynylbenzene derivatives as agonists of peroxisome proliferator-activated receptors
- L8 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
- TI Novel selective PPAR.delta. agonists: Optimization of activity by modification of alkynylallylic moiety
- L8 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
- TI Preparation of cyclic alkenyl compounds as PPAR.delta. activators for treating various disease including diabetes and obesity
- L8 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
- TI Preparation of alkynyl substituted phenoxyacetic acids as PPAR δ agonists

=> d 18 1-7 ti fbib abs

- L8 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
- TI Preparation of isoindolinone derivatives as PPAR activators
- AN 2009:710009 CAPLUS
- DN 151:33410
- TI Preparation of isoindolinone derivatives as PPAR activators
- IN Aotsuka, Tomoji; Kanazawa, Hashime; Kumazawa, Kentarou
- PA Aska Pharmaceutical Co., Ltd., Japan
- SO PCT Int. Appl., 377pp.
- CODEN: PIXXD2
- DT Patent
- LA Japanese
- FAN.CNT 1

	PAT	CENT 1	NO.			KIN	D	DATE			APPL	ICAT	ION I	. O <i>l</i>		D	ATE		
ΡI	WO	2009	0725	 81		A1	_	 2009	0611	,	——— WO 2	008-	JP72	 094		2	0081	204	
		W:	ΑE,	AG,	AL,	ΑM,	AO,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	
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										JP 2007-315021						A 20071205			

OS MARPAT 151:33410

GΙ

$$(R^{2})_{m}$$
 X^{1} $(R^{3}-X^{2}-A^{1}-X^{3}-R^{4}-Y^{1})_{n}$ I

The title compds., e.g. I [R1 = halo, (un)substituted alkyl, (un)substituted cycloalkyl, etc.; a represents an integer of 0 to 3; m represents an integer of 0 to 2; and n = 1; X1 = C, O, S, N, etc.; R2 = H, (un)substituted alkyl; R3, R4 = single bond, (un)substituted bivalent (un)saturated aliphatic hydrocarbon group; X2, X3 = single bond, O, S, etc.;

A1 =

single bond, (un)substituted phenylene; Y1 = H, halo, cyano, etc.], are prepared Thus, (4-ethoxycarbonylmethoxy-2-phenyl)isoindolin-1-one was prepared in a multistep process starting from 3-acetoxy-2-methylbenzoic acid. The PPAR α , PPAR γ , and

PPAR δ agonist activity of compds. of this invention was demonstrated. Pharmaceutical formulations are given.

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

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TI Preparation of aryl compounds as ligands for peroxisome proliferator-activated receptors
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AN 2008:674203 CAPLUS

DN 149:9759

TI Preparation of aryl compounds as ligands for peroxisome proliferator-activated receptors

IN Kang, Heonjoong; Chin, Jungwook; Lee, Jaehwan

PA Seoul National University Industry Foundation, S. Korea

SO PCT Int. Appl., 114pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

r Alv.		rent 1	NO.			KIN:		DATE						NO.			ATE	
ΡI	WO	2008	0663	 56				2008	0605								0071	201
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											WO 2						0071	
	KR	2008	0503	48		Α		2008	0605		KR 2	007-	1240	52		2	0071	201
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		R:	•	•	•	•	•	CZ,		•						•	•	•
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											WO 2							
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				-							KR 2							
											WO 2	007-	KR61	70	,	W 2	0071	201

$$R^2$$
 R^2
 R^3
 R^3

AB The title aryl compds. I [wherein A = S or Se; R1 = aryl; R2 = H, alkyl, or (un)substituted benzyl; R3 = H, alkyl, or halo; X = H or C(R4R5)CO2R6; R4 and R5 = independently H or alkyl; R6 = H, alkyl, alkenyl, alkali metal, or alkali earth metal; m = 1-4], hydrates, solvates, stereoisomers, or pharmaceutically acceptable salts thereof were prepared as activators for peroxisome proliferator-activated receptors (PPAR). For example, II was prepared in a multi-step synthesis. II showed highly selective activity with EC50 of 2.6 nM to PPAR.delta.. The compds. showed biol. activity with EC50 of 2-200 nM to PPAR 8. The compds. can be used for treating arteriosclerosis, hyperlipidemia, diabetes, obesity, dementia, Parkinson's disease, etc. Use the compds. for functional food adjuvants, functional beverages, food additives, and animal feeds were also claimed.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L8 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
- TI Structure-based drug design of a novel family of chalcones as PPAR α agonists: virtual screening, synthesis, and biological activities in vitro
- AN 2007:1456378 CAPLUS
- DN 148:158854
- TI Structure-based drug design of a novel family of chalcones as PPAR α agonists: virtual screening, synthesis, and biological activities in vitro
- AU Li, Xiang-hua; Zou, Han-jun; Wu, An-hui; Ye, Yang-liang; Shen, Jian-hua
- CS Drug Discovery and Design Center, State Key Laboratory of Drug Research, Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Shanghai, 201203, Peop. Rep. China
- SO Acta Pharmacologica Sinica (2007), 28(12), 2040-2052 CODEN: APSCG5; ISSN: 1671-4083
- PB Blackwell Publishing Asia Pty Ltd.
- DT Journal
- LA English
- OS CASREACT 148:158854
- AB Aim: To design and synthesize a novel class of peroxisome proliferator-activated receptors (PPAR) α agonists, which is obtained by the combination of the classical fibrate "head group", a linker with appropriate length and a chalcone. Methods: Thirty seven compds. were designed and identified employing the virtual screening approach. Six compds. were then selected for synthesis and bioassay according to the virtual screening results, structural similarity, and synthetic complexity. Results: Six new compds. (4b and 4d-h) were

synthesized and bioassayed. All were found to be potent PPAR α agonists, compound 4 h being the most prominent with a 50% effective concentration value of 0.06 $\mu mol/L$. Conclusion: This study provides a promising novel family of chalcones with a potential hypolipidemic effect.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L8 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
- TI Preparation of 1,3-diethynylbenzene derivatives as agonists of peroxisome proliferator-activated receptors
- AN 2007:1028544 CAPLUS
- DN 147:365259
- TI Preparation of 1,3-diethynylbenzene derivatives as agonists of peroxisome proliferator-activated receptors
- IN Sauerberg, Per
- PA Novo Nordisk A/S, Den.
- SO PCT Int. Appl., 69pp.
 - CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 1

	PAT	CENT 1	NO.			KINI		DATE			APPL	ICAT	ION 1	NO.		D	ATE	
PI		2007				A2 A3		2007 2007		,	WO 2	007-1	EP52	130		2	0070	307
		W:	CN, GE, KP, MW, RU, UA,	CO, GH, KR, MX, SC, UG,	CR, GM, KZ, MY, SD, US,	CU, GT, LA, MZ, SE, UZ,	AT, CZ, HN, LC, NA, SG, VC,	AU, DE, HR, LK, NG, SK, VN, CZ,	AZ, DK, HU, LR, NI, SL, ZA,	DM, ID, LS, NO, SM, ZM,	DZ, IL, LT, NZ, SV, ZW	EC, IN, LU, OM, SY,	EE, IS, LY, PG, TJ,	EG, JP, MA, PH, TM,	ES, KE, MD, PL, TN,	FI, KG, MG, PT, TR,	GB, KM, MK, RO, TT,	GD, KN, MN, RS, TZ,
			IS, BJ, GH, BY,	IT, CF, GM,	LT, CG, KE,	LU, CI, LS, MD,	LV, CM, MW, RU,	MC, GA, MZ, TJ,	MT, GN, NA, TM,	NL, GQ, SD, AP,	PL, GW, SL, EA, EP 2	PT, ML, SZ, EP, 006-	RO, MR, TZ, OA 1108	SE, NE, UG,	SI, SN, ZM,	SK, TD, ZW,	TR, TG, AM,	BF, BW, AZ,
	CA	2645	719			A1		2007	0913		EP 2	007-1 006-1 007-1	1108	87	i	A 2	0070 0060 0070	309
	EP	1999 R:	AT,	BE,	BG,	CH,	CY,	2008 CZ, LV,	DE,	DK, MT,	EE, NL, EP 2	,	FI, PT, 1108	FR, RO,	GB, SE,	GR, SI, A 2	SK, 0060	IE, TR 309
	JP	2009	5295	12		T		2009	0820		JP 2 EP 2	008-1 006-1	5577 1108	54 87	i	2 A 2	0070 0060 0070	307 309
	US	2009	0048	257		A1		2009	0219		US 2 EP 2	008-1 006-1	2822 1108	44 87		2 A 2	0080	909 309

AB The title compds. with general formula I [wherein X1 = (un)substituted aryl, heteroaryl, heterocycle, etc.; X2 = H, halo, (un)substituted aryl-alkynyl, heteroaryl-alkynyl, etc.; Ar = (un)substituted aryl; Y and Z = independently O or S; n = 1-3; R = H, alkyl, cycloalkyl, alkenyl, etc.] or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, mixture of stereoisomers, or polymorphs thereof were prepared as agonists of peroxisome proliferator-activated receptors (PPAR 8). For example, compound II was prepared in a multi-step synthesis. PPAR transient transactivation assay, based on transient transfection into human HEK293 cells of two plasmids encoding a chimeric test protein and a reporter protein resp., was performed to evaluate the agonistic activity of I towards PPAR.delta.. Formulations containing I as active ingredient was also disclosed.

L8 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

Ι

ΙI

TI Novel selective PPAR.delta. agonists: Optimization of activity by modification of alkynylallylic moiety

AN 2007:746419 CAPLUS

DN 147:343462

TI Novel selective PPAR.delta. agonists: Optimization of activity by modification of alkynylallylic moiety

AU Havranek, Miroslav; Sauerberg, Per; Mogensen, John P.; Kratina, Pavel; Jeppesen, Claus B.; Pettersson, Ingrid; Pihera, Pavel

CS RE&D VUFB, s.r.o., Prague, 180 66 9, Czech Rep.

SO Bioorganic & Medicinal Chemistry Letters (2007), 17(15), 4144-4149 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Ltd.

DT Journal

LA English

OS CASREACT 147:343462

GΙ

$$c\equiv c$$
 $c=cH$
 $c\equiv c$
 $c=cH$
 $c \equiv c$
 $c \equiv c$

AΒ Y-shaped mols. bearing alkynylallylic moieties were found to be potent and selective PPAR.delta. activators. The alkynylallylic moiety was synthesized from alkyn-1-ols by hydroalumination followed by a cross-coupling reaction. Series of active compds. were obtained by stepwise changing the structure of a known PPARpan agonist into Y-shaped compds. The most active and selective compound, I, had a PPAR δ potency of 0.13 μ M.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS) RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN L8

Preparation of cyclic alkenyl compounds as PPAR.delta. ΤI

activators for treating various disease including diabetes and obesity 2007:705845 CAPLUS

ΑN

147:118032 DN

Preparation of cyclic alkenyl compounds as PPAR.delta. ΤI

activators for treating various disease including diabetes and obesity

INSauerberg, Per; Pihera, Pavel; Polivka, Zdenek; Havranek, Miroslav; Pettersson, Ingrid; Mogensen, John Patrick

PΑ Novo Nordisk A/S, Den.

SO PCT Int. Appl., 216 pp.

CODEN: PIXXD2

DTPatent

LA English

FAN.CNT 1

1 1111	PATENT	KIN	D	DATE			APPL	ICAT	ION I	DATE								
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		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,	
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		GM,	KE,	LS,	MW,	MZ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,	
		KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑP,	EA,	EP,	OA							
										EP 2	005-	1127	58	1	A 2	0051	222	
										EP 2	006-	1156.	31		A 2	0060	619	
	AU 200	63270	03		A1		2007	0628		AU 2006-327003					20061221			

		EP	2005-112758 2006-115631	A A	20051222 20060619
CA 2631390	A1 2007		2006-EP70096 2006-2631390	W	20061221 20061221
CA 2031390	A1 2007		2005-2031390	А	20051221
			2005 112730	A	20060619
			2006-EP70096	W	
EP 1979311	A2 2008	-	2006-830789		20061221
			E, ES, FI, FR,	GB, G	
			L, PT, RO, SE,		
		EP	2005-112758	A	20051222
		EP	2006-115631	A	20060619
		WC	2006-EP70096	W	20061221
JP 2009520769	T 2009		2008-546470		20061221
			2005-112758	A	20051222
			2006-115631	А	20060619
			2006-EP70096	W	20061221
MX 2008008098	A 2008		2008-8098		20080620
			2005-112758	A	20051222
			2006-115631	A	20060619
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CN 101356155	A 2009		2006-80048573	_	20080620
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			2006-115631	A	20060619
TM 2000 DM 0 F 41 0	3 0000		2006-EP70096	W	20061221
IN 2008DN05418	A 2008		2008-DN5418 2005-112758	70	20080623
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KR 2008078707	A 2008		2008-05/0096	VV	20081221
KR 2006076707	A 2000		2005-710268	А	20050704
			2005-112738	A	20051222
			2006 113031 2006-EP70096	W	20061221
US 20090093484	A1 2009		2008-97564	VV	20081023
35 20000000	111 2009		2005-112758	А	
			2005 112730	A	
			2006-EP70096	W	20061221
CASREACT 147:118032	; MARPAT 147			.,	

GI

OS

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel compds. of the general formula I (wherein the "large X" is a double bond, X1 is heterocyclyl, aryl, heteroaryl, etc.; X2 is (un)substituted arylene or heteroarylene; X3 is (un)substituted aryl or heteroaryl; Ar is (un)substituted arylene; Y1 is O or S; and Y2 is O, S or CH2; and Z is -(CH2)n- wherein n = 1-3; and R1 = H, halo, etc.) the use of these compds. as pharmaceuticals, pharmaceutical compns. comprising the compds. and methods of treatment employing these compds. and compns. are claimed. The present compds. are activators of PPAR.delta. and should be useful for treating conditions mediated by the same, such as diabetes, impaired glucose tolerance, insulin resistance, cardiovascular disease, etc.; no biol. data is given in the patent. Example compound II was prepared by reacting Me (Z)-[4-[3-(4-iodophenyl)-3-(4-trifluoromethylphenyl)allyloxy]-2-methylphenoxy]acetate with 2-ethynylpyridine and converting the ester obtained to the acid.

L8 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of alkynyl substituted phenoxyacetic acids as PPAR δ agonists

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2005:1193203 CAPLUS
ΑN
DN
      143:459868
ΤI
      Preparation of alkynyl substituted phenoxyacetic acids as PPAR
      \delta agonists
      Havranek, Miroslav; Sauerberg, Per; Pettersson, Ingrid
IN
PA
      Novo Nordisk A/S, Den.
SO
      PCT Int. Appl., 83 pp.
      CODEN: PIXXD2
DT
      Patent
      English
LA
FAN.CNT 1
                             KIND DATE
                                                     APPLICATION NO.
      PATENT NO.
                                                     ______
      WO 2005105725
                              A1 20051110 WO 2005-EP52010
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                NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,
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                ZM, ZW
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                                      20070124
      EP 1745002
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                                                    EP 2005-747382
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      WO 2005-EP52010
      W 20050503

      US 2007-579303
      20071010

      DK 2004-716
      A 20040505

      WO 2005-EP52010
      W 20050503

      US 20080114036
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                                        20080515
OS
      CASREACT 143:459868; MARPAT 143:459868
GΙ
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$$x^{1}$$
 C
 x^{3}
 x^{2}
 y^{1}
 Ar
 y^{2}
 z
 z
 z

AB The title compds. I [X1 = aryl, heteroaryl, alkyl, etc.; X2 = aryl, heteroaryl, H, etc.; X3 = arylene, heteroarylene; Ar = arylene; Y1 = O, S; Y2 = O, S; Z = (CH2)n; n = 1-3; R1 = H, halo, alkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.] which are useful in the treatment and/or prevention of conditions mediated by Peroxisome Proliferator-Activated Receptors (PPAR), in particular the PPAR.delta. subtype, namely, type 1 diabetes, type 2 diabetes, dyslipidemia, syndrome X (including the metabolic syndrome, i.e. impaired glucose tolerance, insulin resistance, hypertriglyceridemia and/or obesity), cardiovascular diseases (including atherosclerosis) and hypercholesterolemia (no data), were prepared and formulated. E.g., a multi-step synthesis of II, starting from 4-bromobenzaldehyde, was given.

ΙI

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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chain nodes :

13 14 15 16 17 18 19 20 21 22 29

ring nodes :

 $1 \quad 2 \quad 3 \quad 4 \quad 5 \quad 6 \quad 7 \quad 8 \quad 9 \quad 10 \quad 11 \quad 12 \quad 23 \quad 24 \quad 25 \quad 26 \quad 27 \quad 28$

chain bonds :

6-7 10-13 13-14 13-15 14-16 16-17 17-23 18-21 18-19 18-20 18-29 21-22 26-29

ring bonds :

 $1 - 2 \quad 1 - 6 \quad 2 - 3 \quad 3 - 4 \quad 4 - 5 \quad 5 - 6 \quad 7 - 8 \quad 7 - 12 \quad 8 - 9 \quad 9 - 10 \quad 10 - 11 \quad 11 - 12 \quad 23 - 24 \quad 23 - 28$

24-25 25-26 26-27 27-28

exact/norm bonds :

16-17 17-23 18-29 26-29

exact bonds :

6-7 10-13 13-14 13-15 14-16 18-21 18-19 18-20 21-22

normalized bonds :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:CLASS

L1 STRUCTURE UPLOADED

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L2 72 DL1

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L1 HAS NO ANSWERS

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Structure attributes must be viewed using STN Express query preparation.

=> search 11 sss sam

SAMPLE SEARCH INITIATED 06:08:20 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 4 TO 200 PROJECTED ANSWERS: 0 TO 0

=> search l1 exact full

FULL SEARCH INITIATED 06:08:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 42 TO ITERATE

100.0% PROCESSED 42 ITERATIONS 3 ANSWERS

SEARCH TIME: 00.00.01

L4 3 SEA EXA FUL L1

=> d scan

L4 3 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[(3-[1,1'-biphenyl]-4-yl-2-buten-1-yl)oxy]phenoxy]-2-methyl-

MF C27 H28 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L4 3 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[(2E)-3-[1,1'-biphenyl]-4-yl-2-buten-1-yl]oxy]phenoxy]-2-methyl-, (2S)-

MF C27 H28 O4

CI COM

Absolute stereochemistry. Rotation (-). Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 3 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[[(2E)-3-[1,1'-biphenyl]-4-yl-2-buten-1-yl]oxy]phenoxy]-2-methyl-, (2R)-

MF C27 H28 O4

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

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REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

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http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> 14 L5 1 L4

=> d 15 1 ti fbib abs it

- L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of phenoxyalkanoates as PPAR- $\!\alpha$ and PPAR- $\!\gamma$ agonists and inhibitors of HMG CoA reductase
- AN 2005:395261 CAPLUS
- DN 142:446999
- TI Preparation of phenoxyalkanoates as PPAR- α and PPAR- γ agonists and inhibitors of HMG CoA reductase
- IN Gurram, Ranga Madhavan; Bhuniya, Debnath; Das, Saibal Kumar; Chakrabarti, Ranjan; Iqbal, Javed; Sharma, Sudhir Kumar
- PA Reddy's Laboratories Ltd., India
- SO PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| AIV. | | ENT NO. | KIND | DATE | APPLICATION NO. | DATE | | | | |
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| | IN | 2002MA00792 | А | 20050304 | IN 2002-MA792 | 20031028
20021028
A 20031028 | | | | |
| | AU | 2004283147 | A1 | 20050506 | AU 2004-283147 | 20040129
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W 20040129 | | | | |
| | CA | 2538630 | A1 | 20050506 | CA 2004-2538630
WO 2003-IB4741
WO 2004-IB208 | 20040129
A 20031028
W 20040129 | | | | |
| | EP | | , DE, I | | EP 2004-706247 GB, GR, IT, LI, LU, CY, AL, TR, BG, CZ, WO 2003-IB4741 WO 2004-IB208 | 20040129
NL, SE, MC, PT,
EE, HU, SK | | | | |
| | BR | 2004014554 | А | 20061107 | BR 2004-14554 | 20040129
20040129
A 20031028
W 20040129 | | | | |
| | CN | 1867546 | А | 20061122 | CN 2004-80030239
WO 2003-IB4741 | 20040129
A 20031028 | | | | |
| | JP | 2007509921 | Т | 20070419 | WO 2004-IB208
JP 2006-537450
WO 2003-IB4741 | W 20040129
20040129
A 20031028 | | | | |
| | MX | 2006003019 | А | 20060623 | WO 2004-IB208
MX 2006-3019
WO 2003-IB4741 | W 20040129
20060316
A 20031028 | | | | |
| | NO | 2006001310 | А | 20060728 | WO 2004-IB208
NO 2006-1310
WO 2003-IB4741 | W 20040129
20060323
A 20031028 | | | | |
| | ZA | 2006002491 | А | 20080528 | WO 2004-IB208
ZA 2006-2491
WO 2003-IB4741 | W 20040129
20060327
A 20031028 | | | | |
| | US | 20070043035 | A1 | 20070222 | WO 2003-1B4741
US 2006-575122
WO 2003-1B4741 | 20060407
A 20031028 | | | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 142:446999; MARPAT 142:446999

$$R^{1}$$

$$R^{4}$$

$$X-Ar$$

$$Z$$

$$YR^{7}$$

$$R^{2}$$

$$R^{5}$$

$$R^{6}$$

AΒ Title compds. I [Ar = (un)substituted single or fused-aryl, -heteroaryl, -heterocycle; R1 and R2 independently = H, halo, nitro, etc.; R3 and R4 independently = H, (un)substituted-alkyl, -cycloalkyl, etc.; X = O, S, NR; R = H, (un)substituted-aryl, -alkanoyl, etc.; Z = O, S, NR; R5, R6, and R7 independently = H, OH, (un) substituted alkoxy, etc.; R5 and R6 together = 5- or 6-membered ring containing one or two hetero atoms selected from O, S, or N; Y = O, NR11; R11 = H, (un)substituted-heteroaryl, -aroyl, etc.; R7 and R11 together = 5- or 6-membered ring containing one or two hetero atoms selected from O, S, or N] and their pharmaceutically acceptable salts are prepared and disclosed as useful agonists of PPAR-lpha and PPAR- γ and inhibitors or HMG CoA reductase. Thus, e.g., II was prepared by Wittig-Horner reaction of 4-acetyl biphenyl with tri-Et phosphonoacetate followed by reduction and Mitsunobu reaction with Et 2-(4-hydroxyphenoxy)-2-methylpropanoate. The activity of I was evaluated in vivo utilizing hypercholesterolemic rat models and it was revealed that a selected compound of the invention displayed a cholesterol lowering effect of 60%, a triglyceride lowering effect of 52%, as well as an increase in HDL of 70%. I as agonists of PPAR- α and PPAR- γ should prove useful in the treatment of diseases such as diabetes and dyslipidemia. Pharmaceutical compns. comprising I are disclosed.

IT Drug delivery systems

(capsules; preparation of phenoxyalkanoates as PPAR- α and PPAR- γ agonists and inhibitors of HMG CoA reductase)

IT Drug delivery systems

(powders; preparation of phenoxyalkanoates as PPAR- α and PPAR- γ agonists and inhibitors of HMG CoA reductase)

IT Anticholesteremic agents Antidiabetic agents Crystal structure

```
Molecular structure
     Peroxisome proliferators
     Dyslipidemia
     RL: BIOL (Biological study)
        (preparation of phenoxyalkanoates as PPAR-\alpha and PPAR-\gamma agonists
        and inhibitors of HMG CoA reductase)
ΙT
     Drug delivery systems
        (solns.; preparation of phenoxyalkanoates as PPAR-\alpha and PPAR-\gamma
        agonists and inhibitors of HMG CoA reductase)
ΙT
     Drug delivery systems
        (suspensions; preparation of phenoxyalkanoates as PPAR-lpha and
        \mbox{\sc PPAR-}\gamma agonists and inhibitors of HMG CoA reductase)
ΙT
     Drug delivery systems
        (syrups; preparation of phenoxyalkanoates as PPAR-lpha and PPAR-\gamma
        agonists and inhibitors of HMG CoA reductase)
ΙT
     Drug delivery systems
        (tablets; preparation of phenoxyalkanoates as PPAR-lpha and PPAR-\gamma
        agonists and inhibitors of HMG CoA reductase)
ΤT
     Peroxisome proliferator-activated receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (lpha; preparation of phenoxyalkanoates as PPAR-lpha and PPAR-\gamma
        agonists and inhibitors of HMG CoA reductase)
ΙT
     Peroxisome proliferator-activated receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (\gamma; preparation of phenoxyalkanoates as PPAR-\alpha and PPAR-\gamma
        agonists and inhibitors of HMG CoA reductase)
ΙT
     851297-00-2P
     RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP
     (Preparation); RACT (Reactant or reagent)
        (crystal structure; preparation of phenoxyalkanoates as PPAR-lpha and
        PPAR-\gamma agonists and inhibitors of HMG CoA reductase)
TТ
     9028-35-7, HMG CoA reductase
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (preparation of phenoxyalkanoates as PPAR-\alpha and PPAR-\gamma agonists
        and inhibitors of HMG CoA reductase)
ΙT
     851296-37-2P
                       851296-38-3P
     RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN
     (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
     PREP (Preparation); USES (Uses)
        (preparation of phenoxyalkanoates as PPAR-\alpha and PPAR-\gamma agonists
        and inhibitors of HMG CoA reductase)
                   851295-84-6P 851295-85-7P
ΤТ
     851295-83-5P
                                                    851295-86-8P
                                                                   851295-87-9P
                                                  851295-91-5P
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                   851296-11-2P 851296-12-3P
     851296-10-1P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (preparation of phenoxyalkanoates as PPAR-lpha and PPAR-\gamma agonists
        and inhibitors of HMG CoA reductase)
     851296-13-4P
                    851296-14-5P
                                                    851296-16-7P
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ΤТ
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     851296-49-6P 851296-50-9P
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                                                                   851296-58-7P
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Diabetes mellitus

Human

```
851296-59-8P 851296-60-1P 851296-61-2P 851296-62-3P 851296-63-4P
    851296-64-5P 851296-65-6P 851296-66-7P 851296-67-8P 851296-68-9P
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    851296-95-2P 851296-96-3P 851296-97-4P 851296-98-5P 851297-44-4P
    851297-46-6P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of phenoxyalkanoates as PPAR-lpha and PPAR-\gamma agonists
        and inhibitors of HMG CoA reductase)
    92-91-1, 4-Acetyl biphenyl 402-43-7
                                            460-00-4, 1-Bromo-4-fluorobenzene
    867-13-0, Triethyl phosphonoacetate 19752-55-7 20989-17-7 42806-90-6
    56613-80-0 87199-17-5 149104-90-5, 4-Acetylphenylboronic acid
    471907-19-4
                 672931-60-1
    RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of phenoxyalkanoates as PPAR-lpha and PPAR-\gamma agonists
        and inhibitors of HMG CoA reductase)
                                           610781-46-9P 851296-99-6P
    720-74-1P 52506-53-3P
                             58038-55-4P
    851297-01-3P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of phenoxyalkanoates as PPAR-\alpha and PPAR-\gamma agonists
        and inhibitors of HMG CoA reductase)
    9004-10-8, Insulin, biological studies
    RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (resistance; preparation of phenoxyalkanoates as PPAR-lpha and
       PPAR-\gamma agonists and inhibitors of HMG CoA reductase)
    50-99-7, D-Glucose, biological studies
    RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (tolerance impaired; preparation of phenoxyalkanoates as PPAR-lpha and
       PPAR-\gamma agonists and inhibitors of HMG CoA reductase)
OSC.G
       1
             THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 2
             THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
=> file req
COST IN U.S. DOLLARS
                                                SINCE FILE
                                                                TOTAL
                                                     ENTRY
                                                              SESSION
FULL ESTIMATED COST
                                                      6.49
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
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                                                              SESSION
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CA SUBSCRIBER PRICE
                                                      -0.85
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ΙT

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ΤТ

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STRUCTURE FILE UPDATES: 17 JAN 2010 HIGHEST RN 1202459-43-5 DICTIONARY FILE UPDATES: 17 JAN 2010 HIGHEST RN 1202459-43-5

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Documents and Settings\PZucker\My Documents\Examination Auxillary files\10575122\10575122 1st stab.str

chain nodes :

13 14 15 16 17 18 19 26

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 20 21 22 23 24 25

chain bonds :

6-7 10-13 13-14 13-15 14-16 16-17 17-20 18-26 18-19 23-26

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 20-21 20-25

21-22 22-23 23-24 24-25

exact/norm bonds :

16-17 17-20 18-26 23-26

exact bonds :

6-7 10-13 13-14 13-15 14-16 18-19

normalized bonds :

Match level:

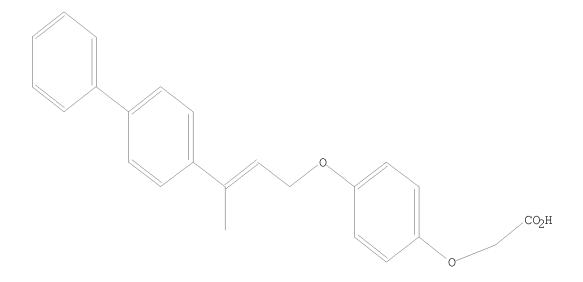
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:CLASS

L6 STRUCTURE UPLOADED

=> d 16

L6 HAS NO ANSWERS

L6 STR



Structure attributes must be viewed using STN Express query preparation.

=> search 16 sss sam

SAMPLE SEARCH INITIATED 06:13:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 37 TO ITERATE

100.0% PROCESSED 37 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 376 TO 1104 PROJECTED ANSWERS: 1 TO 80

L7 1 SEA SSS SAM L6

=> d scan

L7 1 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-methyl-2-[4-[[3-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-buten-1-yl]oxy]phenoxy]-

MF C27 H25 F3 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> file caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

0.98 79.16

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE

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FILE COVERS 1907 - 19 Jan 2010 VOL 152 ISS 4 FILE LAST UPDATED: 18 Jan 2010 (20100118/ED) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> 17

L8 1 L7

=> d 18

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2010 ACS on STN L8

2005:395261 CAPLUS AN

142:446999 DN

TIPreparation of phenoxyalkanoates as PPAR- α and PPAR- γ agonists and inhibitors of HMG CoA reductase

ΙN Gurram, Ranga Madhavan; Bhuniya, Debnath; Das, Saibal Kumar; Chakrabarti, Ranjan; Iqbal, Javed; Sharma, Sudhir Kumar

Reddy's Laboratories Ltd., India PA

PCT Int. Appl., 115 pp. CODEN: PIXXD2

Patent DT

LA English

FAN.CNT 1

KIND DATE APPLICATION NO. PATENT NO. DATE ______ ____ _____

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WO 2005040104 A1 20050506 WO 2004-IB208
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             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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                              20050304 IN 2002-MA792 20021028
     AU 2004283147
                         A1
                               20050506 AU 2004-283147
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                              20050506 CA 2004-2538630
20060712 EP 2004-706247
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                         A1
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     EP 1678128
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     BR 2004014554 A
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20070419 JP 2006-537450
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     JP 2007509921
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                        A 20080528
A1 20070222
A 20031028
W 20040129
     ZA 2006002491
                                                                   20060327
     US 20070043035
                                            US 2006-575122
                                                                   20060407
PRAI WO 2003-IB4741
     WO 2004-IB208
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 142:446999; MARPAT 142:446999
OSC.G 1
           THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 2
              THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
=> fil reg
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PΤ

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=> search 16 sss full

FULL SEARCH INITIATED 06:15:03 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 739 TO ITERATE

100.0% PROCESSED 739 ITERATIONS

18 ANSWERS

SEARCH TIME: 00.00.01

L9 18 SEA SSS FUL L6

=> d scan

L9 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-[4-[[3-(3',5'-dichloro[1,1'-biphenyl]-4-yl)-2-buten-1-yl]oxy]phenoxy]-2-methyl-

MF C26 H24 C12 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):20

L9 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-methyl-2-[4-[[3-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-buten-1-yl]oxy]phenoxy]-

MF C27 H25 F3 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[(3-[1,1'-biphenyl]-4-yl-2-buten-1-yl)oxy]phenoxy]-3-methyl-

$$\begin{array}{c} \text{CO}_2\text{H} \\ \text{Ph} \\ \text{Me} \\ \text{C} \\ \text{CH-CH}_2\text{-O} \end{array}$$

L9 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[(2E)-3-[1,1'-biphenyl]-4-yl-2-buten-1-yl]oxy]phenoxy]-2-methyl-, (2S)-

MF C27 H28 O4

CI COM

Absolute stereochemistry. Rotation (-). Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[(2E)-3-[1,1'-biphenyl]-4-yl-2-buten-1-yl]oxy]phenoxy]-2-methyl-, (2R)-

MF C27 H28 O4

CI COM

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

IN Butanoic acid, 2-[4-[[3-(3',5'-dichloro[1,1'-biphenyl]-4-yl)-2-buten-1yl]oxy]phenoxy]-2-methyl-

MF C27 H26 C12 O4

$$C1$$
 Me
 $C-Et$
 CO_2H

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-methyl-2-[4-[[3-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-buten-1-yl]oxy]phenoxy]-

MF C28 H27 F3 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[[3-(4'-fluoro[1,1'-biphenyl]-4-yl)-2-buten-1-yl]oxy]phenoxy]-2-methyl-, (2S)-

MF C27 H27 F O4

Absolute stereochemistry.

Double bond geometry unknown.

L9 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-methyl-2-[4-[[3-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-buten-1-yl]oxy]phenoxy]-, (2S)-

MF C28 H27 F3 O4

Absolute stereochemistry.

Double bond geometry unknown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[[3-(4'-fluoro[1,1'-biphenyl]-4-yl)-2-buten-1-yl]oxy]phenoxy]-2-methyl-, (2R)-

MF C27 H27 F O4

Absolute stereochemistry. Double bond geometry unknown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-methyl-2-[4-[[3-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-buten-1-yl]oxy]phenoxy]-, (2R)-

MF C28 H27 F3 O4

Absolute stereochemistry. Double bond geometry unknown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, $2-[4-[[(2E)-3-[1,1'-bipheny1]-4-y1-2-buteny1]oxy]phenoxy]-2-methyl-, (2R)-, compd. with (<math>\beta$ R)- β -aminobenzeneethanol (1:1) (9CI)

MF C27 H28 O4 . C8 H11 N O

CM 1

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

CM 2

Absolute stereochemistry. Rotation (-).

L9 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, $2-[4-[[(2E)-3-[1,1'-bipheny1]-4-y1-2-buteny1]oxy]phenoxy]-2-methyl-, (2S)-, compd. with (<math>\beta$ S)- β -aminobenzeneethanol (1:1) (9CI)

MF C27 H28 O4 . C8 H11 N O

CM 1

Absolute stereochemistry. Rotation (-). Double bond geometry as shown.

CM 2

Absolute stereochemistry. Rotation (+).

L9 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-[4-[(2Z)-3-[1,1'-biphenyl]-4-yl-4-methyl-2-penten-1-yl]oxy]phenoxy]-2-methyl-

MF C28 H30 O4

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-[4-[(3-[1,1'-biphenyl]-4-yl-2-buten-1-yl)oxy]phenoxy]-2-methyl-

MF C26 H26 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-[4-[3-(4'-fluoro[1,1'-biphenyl]-4-yl)-2-buten-1-yl]oxy]phenoxy]-2-methyl-

MF C26 H25 F O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[[3-(4'-fluoro[1,1'-biphenyl]-4-yl)-2-buten-1-yl]oxy]phenoxy]-2-methyl-

MF C27 H27 F O4

$$\begin{array}{c} \text{Me} \\ \text{O-C-Et} \\ \text{C} \\ \text{CH-CH}_2 \\ \text{O} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[(3-[1,1'-biphenyl]-4-yl-2-buten-1-yl)oxy]phenoxy]-2-methyl-

MF C27 H28 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

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FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 192.03 272.99

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FILE COVERS 1907 - 19 Jan 2010 VOL 152 ISS 4
FILE LAST UPDATED: 18 Jan 2010 (20100118/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

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http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> 19

L10 1 L9

=> d 110

L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2005:395261 CAPLUS

DN 142:446999

TI Preparation of phenoxyalkanoates as PPAR- $\!\alpha$ and PPAR- $\!\gamma$ agonists and inhibitors of HMG CoA reductase

IN Gurram, Ranga Madhavan; Bhuniya, Debnath; Das, Saibal Kumar; Chakrabarti, Ranjan; Iqbal, Javed; Sharma, Sudhir Kumar

PA Reddy's Laboratories Ltd., India

SO PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
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                 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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                 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
BR 2004014554 A 20061107 BR 2004-14554 20040129
CN 1867546 A 20061122 CN 2004-80030239 20040129
JP 2007509921 T 20070419 JP 2006-537450 20040129
MX 2006003019 A 20060623 MX 2006-3019 20060316
NO 2006001310 A 20060728 NO 2006-1310 20060323
ZA 2006002491 A 20080528 ZA 2006-2491 20060327
US 20070043035 A1 20070222 US 2006-575122 20060407
PRAI WO 2003-IB4741 A 20031028
WO 2004-IB208 W 20040129
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 142:446999; MARPAT 142:446999
                  THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
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ENTRY | TOTAL
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| CA SUBSCRIBER PRICE | 0.00 | -0.85 |

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STRUCTURE FILE UPDATES: 17 JAN 2010 HIGHEST RN 1202459-43-5 DICTIONARY FILE UPDATES: 17 JAN 2010 HIGHEST RN 1202459-43-5

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

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=>

Uploading C:\Documents and Settings\PZucker\My Documents\Examination Auxillary files\10575122\10575122 broader claim 1 generic.str

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7  8  9  10  11  12  13  14  15  16  23
ring nodes :
1  2  3  4  5  6
chain bonds :
6-7  7-8  8-9  9-10  10-11  11-12  12-13  13-14  14-15  15-16  15-23
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
7-8  9-10  10-11  11-12  12-13  13-14  15-16  15-23
exact bonds :
6-7  8-9  14-15
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
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G1:0,S,N

G2:0,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 23:CLASS

L11 STRUCTURE UPLOADED

=> d 111 L11 HAS NO ANSWERS L11 STR

$$\begin{array}{c|c}
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O-6 \\
Cy \\
G1
\end{array}$$

G1 O, S, N G2 O, N

Structure attributes must be viewed using STN Express query preparation.

16 ANSWERS

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0.9% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

ONLINE **INCOMPLETE** FULL FILE PROJECTIONS: **INCOMPLETE** BATCH

PROJECTED ITERATIONS: 4434001 TO 4489559 PROJECTED ANSWERS: 33160 TO 38228

L12 16 SEA SSS SAM L11

=> d scan

L12 16 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

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SQL 13,9,4

C84 H109 N23 O22 MF

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-B

PAGE 2-B

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):26

L12 16 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
Benzenepropanoic acid, β -[[(1,1-dimethylethoxy)carbonyl]amino]- α -[[(1S,3R,4R,5R)-1,3,4-tris(acetyloxy)-5-[[3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propen-1-yl]oxy]cyclohexyl]carbonyl]oxy]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)- 2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,6,11-trihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)-
MF C69 H79 N O27

Absolute stereochemistry. Double bond geometry unknown.

PAGE 1-B

L12 16 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Benzamide, N-[2-(3-bromophenyl)-1-[[[3-[[2-(1H-indol-6-ylamino)-2-oxoethyl]thio]phenyl]amino]carbonyl]ethenyl]-

L12 16 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN IN INDEX NAME NOT YET ASSIGNED MF C29 H25 Br N4 O3 S2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 16 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN IN INDEX NAME NOT YET ASSIGNED MF C38 H38 N4 O7 S2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L12 16 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN IN Benzeneacetamide, α -[[3-[[2-(benzoylamino)-3-[4-(dimethylamino)phenyl]-1-oxo-2-propen-1-yl]amino]phenyl]thio]-N-4-pyridinyl-
- MF C37 H33 N5 O3 S

L12 16 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Benzamide, N-[2-(2,6-dichlorophenyl)-1-[[[3-[[2-[[4-(4-methoxyphenyl)-2-thiazolyl]amino]-2-oxoethyl]thio]phenyl]amino]carbonyl]ethenyl]-

MF C34 H26 C12 N4 O4 S2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 16 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C33 H31 N3 O4 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 16 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Benzeneacetamide, 4-chloro-N-[3-[[1-[[[3-cyano-4-(4-methylphenyl)-2-thienyl]amino]carbonyl]propyl]thio]phenyl]-

MF C30 H26 C1 N3 O2 S2

L12 16 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Benzeneacetamide, N-[3-[[1-[[[4-

(aminosulfonyl)phenyl]amino]carbonyl]propyl]thio]phenyl]-4-chloro-

MF C24 H24 C1 N3 O4 S2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 16 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C37 H36 N4 O7 S2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 16 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C32 H26 C13 N3 O4 S

L12 16 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Benzoic acid, 4-[[2-[[4-[[2-(4-chlorophenyl)acetyl]amino]phenyl]thio]-2-phenylacetyl]amino]-, butyl ester

MF C33 H31 C1 N2 O4 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 16 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN L-Glutamic acid, N-[3-[4-(methoxycarbonyl)-4-[(1-oxo-3-phenylpropyl)amino]-1-piperidinyl]-1-oxo-2-phenylpropyl]-, 1-(phenylmethyl) ester

MF C37 H43 N3 O8

CI COM

Absolute stereochemistry.

L12 16 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Benzeneacetamide, N-[5-[[2-[[2-chloro-5-(trifluoromethyl)phenyl]amino]-2-oxoethyl]thio]-1,3,4-thiadiazol-2-yl]-4-methoxy-

MF C20 H16 C1 F3 N4 O3 S2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 16 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN 2-Propenamide, N-[4-[(2-amino-2-oxoethyl)amino]-1-[2-(2-fluorophonyl)athyll-1, 2, 3, 4-totrahydro-3-mothoyy-2-oxo-6-quinolinyll-

fluorophenyl)ethyl]-1,2,3,4-tetrahydro-3-methoxy-2-oxo-6-quinolinyl]-2-methyl-3-phenyl-

MF C30 H31 F N4 O4

ALL ANSWERS HAVE BEEN SCANNED

=> search 111 sss full FULL SEARCH INITIATED 06:49:25 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 4468242 TO ITERATE

| 29.4% | PROCESSED | 1315888 | ITERATIONS | 2754 | ANSWERS |
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| 41.8% | PROCESSED | 1868154 | ITERATIONS | 3336 | ANSWERS |
| 44.4% | PROCESSED | 1984597 | ITERATIONS | 3363 | ANSWERS |
| | PROCESSED
LETE SEARCH | | ITERATIONS
LIMIT EXCEEDED) | 3366 | ANSWERS |

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**

PROJECTED ITERATIONS: 4468242 TO 4468242 PROJECTED ANSWERS: 7260 TO 7780

L13 3366 SEA SSS FUL L11

SEARCH TIME: 00.00.53

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=> file caplus COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 194.97 470.26 TOTAL DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -0.85

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FILE COVERS 1907 - 19 Jan 2010 VOL 152 ISS 4
FILE LAST UPDATED: 18 Jan 2010 (20100118/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC)

reclassification data for the third quarter of 2009.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> diabetes

L14 171385 DIABETES

=> 113

L15 247 L13

=> 114 and 115

L16 28 L14 AND L15

=> d 116 18-28 ti

- L16 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of aryl compounds as ligands for peroxisome proliferator-activated receptors
- L16 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Design and Synthesis of Conformationally Constrained Glucagon-Like Peptide-1 Derivatives with Increased Plasma Stability and Prolonged in Vivo Activity
- L16 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of substituted aniline derivatives as antifungal agents
- L16 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of amino(aroyl or heteroaroyl)pyridinones, particularly amino acid derivatives of pyridin-2-ones, as inhibitors of p38 MAP kinase useful for treating inflammatory and autoimmune diseases
- L16 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
- TI GLP-1 analogsmetabolic disorders and increasing for treating metabolic disorders and increasing insulin secretion
- L16 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Synthesis of phenyloxy isobutyric acid derivatives and their antidiabetic activity in vitro
- L16 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of cyclic alkenyl compounds as PPAR δ activators for treating various disease including diabetes and obesity
- L16 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
- TI MAO-B inhibitors useful for treating obesity
- L16 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of amino acid aryl or heteroaryl derivatives as glycogen phosphorylase inhibitors
- L16 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of alkynyl substituted phenoxyacetic acids as $\text{PPAR}\delta$ agonists
- L16 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of N-bicyclyl-3-[[(hetero)arylsulfonyl]amino]-3-

(hetero)arylpropionamides as bradykinin receptor modulators for treatment of pain, inflammation, and other conditions

=> d 116 23 , 24 ti fbib abs

- L16 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Synthesis of phenyloxy isobutyric acid derivatives and their antidiabetic activity in vitro
- AN 2007:723007 CAPLUS
- DN 148:205299
- TI Synthesis of phenyloxy isobutyric acid derivatives and their antidiabetic activity in vitro
- AU Zeng, Qingle; Wang, Heqing; Luo, Huan; Gao, Xiaoping; Liu, Zhongrong; Li, Bogang; Wang, Fengpeng; Zhao, Yufen
- CS Department of Chemistry, Xiamen University, Xiamen, 361005, Peop. Rep. China
- SO Yaoxue Xuebao (2006), 41(2), 108-114 CODEN: YHHPAL; ISSN: 0513-4870
- PB Yaoxue Xuebao Bianjibu
- DT Journal
- LA English
- OS CASREACT 148:205299
- AB The objective was to design and synthesize new phenyloxy isobutyric acid analogs as antidiabetic compds. Eight new target compds. were synthesized by combination of lipophilic moieties and acidic moiety with nucleophilic replacement or Mitsunobu condensation. The eight compds. were confirmed by 1H NMR, 13CN MR, IR and MS. In vitro insulin-sensitizing activity (3T3-L1 adipocyte) demonstrated, that the cultured glucose concentration of up-clear solution detected with GOD-POD assay were 5.942, 6.339, 6.226 and 6.512 mmol·L-1, resp., when rosiglitazone, pioglitazone, compds. A and B were added to the insulin-resistant system. In vitro insulin-sensitizing activity of target compound A is in between that of rosiglitazone and pioglitazone, and activity of target compound B is slightly less than that of pioglitazone.
- OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L16 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of cyclic alkenyl compounds as PPAR δ activators for treating various disease including diabetes and obesity
- AN 2007:705845 CAPLUS
- DN 147:118032
- TI Preparation of cyclic alkenyl compounds as PPAR δ activators for treating various disease including diabetes and obesity
- IN Sauerberg, Per; Pihera, Pavel; Polivka, Zdenek; Havranek, Miroslav;
 Pettersson, Ingrid; Mogensen, John Patrick
- PA Novo Nordisk A/S, Den.
- SO PCT Int. Appl., 216 pp. CODEN: PIXXD2
- DT Patent
- LA English
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KR 2008078707
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                                                         A 20051222
                                                         A 20060619
                                      EP 2006-115631
                                      WO 2006-EP70096
                                                        W
                                                            20061221
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 147:118032; MARPAT 147:118032

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel compds. of the general formula I (wherein the "large X" is a double bond, X1 is heterocyclyl, aryl, heteroaryl, etc.; X2 is (un)substituted arylene or heteroarylene; X3 is (un)substituted aryl or heteroaryl; Ar is (un)substituted arylene; Y1 is O or S; and Y2 is O, S or CH2; and Z is -(CH2)n- wherein n=1-3; and R1 = H, halo, etc.) the use of these compds. as pharmaceuticals, pharmaceutical compns. comprising the compds. and

methods of treatment employing these compds. and compns. are claimed. The present compds. are activators of PPAR δ and should be useful for treating conditions mediated by the same, such as diabetes, impaired glucose tolerance, insulin resistance, cardiovascular disease, etc.; no biol. data is given in the patent. Example compound II was prepared by reacting Me (Z)-[4-[3-(4-iodophenyl)-3-(4-iodophenyl)]trifluoromethylphenyl)allyloxy]-2-methylphenoxy]acetate with 2-ethynylpyridine and converting the ester obtained to the acid.

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- L16 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
- Preparation of cyclic alkenyl compounds as PPAR δ activators for treating various disease including diabetes and obesity
- ΑN 2007:705845 CAPLUS
- 147:118032 DM
- ΤI Preparation of cyclic alkenyl compounds as PPAR δ activators for treating various disease including diabetes and obesity
- Sauerberg, Per; Pihera, Pavel; Polivka, Zdenek; Havranek, Miroslav; ΙN Pettersson, Ingrid; Mogensen, John Patrick
- PANovo Nordisk A/S, Den.
- PCT Int. Appl., 216 pp. SO CODEN: PIXXD2
- DTPatent
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58 | GB,
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A 2 | | 221
IE,
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| | JP | 2009 | 5207 | 69 | | Т | | 2009 | 0528 | | WO 2
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58 | | W 2
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0060 | 221
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| | | | | WO | 2006-EP70096 | W | 20061221 |
|----|-------------|----|----------|----|---------------|---|----------|
| MX | 2008008098 | A | 20080710 | MX | 2008-8098 | | 20080620 |
| | | | | EP | 2005-112758 | Α | 20051222 |
| | | | | ΕP | 2006-115631 | Α | 20060619 |
| | | | | WO | 2006-EP70096 | W | 20061221 |
| CN | 101356155 | A | 20090128 | CN | 2006-80048573 | | 20080620 |
| | | | | EP | 2005-112758 | Α | 20051222 |
| | | | | EP | 2006-115631 | Α | 20060619 |
| | | | | WO | 2006-EP70096 | W | 20061221 |
| IN | 2008DN05418 | A | 20080815 | IN | 2008-DN5418 | | 20080623 |
| | | | | ΕP | 2005-112758 | Α | 20051222 |
| | | | | WO | 2006-US70096 | W | 20061221 |
| KR | 2008078707 | A | 20080827 | KR | 2008-716288 | | 20080704 |
| | | | | EP | 2005-112758 | Α | 20051222 |
| | | | | EP | 2006-115631 | Α | 20060619 |
| | | | | WO | 2006-EP70096 | W | 20061221 |
| US | 20090093484 | A1 | 20090409 | US | 2008-97564 | | 20081023 |
| | | | | ΕP | 2005-112758 | Α | 20051222 |
| | | | | EΡ | 2006-115631 | Α | 20060619 |
| | | | | WO | 2006-EP70096 | W | 20061221 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 147:118032; MARPAT 147:118032

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel compds. of the general formula I (wherein the "large X" is a double bond, X1 is heterocyclyl, aryl, heteroaryl, etc.; X2 is (un)substituted arylene or heteroarylene; X3 is (un)substituted aryl or heteroaryl; Ar is (un)substituted arylene; Y1 is O or S; and Y2 is O, S or CH2; and Z is -(CH2)n- wherein n = 1-3; and R1 = H, halo, etc.) the use of these compds. as pharmaceuticals, pharmaceutical compns. comprising the compds. and methods of treatment employing these compds. and compns. are claimed. The present compds. are activators of PPARδ and should be useful for treating conditions mediated by the same, such as diabetes, impaired glucose tolerance, insulin resistance, cardiovascular disease, etc.; no biol. data is given in the patent. Example compound II was prepared by reacting Me (Z)-[4-[3-(4-iodophenyl)-3-(4-trifluoromethylphenyl)allyloxy]-2-methylphenoxy]acetate with 2-ethynylpyridine and converting the ester obtained to the acid.

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L16 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
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- TI MAO-B inhibitors useful for treating obesity
- AN 2006:1285878 CAPLUS
- DN 146:39059
- TI MAO-B inhibitors useful for treating obesity
- IN McElroy, John F.; Chorvat, Robert J.
- PA Rajagopalan, Parthasarathi, India
- SO PCT Int. Appl., 138 pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| ΡI | WO 2006130707 | A2 | 20061207 | WO 2006-US21142 | 20060601 |
| | WO 2006130707 | A3 | 20070118 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

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| JР | 2008 | 5423 | 86 | | Т | | 2008 | 1127 | | JР | 2008- | 5148 | 14 | | 2 | 0060 | |
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2006- | | | | | 0050 | |
| CIN | 1013 | 0000 | O | | А | | Z U U 8 | 1102 | | | 2005- | | | | | 0050 | |
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 146:39059

$$X^3$$
 $N-CH_2-C \equiv CR^1$
 X
 X^3
 $N^+CH_2-C \equiv CR^1$
 X
 X^3
 $N^+CH_2-C \equiv CR^1$
 X
 X^3
 X^4
 $X^$

AB The invention provides novel compds. of formulas I and II, both of which are monoamine oxidase-B inhibitors, which can be useful in treating obesity, diabetes, and/or cardiometabolic disorders (e.g., hypertension, dyslipidemias, high blood pressure, and insulin resistance).

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L16 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
- Preparation of amino acid aryl or heteroaryl derivatives as glycogen ΤI phosphorylase inhibitors
- 2006:469629 CAPLUS ΑN
- DN 144:488936
- TΙ Preparation of amino acid aryl or heteroaryl derivatives as glycogen phosphorylase inhibitors
- Evans, Karen; Cichy-Knight, Maria; Coppo, Frank Teen; Dwornik, Kate Ann; ΙN Gale, Jennifer Paul; Garrido, Dulce Maria; Li, Yue Hu; Patel, Mehul P.; Tavares, Francis X.; Thomson, Stephen Andrew; Dickerson, Scott Howard; Peat, Andrew James; Sparks, Steven Meagher; Banker, Pierette; Cooper, Joel
- PΑ Smithkline Beecham Corporation, USA
- PCT Int. Appl., 681 pp. SO

CODEN: PIXXD2

- DT Patent

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| FAN. | PA: | IENT I | | | | KIN | | DATE | | | API | PLI | CAT | ION : | NO. | | | ATE | |
| ΡI | | 2006 | | | | A1 | | 2006 | | | | | |
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| | | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | ΑZ, | BA, | В | 3, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, |
| | | | | | | | | DE, | | | | | | | | | | | |
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| | | | | | | | | | | | WO | 20 | 05-1 | US39 | 956 | 1 | | 0051 | |
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| | SG | 1552 | 29 | | | A1 | | 2009 | 0930 | | | | | 5516 | | | | 0051 | |
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                                                      US 2004-626389P
                                                                                P 20041109
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

- CASREACT 144:488936; MARPAT 144:488936
- AΒ The invention relates to compds. R-Ar-NR1CO-X-Ar' [R is CO2H or carbamoyl which may be substituted by alkyl, aryl, carboxyalkyl, etc.; Ar is an aromatic, heteroarom., cycloaliph. or heterocyclic ring which may fused to an aromatic or heteroarom. ring; X is carbon, nitrogen, oxygen or sulfur; Ar' is an aromatic or heteroarom. ring; R1 is H or alkyl] or their pharmaceutically-acceptables salts, which are inhibitors of glycogen phosphorylase and can be used to treat diabetes, conditions associated with diabetes, or tissue ischemia, including myocardial ischemia. Thus, N-[3-[[[(2,6-dimethylphenyl)amino]carbonyl]amino]-2naphthoyl]-L-aspartic acid was prepared by treating L-Asp(tBu)-Wang Resin with 3-amino-2-naphthalenecarboxylic acid and then 2,6-dimethylphenyl isocyanate. The product showed IC50 = $0.46 \mu M$ for inhibition of glycogen phosphorylase.
- OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS) RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L16 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
- TΙ Preparation of alkynyl substituted phenoxyacetic acids as PPAR δ agonists
- ΑN 2005:1193203 CAPLUS
- DN 143:459868
- ТT Preparation of alkynyl substituted phenoxyacetic acids as PPAR δ agonists
- ΙN Havranek, Miroslav; Sauerberg, Per; Pettersson, Ingrid
- PA Novo Nordisk A/S, Den.
- PCT Int. Appl., 83 pp. SO
 - CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

| | PATENT | KIND DATE | | | | APPLICATION NO. | | | | | | DATE | | | | | | |
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25 | | A1 | _ | 2005 | 1110 | | ———
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005-: | EP52 | 010 | | 2 | 0050 |
503 | |
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| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | KM, | KP, | KR, | KΖ, | |
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| | | ZM, | ZW | | | | | | | | | | | | | | | |
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R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR DK 2004-716 A 20040505 WO 2005-EP52010 20050503 W JP 2007536340 Т 20071213 JP 2007-512186 20050503 20040505 DK 2004-716 Α WO 2005-EP52010 W 20050503 US 20080114036 20080515 Α1 US 2007-579303 20071010 DK 2004-716 20040505 WO 2005-EP52010 20050503

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 143:459868; MARPAT 143:459868

$$X^{1}$$
 C
 X^{3}
 X^{2}
 Y^{1}
 A^{2}
 X^{2}
 C
 R^{2}
 R^{2}
 R^{2}

AB The title compds. I [X1 = aryl, heteroaryl, alkyl, etc.; X2 = aryl, heteroaryl, H, etc.; X3 = arylene, heteroarylene; Ar = arylene; Y1 = 0, S; Y2 = 0, S; Z = (CH2)n; n = 1-3; R1 = H, halo, alkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.] which are useful in the treatment and/or prevention of conditions mediated by Peroxisome Proliferator-Activated Receptors (PPAR), in particular the PPAR δ subtype, namely, type 1 diabetes, type 2 diabetes, dyslipidemia, syndrome X (including the metabolic syndrome, i.e. impaired glucose tolerance, insulin resistance, hypertriglyceridemia and/or obesity), cardiovascular diseases (including atherosclerosis) and hypercholesterolemia (no data), were prepared and formulated. E.g., a multi-step synthesis of II, starting from 4-bromobenzaldehyde, was given.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

TI Preparation of N-bicyclyl-3-[[(hetero)arylsulfonyl]amino]-3- (hetero)arylpropionamides as bradykinin receptor modulators for treatment

of pain, inflammation, and other conditions

AN 2004:902334 CAPLUS

DN 141:395300

TI Preparation of N-bicyclyl-3-[[(hetero)arylsulfonyl]amino]-3- (hetero)arylpropionamides as bradykinin receptor modulators for treatment of pain, inflammation, and other conditions

IN Groneberg, Robert D.; Askew, Ben; D'Amico, Derin; Zhan, James; Toro,
Andras; Suzuki, Hideo; Mareska, David A.; Han, Nianh; Fotsch, Christopher
H.; Liu, Qinglan; Riahi, Babak; Yang, Kevin; Li, Aiwan; Yuan, Chester;
Biswas, Kaustav; Harried, Scott; Nguyen, Tom; Qian, Wenyuan; Chen, Jian
J.; Nomak, Rana

PA Amgen, Inc., USA; Array Biopharma, Inc.

SO PCT Int. Appl., 375 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| ran. | | | NO. | | | | | | | | APPLICATION NO. | | | | | | DATE
 | | | |
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| PI | WO | 2004
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2004 | | | | | 20030
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521937 | | | | | 2004 | 1000 | | WO | 2003
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2004 | -US11 | 105 | | P
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| | CA | 2321 | 931 | | | AI | | 2004 | 1020 | | US
WO | 2003
2004 | -4618
-US11 | 88P
105 | | W | 20030 | 410 | | |
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7425 | | | | | | 2005
2008 | | | | 2004 | | | | | 20040 | | | |
| | EP | 1631
R: | AT, | | | | DK, | | FR, | GB,
CZ, | EP
GF
EE | 2004 | -7594
, LI,
, PL, | 03
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SK | NL, | SE | 20030
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E, MC,
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| | JP | 2006 | 5228. | 25 | | T | | 2006 | 1005 | | JP
US | 2004
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| | MX | 2005 | 0108 | 84 | | А | | 2007 | 0115 | | | 2004
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| | US | 20090048224 | | | A1 | | 2009 | 0219 | | US | 2005-
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 141:395300

AΒ Title compds. I [wherein R = (un) substituted bicyclic carbocyclic or heterocyclic ring; R1 = (un)substituted cycloalkyl, aryl(alkyl), heteroaryl, heterocyclyl; R2 = (un)substituted aryl(alkenyl), heterocyclyl, heteroaryl; Ra = independently H, NH2COCH2, alkyl, (un) substituted aryl; and pharmaceutically acceptable derivs. thereof] were prepared as bradykinin receptor ligands. For example, N-(7-formylchroman-4-y1)-3-(naphth-2-ylsulfonylamino)-3-phenylpropionamide(7-step preparation given) was condensed with piperidine in the presence of NaBH(OAc)3 in N,N-dimethylacetamide and precipitated to give II.●HCl. In a radioligand binding assay, the latter showed affinity for the human B1 and human B2 bradykinin receptors with Ki values of <100 nM and >1 μM , resp. Selected compds. of the invention are effective for treatment of pain and diseases, such as inflammation mediated diseases (no data). OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> logoff hold COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 40.80 511.06 DISCOUNT AMOUNTS (FOR OUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -5.95-6.80

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PASSWORD:

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| NEWS | 3 | AUG 18 | COMPENDEX indexing changed for the Corporate Source (CS) field |
| NEWS | 4 | AUG 24 | ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced |
| NEWS | 5 | AUG 24 | CA/CAplus enhanced with legal status information for |
| | | | U.S. patents |
| NEWS | 6 | SEP 09 | 50 Millionth Unique Chemical Substance Recorded in |
| | | | CAS REGISTRY |
| NEWS | 7 | SEP 11 | WPIDS, WPINDEX, and WPIX now include Japanese FTERM |
| | | | thesaurus |
| NEWS | 8 | OCT 21 | Derwent World Patents Index Coverage of Indian and |
| | | | Taiwanese Content Expanded |
| NEWS | 9 | OCT 21 | Derwent World Patents Index enhanced with human |
| | | | translated claims for Chinese Applications and |
| | | | Utility Models |
| NEWS | 10 | NOV 23 | Addition of SCAN format to selected STN databases |
| NEWS | 11 | NOV 23 | Annual Reload of IFI Databases |
| NEWS | 12 | DEC 01 | FRFULL Content and Search Enhancements |
| NEWS | 13 | DEC 01 | DGENE, USGENE, and PCTGEN: new percent identity |
| | | | feature for sorting BLAST answer sets |
| NEWS | 14 | DEC 02 | Derwent World Patent Index: Japanese FI-TERM |
| | | | thesaurus added |
| NEWS | 15 | DEC 02 | PCTGEN enhanced with patent family and legal status |
| | | | display data from INPADOCDB |
| NEWS | 16 | DEC 02 | USGENE: Enhanced coverage of bibliographic and |
| | | | sequence information |
| NEWS | 17 | DEC 21 | New Indicator Identifies Multiple Basic Patent |
| | | | Records Containing Equivalent Chemical Indexing |
| | | | in CA/CAplus |
| NEWS | 18 | JAN 12 | Match STN Content and Features to Your Information |
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NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4, AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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*CSCORP - ChemSources - USA and International (Company Directory *GEOREF - Geological Reference File 1785-present

* The files listed above are temporarily unavailable.

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ENTRY SESSION 0.22 0.22

FULL ESTIMATED COST

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

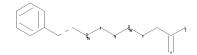
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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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chain nodes :

7 8 9 10 11 12 13 14 15 16 23

ring nodes :

1 2 3 4 5 6 chain bonds :

 $6-7 \quad 7-8 \quad 8-9 \quad 9-10 \quad 10-11 \quad 11-12 \quad 12-13 \quad 13-14 \quad 14-15 \quad 15-16 \quad 15-23$

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

7-8 9-10 10-11 11-12 12-13 13-14 15-16 15-23

exact bonds : 6-7 8-9 14-15 normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:0,S,N

G2:0, N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 23:CLASS

L1STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1STR

G1 O, S, N

G2 O, N

Structure attributes must be viewed using STN Express query preparation.

1 ANSWERS

=> search l1 sss sam

SAMPLE SEARCH INITIATED 06:00:40 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 45838 TO ITERATE

2000 ITERATIONS 4.4% PROCESSED

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 903966 TO 929554 PROJECTED ANSWERS: 171 TO 745

L2 1 SEA SSS SAM L1

=> dscan

=> d scan 12

L2 1 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, [4-[[3,3-bis(4'-phenoxy[1,1'-biphenyl]-4-yl)-2-propenyl]oxy]2-chlorophenoxy]- (9CI)

MF C47 H35 C1 O6

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> file caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 6.97 7.19

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FILE COVERS 1907 - 25 Jan 2010 VOL 152 ISS 5
FILE LAST UPDATED: 24 Jan 2010 (20100124/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC)

reclassification data for the third quarter of 2009.

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http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> 12 L4 1 L2

=> d 14

- L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2010 ACS on STN
- AN 2004:220310 CAPLUS
- DN 140:270625
- TI Preparation of [[[bis(biphenylyl)allyl]oxy]phenoxy]acetic acids and analogs as PPAR δ agonists for treatment of diabetes and related conditions
- IN Jeppesen, Lone; Mogensen, John Patrick; Pettersson, Ingrid; Sauerberg, Per
- PA Novo Nordisk A/s, Den.
- SO PCT Int. Appl., 78 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

| L 11114 • | PATENT NO. | | | | | KIND DATE | | | APPLICATION NO. | | | | | | D. | 0030904
CH, CN,
GE, GH,
LK, LR,
NZ, OM,
TM, TN,
AZ, BY,
EE, ES,
SK, TR,
TD, TG
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| ΡI | WO | 2004 | 0225 |
33 | | A1 | _ | 2004 | 0318 | | | | | | | 2 | 0030 | 904 |
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| | AU 2003260282 | | | | | | 2004 | 0329 | | AU 2 | 003- | 2602 | 82 | | 2 | 0030 | 904 | |
| | US | 2004 | 0143 | 006 | | A1 | | 2004 | 0722 | | US 2 | 003- | 6546 | 99 | | 2 | 0030 | 904 |
| | US | 7091 | 245 | | | В2 | | 2006 | 0815 | | | | | | | | | |
| | ΕP | 1537 | | | | | | | | | | | | | | | | |
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2005 | 540 | E 2 | | A | | | | | | 003- | | | | | | |
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| | | 2003 | | | | A | | 2003 | | | | | | | | | | |
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 140:270625

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS) RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 05:59:46 ON 25 JAN 2010)

FILE 'REGISTRY' ENTERED AT 06:00:06 ON 25 JAN 2010

L1 STRUCTURE UPLOADED L2 1 SEARCH L1 SSS SAM

L3 0 DSCAN

FILE 'CAPLUS' ENTERED AT 06:01:42 ON 25 JAN 2010 L4

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COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
3.30
10.49

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> search 11 sss full FULL SEARCH INITIATED 06:04:20 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 918967 TO ITERATE

100.0% PROCESSED 918967 ITERATIONS 873 ANSWERS SEARCH TIME: 00.00.17

L5 873 SEA SSS FUL L1

=> save temp 15 oxyltdraw/a
ANSWER SET L5 HAS BEEN SAVED AS 'OXYLTDRAW/A'

=> d scan 15

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Hexanoic acid, 2-[[3-(4-phenylbutoxy)-4'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]oxy]-

MF C29 H31 F3 O5

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C26 H31 N O8

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanamide, N-(5-chloro-2-benzoxazolyl)-N-methyl-2-[4-[(1,3,3,3-tetrafluoro-2-phenyl-1-propen-1-yl)oxy]phenoxy]-, (2R)-

MF C26 H19 C1 F4 N2 O4

Absolute stereochemistry.

Double bond geometry unknown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanamide, 2-[4-[[2-(4-chlorophenyl)-1-fluoroethenyl]oxy]phenoxy]-N-(2-fluorophenyl)-N-methyl-, (2R)-

MF C24 H20 C1 F2 N O3

Absolute stereochemistry. Double bond geometry unknown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Ethanedioic acid, 1-[(1R,2R,3R,5S)-5-carboxy-2,3,5-tris[[3-(3,4-dihydroxyphenyl)-1-oxo-2-propen-1-yl]oxy]cyclohexyl] 2-methyl ester
MF C37 H32 O18

Absolute stereochemistry.

Double bond geometry unknown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C23 H25 N O4

Absolute stereochemistry.

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L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C25 H31 N2 O6 P

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

MF C25 H26 O7 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-methyl-2-[4-[2-[4'-[(methylsulfonyl)oxy]][1,1'-biphenyl]-4-yl]ethoxy]phenoxy]-, ethyl ester

MF C28 H32 O7 S

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[4-[[(2Z)-3-(4-fluorophenyl)-3-[4-(5-methyl-2-thienyl)phenyl]-2-propen-1-yl]oxy]-2-methylphenoxy]-, methyl ester
MF C30 H27 F O4 S

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[4-[[3-[3,5-bis(2-phenylethynyl)phenyl]-2-propyn-1-yl]oxy]phenoxy]-, methyl ester

MF C34 H24 O4

$$\begin{array}{c} O \\ O \\ C \\ \hline \\ Ph-C \\ \hline \\ C \\ \hline \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[4-[[3-[3,5-bis(2-phenylethynyl)phenyl]-2-propyn-1-yl]oxy]phenoxy]-

$$Ph-C = C$$
 $C = C-CH_2-O$
 $C = C-CH_2-O$

- L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
- IN Acetic acid, 2-[4-[[(2Z)-3-(4-bromophenyl)-5-(4-chlorophenyl)-2-penten-4-yn-1-yl]oxy]-2-methylphenoxy]-, methyl ester
- MF C27 H22 Br Cl O4

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
- IN Acetic acid, 2-[4-[[(2Z)-3-(4-bromophenyl)-5-[4-(trifluoromethyl)phenyl]-2-penten-4-yn-1-yl]oxy]-2-methylphenoxy]-
- MF C27 H20 Br F3 O4

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[4-[[(2E)-3-(4-bromophenyl)-3-(4-methylphenyl)-2-propen-1-yl]oxy]-2-methylphenoxy]-, methyl ester

MF C26 H25 Br O4

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[2-methyl-4-[[(2Z)-3-phenyl-3-[4-[2-(2-pyridinyl)ethynyl]phenyl]-2-propen-1-yl]oxy]phenoxy]-

MF C31 H25 N O4

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[4-[((2E)-3-(4-bromophenyl)-3-[4-[3-(4-morpholinyl)-1-propyn-1-yl]phenyl]-2-propen-1-yl]oxy]-2-methylphenoxy]-

MF C31 H30 Br N O5

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[2-methyl-4-[[(2E)-3-[4-(methylthio)phenyl]-3-[4-[3-(1H-pyrazol-1-yl)-1-propyn-1-yl]phenyl]-2-propen-1-yl]oxy]phenoxy]-

MF C31 H28 N2 O4 S

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[2-methyl-4-[[(2E)-3-[4-(methylsulfinyl)phenyl]-3-[4-[3-(4-morpholinyl)-1-propyn-1-yl]phenyl]-2-propen-1-yl]oxy]phenoxy]-

MF C32 H33 N O6 S

L5 873 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[2-methyl-4-[[(2E)-3-[4-[3-(4-morpholinyl)-1-propyn-1-yl]phenyl]-3-[3-(trifluoromethyl)phenyl]-2-propen-1-yl]oxy]phenoxy]-, methyl ester

MF C33 H32 F3 N O5

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 193.50 203.99

FULL ESTIMATED COST

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FILE COVERS 1907 - 25 Jan 2010 VOL 152 ISS 5
FILE LAST UPDATED: 24 Jan 2010 (20100124/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

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=> save temp 16 oxyltdrefs/a ANSWER SET L6 HAS BEEN SAVED AS 'OXYLTDREFS/A'

=> ?lipid? L7 555427 ?LIPID?

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=> 17 or 18

L9 733476 L7 OR L8

=> 16 and 19

L10 29 L6 AND L9

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- L10 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- Preparation of [[[bis(biphenylyl)allyl]oxy]phenoxy]acetic acids and analogs as PPAR δ agonists for treatment of diabetes and related conditions
- L10 ANSWER 20 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of imidazole and benzimidazole derivatives that inhibit the interaction of ligands with RAGE
- L10 ANSWER 21 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of 5-amino-4-phenyl-1H-imidazoles as inhibitors of protein tyrosine phosphatase 1B (PTP-1B)
- L10 ANSWER 22 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Long-chain, unsaturated, aromatic dicarboxylic acid derivatives, their preparation, and therapeutic use for treatment of conditions mediated by peroxisome proliferator-activated receptors (PPAR).

- L10 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of aryl or heterocyclyl-substituted benzoic acid and alkanoic acid derivatives as antagonists of prostaglandin E2 (PEG2) receptors
- L10 ANSWER 24 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of thiazole and oxazole derivatives for treating human PPAR related disorders
- L10 ANSWER 25 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of biaryloxa(thia)zole derivatives as PPAR modulators
- L10 ANSWER 26 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Inhibition of cerebral ischemia by salvianolic acids
- L10 ANSWER 27 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of tricyclic compounds as prostaglandin I2 receptor agonists
- L10 ANSWER 28 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Trisubstituted benzene leukotriene B4 receptor antagonists: synthesis and structure-activity relationships
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- TI Benzofuran and benzothiophene derivatives and their pharmaceutical use
- => d 110 19,22,23,26,27-29 ti fbib abs
- L10 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of [[[bis(biphenylyl)allyl]oxy]phenoxy]acetic acids and analogs as PPAR δ agonists for treatment of diabetes and related conditions
- AN 2004:220310 CAPLUS
- DN 140:270625
- TI Preparation of [[[bis(biphenylyl)allyl]oxy]phenoxy]acetic acids and analogs as PPAR δ agonists for treatment of diabetes and related conditions
- IN Jeppesen, Lone; Mogensen, John Patrick; Pettersson, Ingrid; Sauerberg, Per
- PA Novo Nordisk A/s, Den.
- SO PCT Int. Appl., 78 pp.

CODEN: PIXXD2

- DT Patent
- LA English
- FAN.CNT 1

| | PATENT NO. | | | | | KIND DATE | | | | APPLICATION NO. | | | | | D | ATE | TE 030904 CH, CN, GE, GH, LK, LR, NZ, OM, TM, TN, AZ, BY, EE, ES, SK, TR, TD, TG | | |
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| | IE, SI, LT, | LV, | FI, RO, MK, | CY, AL, TR, BG, CZ, | EE, H | U, SK |
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| ΙN | 2005DN00976 | А | 20091030 | IN 2005-DN976 | | 20050314 |
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| | | | | WO 2003-DK578 | W | 20030904 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 140:270625

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AΒ Title vinyl carboxylic acid derivs. I [wherein X1 and X3 = independently (un) substituted (hetero) aryl; X2 and X4 = independently (un) substituted (hetero)arylene; Ar = (un)substituted arylene; Y1 and Y2 = independently O or S; Z = (CH2)n; n = 1-3; R1 = H, halo, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (hetero)aralkyl, (cyclo)alkoxy, aryloxy, (hetero)aralkoxy, (cyclo)alkylthio, arylthio; R2 = H, (cyclo)alkyl, alkenyl, alkynyl, or aryl; or pharmaceutically acceptable salts, solvates, tautomers, stereoisomers, or polymorphs thereof] were prepared as peroxisome proliferator-activated receptor δ (PPAR δ) agonists (no data). For example, 4,4'-dibromobenzophenone was coupled with tri-Et phosphonoacetate in the presence of NaH in toluene to give Et 3,3-bis(4-bromophenyl)acrylate (73%). Reduction using DIBAL-H in THF (76%), followed by ADDP-catalyzed condensation with (4-mercapto-2-methylphenoxy)acetic acid Me ester in THF (88%) afforded [4-[3,3-bis(4-bromophenyl)allylsulfanyl]-2-methylphenoxy]acetic acid Me ester. Saponification (93%) and substitution with phenylboronic acid using KF, Pd2(dba)3, and Pd[P(t-Bu)3]2 in THF (53%) provided II. Also disclosed is the use of I and their pharmaceutical compns. for the treatment of PPAR δ -mediated conditions, such as diabetes, impaired glucose tolerance, insulin resistance, or obesity (no data). OSC.G THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS) RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

ΙI

L10 ANSWER 22 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

TI Long-chain, unsaturated, aromatic dicarboxylic acid derivatives, their preparation, and therapeutic use for treatment of conditions mediated by peroxisome proliferator-activated receptors (PPAR).

ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN 2003:319859 CAPLUS

DN 138:337836

TI Long-chain, unsaturated, aromatic dicarboxylic acid derivatives, their preparation, and therapeutic use for treatment of conditions mediated by peroxisome proliferator-activated receptors (PPAR).

IN Sauerberg, Per; Bury, Paul Stanley; Jeppesen, Lone; Mogensen, John Patrick

PA Novo Nordisk A/S, Den.

SO PCT Int. Appl., 104 pp. CODEN: PIXXD2

DT Patent

LA English FAN.CNT 1

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PA: | PATENT NO. | | | | KIN: | | DATE | | | APPL | ICAT | ION : | | | | ATE | | | |
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| ASSIGNMENT HISTORY FOR | | | | | | IC DATENT ATTABLE | | | | T T | N I C | IIC D | TCDT | AV F | | т | | | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 138:337836

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A novel class of dicarboxylic acid derivs., I, is disclosed [wherein: A = AΒ (un)substituted C1-3 alkylene, or A'O or A'S where A' is (un)substituted C1-3 alkylene; B = (un)substituted C1-3 alkylene, or OB' or SB' where B' is (un)substituted C1-3 alkylene; D, E = H, C1-6 alkyl, C3-6 cycloalkyl; L, M = O or S; T, U = C3-9 divalent, (un)substituted, unsatd. carbon chain; X, Y = (un)substituted arylene or heteroarylene; Z = (un) substituted arylene, heteroarylene, or divalent polycyclic ring system]. Also disclosed is the use of I in pharmaceutical compns., pharmaceutical compns. comprising I, and methods of treatment employing I and the compns. The present compds. may be useful (no data) in the treatment and/or prevention of conditions mediated by peroxisome proliferator-activated receptors (PPAR). For example, 1,4-diiodobenzene was coupled with excess 2-penten-4-yn-1-ol in (iso-Pr)2NH in the presence of CuI and Pd(PPh3)4 at 60°, to give 55% (E,E)-5-[4-(5-hydroxypent-3-en-1-ynyl)phenyl]pent-2-en-4-yn-1-ol.Mitsunobu reaction of this diol with (S)-2-ethoxy-3-(4-hydroxyphenyl)propionic acid Et ester using azodicarboxylic acid dipiperidide and PBu3 in THF gave 27% invention compound II. A total of 29 synthetic examples illustrate a variety of I, mostly sym. diacids and diesters, and mostly stereoisomeric, with all stereoisomers having (E) and (S) stereochem. at double bonds and chiral centers. Claims list a wide variety of sym. and asym. I, all named without stereochem. Claimed applications include treatment of type I and II diabetes, dyslipidemia, syndrome X and its conditions, cardiovascular diseases including atherosclerosis, and hypercholesterolemia.

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

 ${\tt TI}$ Preparation of aryl or heterocyclyl-substituted benzoic acid and alkanoic acid derivatives as antagonists of prostaglandin E2 (PEG2) receptors

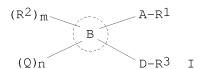
AN 2003:154382 CAPLUS

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- Preparation of aryl or heterocyclyl-substituted benzoic acid and alkanoic ΤI acid derivatives as antagonists of prostaglandin E2 (PEG2) receptors
- Tani, Kousuke; Asada, Masaki; Kobayashi, Kaoru; Narita, Masami; Ogawa, ΙN Mikio
- Ono Pharmaceutical Co., Ltd., Japan PΑ
- PCT Int. Appl., 1009 pp. SO
 - CODEN: PIXXD2
- DT Patent
- Japanese LA

| | | | | | | KIND DATE APPLICATION NO. | | | | | | | | | | | | |
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| | | | | | | | | | | J | Ρ | 2001- | -2418 | 67 | | A | 20010 | 809 |
| | | | | | | | | | | M | Ю | 2002- | -JP81 | 20 | 1 | W | 20020 | 808 |
| | EP | 14312 | - | | | A1 | | 2004 | | | | 2002- | | | | | 20020 | |
| | | R: | | | | | | | | | | | | | | | , MC, | PT, |
| | | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | | | | | | | | 000 |
| | | | | | | | | | | | | 2001- | | | | | 20010 | |
| | חח | 2002 | 1110 | 1.0 | | 7\ | | 2004 | 0024 | | | 2002- | | | | | 20020
20020 | |
| | BK | 2002 | 7110 | 10 | | A | | 2004 | 0824 | | | 2002-
2001- | | | | | 20020 | |
| | | | | | | | | | | | | 2001- | | | | | 20010 | |
| | CN | 15518 | 366 | | | А | | 2004 | 1201 | | | 2002 | | | | | 20020 | |
| | 011 | 1001 | | | | | | | | | | 2001- | | | | | 20010 | |
| | HU | 20040 | 0019 | 63 | | A2 | | 2005 | 0128 | | | 2004- | | | | | 20020 | |
| | | 2004 | | | | АЗ | | 2006 | | | | | | | | | | |
| | | | | | | | | | | J | P | 2001- | -2418 | 67 | 1 | A | 20010 | 809 |
| | | | | | | | | | | | | 2002- | | | 1 | | 20020 | |
| | ΝZ | 5311 | 53 | | | А | | 2005 | 1028 | | | 2002- | | | | | 20020 | |
| | | | | | | | | | | | | 2001- | | | | | 20010 | |
| | | - 4 - 4 | - 0 | | | - | | | | | | 2002- | | | ٦ | | 20020 | |
| | NΖ | 5419 | o () | | | А | | 2007 | 0223 | | | 2002- | | | | | 20020 | |
| | DII | 2215 | 716 | | | ~~ | | 2000 | 0107 | _ | | 2001- | _ | - | 1 | | 20010 | |
| | RU | 2315 | /46 | | | C2 | | 2008 | U12/ | | - | 2004- | | - | | | 20020 | |
| | | | | | | | | | | | | 2001- | | | | | 20010 | |
| | CNI | 10128 | 2/77 | 3 | | A | | 2008 | 1015 | | | 2002-
2008- | | | 1 | | 20020
20020 | |
| | CIA | T U T Z i | 5 4 / /. | J | | А | | ∠∪U8 | TOT2 | | | 2008- | | | | | 20020 | |
| | | | | | | | | | | | | 2001- | | | | | 20010 | |
| | 7. A | 20040 | ากกจ | 73 | | А | | 2005 | 0104 | | | 2002- | | , 0 | • | | 20020 | |
| | ΔА | 20041 | | , , | | Δ | | 2000 | 0104 | | | 2001- | | 67 | | | 20040 | |
| | ИО | 20040 | 0005 | 64 | | А | | 2004 | 0510 | | | 2001 | | J / | | | 20010 | |
| | | | | | | | | | | | | 2001- | | 67 | | | 20010 | |
| | | | | | | | | | | | | | | | - | | | |
| | | | | | | | | | | W | Ю | 2002- | -JP81 | 20 | 1 | W | 20020 | 808 |

| | | | | JΡ | 2001-241867 | Α | 20010809 |
|----|-------------|----|----------|----|-------------|----|----------|
| | | | | WO | 2002-JP8120 | W | 20020808 |
| US | 20060258728 | A1 | 20061116 | US | 2004-486220 | | 20040909 |
| US | 7491748 | B2 | 20090217 | | | | |
| | | | | JP | 2001-241867 | Α | 20010809 |
| | | | | WO | 2002-JP8120 | W | 20020808 |
| US | 20090318703 | A1 | 20091224 | US | 2008-259012 | | 20081027 |
| | | | | JΡ | 2001-241867 | Α | 20010809 |
| | | | | WO | 2002-JP8120 | W | 20020808 |
| | | | | US | 2004-486220 | АЗ | 20040909 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 138:187795



Carboxylic acid derivs. (I) and nontoxic salts thereof [wherein R1 = CO2H, AB CO2R4, CH2OH, COR5SO2R6, CONH2, CH2NR5SO2R6, CH2NR9COR10, CH2NR9CONR5SO2R6, CH2SO2NR9COR10, CH2O2CNR5SO2R6, tetrazole, 1,2,4-oxadiazol-5-one, 1,2,4-oxadiazol-5-thione, 1,2,4-thiadiazol-5-one, etc. (wherein R4 = C1-6 alkyl, hydroxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, carboxy-C1-4 alkyl, etc.; R5, R9 = H, C1-6 alkyl; R6 = C1-6 alkyl, C3-15 mono-, di-, or tricarbocyclic, 3- to 13-membered mono-, di-, or tricyclic heterocyclyl, etc.; R10 = H, R6); A = a single bond, C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, etc.; the ring B = C3-12 mono- or dicyclic carbocyclic ring, 3- to 12-membered mono- or dicyclic heterocyclic ring; R2 = C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C2-6 alkenyl, C2-6 alkynyl, halo, CHF2, CF3, NO2, cyano, Ph, oxo; m, n = 0,1,2; Q = (C1-4 alkylene,C2-4 alkenylene, or C2-4 alkynylene)-Cyc2, -C1-4 alkylene-Z-Cyc3, amino-C1-4 alkyl, cyano-C1-4 alkyl, acylamino-C1-4 alkyl, 3- to 7-membered monocyclic carbocyclyl, 3- to 6-membered monocyclic heterocyclyl, etc. (wherein Cyc2, Cyc3 = C3-15 mono-, di-, or tricyclic carbocyclyl or heterocyclyl, etc.; Z = O, S, SO, SO2, NH, NHCO, etc.); D = an linking chain consisting of 1-2 or 3-6 of atoms selected from C, N, O, or S, etc.; R3 = C1-6 alkyl, C3-15 mono-, di-, or tricyclic carbocyclyl, 3- to 15-membered mono-, di-, or tricyclic heterocyclyl, etc.] are prepared These carboxylic acid derivs. include phenylpropanoic acid, phenylpropenoic acid, phenylpropanamide, phenylpropenamide, 3-oxoisoindolin-1-ylacetic acid, benzylbenzoic acid, benzylaminoacetic acid, pyrazolylmethylbenzoic acid, benzoylaminoacetic acid, (pyrazolylmethylphenyl)propenoic acid, pyrazolylmethylpropanoic acid, (pyridinyloxyphenyl)propanoic acid, phenoxyacetic acid, phenylbutanoic acid, (pyrazolylmethyl)propanamide, (piperazinylmethylphenyl)propanamide, (morpholinylmethylphenyl)propanamide, (pyridinyloxyphenyl)propanamide, (pyrazolylmethyl)propenamide (oxoimidazolidinylmethylphenyl)propanamide, (oxopyrrolidinylmethylphenyl)propenamide, (thiophenylmethylphenyl)propenamide, (pyrazolylmethylphenylamino) acetamide, (thiazolylaminomethylphenyl)propanamide, thiophenylpropenamide, (pyrazolylmethylphenoxy) acetamide, (phenoxymethyl) benzamide, (pyrazolylmethylphenylethyl)-1,2,4-oxadiazol-5-one, and (pyrazolylmethylphenylindolyl)acetic acid. Because of binding to PEG2 receptors, in particular, subtype EP3 and/or subtype EP4 and having antagonism, the compds. I are useful in preventing and/or treating diseases such as pain, allodynia, hyperalgesia, pruritus (itching),

urticaria, atopic dermatitis, contact dermatitis, Urushi (Japanese lacquer tree) dermatitis, allergic conjunctivitis, symptoms during dialysis, asthma, rhinitis, allergic rhinitis, nasal congestion, sneeze, psoriasis, pollakiuria (increased urinary frequency), urination disorder, ejaculation (semination) disorder, fever (pyrexia), systemic inflammation reaction, learning disorder, Alzheimer's disease, neovascularization, cancer formation, cancer proliferation, cancer metastasis to organs, cancer metastasis to bone, hypercalcemia accompanied by cancer metastasis to bone, retinopathy, rubrum, erythema (rash), leucoma, skin moth-patch, heat burn, burn, steroid burn, kidney failure, nephropathy, acute or chronic nephritis, blood electrolyte disorder, imminent abortion, threatened abortion, excessive menstruation, dysmenorrhea, endometriosis, premenstrual syndrome, uterine gland myopathy, reproduction disorder, and stress. They are also useful in preventing and/or treating anxiety, depression, psychophysiol. disorder, mental retardation, thrombus, embolism, transient ischemic attack, cerebral infarction, atheroma, organ transplant, heart failure, hypertension, myocardial infarction, arteriosclerosis, circulation disorders or ulcers associated therewith, nerve disorders, vascular dementia, edema, diarrhea, constipation, biliary excretion disorder, ulcerative colitis, Crohn's disease, irritable bowel syndrome, reduction of rebound after using steroid drugs, aids for decreasing or removing steroid drugs, bone diseases, systemic granuloma, immune diseases, pyorrhea alveolaris, gingivitis, periodontal disease, nerve cell death, lung disorder, liver disorder, acute hepatitis, myocardial ischemia, Kawasaki disease, multiple organ failure, chronic headache, angiitis, venous failure, varicose vein (varicosis), anal fistula, diabetes insipidus, neonatal patent ductus arteriosus, and cholelithiasis. Thus, 4-hydroxymethyl-2-[2-(naphthalen-2yl)ethoxy]cinnamic acid Et ester was mesylated by methanesulfonyl chloride in the presence of Et3N in THF at 0° for 15 min and condensed with pyrazole in the presence of NaH in DMF at 0° to give 2-[2-(naphthalen-2-yl)ethoxy]-4-(1-pyrazolylmethyl)cinnamic acid Et ester. 4-[2-[[2-(Naphthalen-1-yl)propanoyl]amino]-4methylthiomethylphenyl]butanoic acid inhibited the binding of [3H]PGE2 to prostaglandin E2 (PEG2) receptor subtype EP1, Ep2, EP3, and EP4 expressed in CHO cells with Ki of >10, >10, 0.27, and 0.038 μM , resp. A tablet formulation containing (2E)-2-[2-(naphthalen-2-yl)ethoxy]-4-(1pyrazolylmethyl)cinnamic acid was described.

OSC.G 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (40 CITINGS)
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L10 ANSWER 26 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Inhibition of cerebral ischemia by salvianolic acids
- AN 1999:449451 CAPLUS
- DN 132:73397
- TI Inhibition of cerebral ischemia by salvianolic acids
- AU Wang, Jie; Wu, Junfang; Zhang, Juntian
- CS Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing, 100050, Peop. Rep. China
- SO Zhongguo Yaolixue Tongbao (1999), 15(2), 164-166 CODEN: ZYTOE8; ISSN: 1001-1978
- PB Anhui Yike Daxue Linchuan Yaoli Yanjiuso
- DT Journal
- LA Chinese
- AB The anti-cerebral-ischemia effect of a mixture of salvianolic acids was studied. Middle cerebral artery occlusion of rats was used as the animal model; lipid peroxidn. by liver microsomes and the production of superoxide anion and free OH radicals in chemical systems were measured in vitro. At 12.5-25 mg/kg, the acids inhibited cerebral ischemia, decreasing the infarction area and cerebral edema. In vitro, the total acids at 5-50 mg/L inhibited lipid peroxidn. induced by the

Fe2+-cysteine system in liver microsomes and scavenged the superoxide anion produced by the xanthine-xanthine oxidase system and the free OH radicals produced by the Fe2+-H2O2 system. Antioxidative activity is suggested as being one of the mechanisms of salvianolic acids in inhibiting cerebral ischemia.

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

- L10 ANSWER 27 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of tricyclic compounds as prostaglandin I2 receptor agonists
- AN 1998:208535 CAPLUS
- DN 128:257432
- OREF 128:50963a,50966a
- TI Preparation of tricyclic compounds as prostaglandin I2 receptor agonists
- IN Ohkawa, Shigenori; Setoh, Masaki; Terashita, Zen-ichi
- PA Takeda Chemical Industries, Ltd., Japan
- SO PCT Int. Appl., 151 pp.
 - CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

| | PA: | rent | NO. | | | KIND DATE | | | | APPLICATION NO. | | | | | | | | DATE | | |
|----|------------|------|------------|-----|-----|-----------|-------|------|------|-----------------|-----------|----|------|----------|--------|-----|----|------------|-----|--|
| ΡI | WO | 9813 |
356 | | | A1 | _ | 1998 | 0402 | Ī |
WO | 19 | 997- |
JP33 |
84 | | |
19970 | 924 | |
| | | W: | AL, | AM, | AU, | AZ, | BA, | BB, | BG, | BR, | B | Υ, | CA, | CN, | CU, | CZ, | EE | , GE, | ΗU, | |
| | | | ID, | IL, | IS, | KG, | KR, | KΖ, | LC, | LK, | LF | З, | LT, | LV, | MD, | MG, | MK | , MN, | MX, | |
| | | | NO, | NΖ, | PL, | RO, | RU, | SG, | SI, | SK, | SI | Ĺ, | ΤJ, | TM, | TR, | TT, | UA | , US, | UΖ, | |
| | | | VN, | YU | | | | | | | | | | | | | | | | |
| | | RW: | GH, | ΚE, | LS, | MW, | SD, | SZ, | UG, | ZW, | A7 | Γ, | BE, | CH, | DE, | DK, | ES | , FI, | FR, | |
| | | | GB, | GR, | ΙE, | ΙΤ, | LU, | MC, | NL, | PT, | SE | Ξ, | BF, | ВJ, | CF, | CG, | CI | , CM, | GΑ, | |
| | | | GN, | ML, | MR, | ΝE, | SN, | TD, | ΤG | | | | | | | | | | | |
| | | | | | | | , , , | | | Ų | JP 1996-2 | | | 2529 | | | | A 19960925 | | |
| | ${\tt TW}$ | 4169 | 53 | | | В | | 2001 | 0101 | | ΤW | 19 | 997- | 8611 | 3705 | | | 19970 | 920 | |
| | | | | | | | | | | · | JΡ | 19 | 996- | 2529 | 12 | | Α | 19960 | 925 | |
| | CA | 2264 | 641 | | | A1 | | 1998 | 0402 | (| CA | 19 | 997- | 2264 | 641 | | | 19970 | | |
| | | | | | | | | | | Ų | JΡ | 19 | 996- | 2529 | 12 | | | 19960 | | |
| | | | | | | | | | | I | WO | 19 | 997- | JP33 | 84 | | W | 19970 | 924 | |
| | ΑU | 9743 | 973 | | | A | | 1998 | 0417 | | | | | | 3 | | | 19970 | | |
| | | | | | | | | | | | _ | | | | 12 | | | 19960 | | |
| | | | | | | | | | | | | | | | 84 | | | 19970 | | |
| | JP | 1015 | 2480 | | | Α | | 1998 | 0609 | · · | JΡ | 19 | 997- | 2574 | 8 0 | | | 19970 | | |
| | | | | | | | | | | · · | JΡ | 19 | 996- | 2529 | 12 | | | 19960 | | |
| | EP | 9295 | | | | | | 1999 | | | | | | | | | | 19970 | | |
| | | R: | AT,
IE, | , | CH, | DE, | DK, | ES, | FR, | GB, | GF | Α, | IT, | LI, | LU, | NL, | SE | , MC, | PT, | |
| | | | | | | | | | | Ų | JΡ | 19 | 996- | 2529 | 12 | | Α | 19960 | 925 | |
| | | | | | | | | | | Ţ | WO | 19 | 997- | JP33 | 84 | | W | 19970 | 924 | |
| | US | 6248 | 766 | | | В1 | | 2001 | 0619 | Ţ | US | 19 | 999- | 2544 | 46 | | | 19990 | 309 | |
| | | | | | | | | | | Į. | JΡ | 19 | 996- | 2529 | 12 | | | 19960 | | |
| | | | | | | | | | | I | WO | 19 | 997- | JP33 | 84 | | W | 19970 | 924 | |
| | US | 2002 | 0006 | | | A1 | | 2002 | 0117 | Ţ | US | 20 | 001- | 8009 | 88 | | | 20010 | 307 | |
| | US | 6417 | 213 | | | В2 | | 2002 | 0709 | | | | | | | | | | | |
| | | | | | | | | | | | | | | | | | | 19960 | | |
| | | | | | | | | | | | | | | | 84 | | | 19970 | | |
| | | | | | | | | | | Ţ | US | 19 | 999- | 2544 | 46 | | А3 | 19990 | 309 | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 128:257432

The title compds. [I; R1 = H, a substituent; m = 1-3; Ar = (un)substituted AB aromatic group; X = a bond, (un)substituted divalent straight-chain group having 1-6 atoms; Y = S, O, N(R2) (R2 = H, a substituent); Z = N, C(R3)(R3 = H, a hydrocarbon); ring A = a benzene ring; ring B = (un)substituted5-7 membered ring], useful for eliciting a prostaglandin I2 receptor agonistic effect, inhibiting a platelet aggregation, and for the prophylaxis or treatment of transient ischemic attack, diabetic neuropathy, peripheral vascular diseases or ulcer, were prepared and formulated. Thus, reaction of Et [(2-mercapto-4,5-dihydronaphtho[1,2d]thiazol-6-yl)oxy]acetate with 2,2-diphenylethyl methanesulfonate in the presence of K2CO3 in DMF followed by hydrolysis the resulting Et $\{[2-(2,2-diphenylethyl)thio-4,5-dihydronaphtho[1,2-d]thiazol-6$ yl]oxy}acetate with 1N NaOH afforded 61% II which showed IC50 of 0.024 μM against PGI2 receptor binding, and IC50 of 0.54 μM against platelet aggregation.

ΙI

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 28 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

TI Trisubstituted benzene leukotriene B4 receptor antagonists: synthesis and structure-activity relationships

AN 1997:645809 CAPLUS

DN 127:318788

OREF 127:62477a,62480a

TI Trisubstituted benzene leukotriene B4 receptor antagonists: synthesis and structure-activity relationships

AU Konno, Mitoshi; Nakae, Takahiko; Sakuyama, Shigeru; Odagaki, Yoshihiko; Nakai, Hisao; Hamanaka, Nobuyuki

CS Department of Medicinal Chemistry, Minase Research Institute, Ono Pharmaceutical Co., Ltd, Mishima, 618, Japan

SO Bioorganic & Medicinal Chemistry (1997), 5(8), 1649-1674 CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier

DT Journal

LA English

AB A series of trisubstituted benzenes which demonstrate leukotriene B4 (LTB4, 1) receptor affinity was prepared Previous trisubstituted benzenes from our laboratory showed high affinity to the LTB4 receptor but demonstrated agonist activity in functional assays. (I) (R1 = H, R2 = 4-MeOC6H4) (II), the initial lead compound of this new series, showed only modest affinity (IC50 = 0.20 μM). However, II was a receptor antagonist with no demonstrable agonist activity up to 30 μM . Further modification of the lipid tail and aryl head groups region led to the discovery of I [R1 = O(CH2)4CO2H, R2 = 4-MeOC6H4] (III) (ONO-4057). III, free of agonist activity, possesses high affinity to the LTB4 receptor (Ki = 3.7±0.9 nM).

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 29 OF 29 CAPLUS COPYRIGHT 2010 ACS on STN

TI Benzofuran and benzothiophene derivatives and their pharmaceutical use

AN 1981:83926 CAPLUS

DN 94:83926

OREF 94:13685a,13688a

TI Benzofuran and benzothiophene derivatives and their pharmaceutical use

IN Grell, Wolfgang; Sauter, Robert; Griss, Gerhart; Hurnaus, Rudolf; Eisele, Bernhard; Kaubisch, Nikolaus; Rupprecht, Eckhard; Kaehling, Joachim

PA Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.

SO Ger. Offen., 92 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----|------------|------|----------|-----------------|----------|
| | | | | | |
| ΡI | DE 2909754 | A1 | 19800918 | DE 1979-2909754 | 19790313 |
| ~ ~ | | | | DE 1979-2909754 | 19790313 |

OS MARPAT 94:83926

GΙ

$$R^{3}O_{2}CCR^{4}R^{5}(CH_{2})_{n}O$$

$$R^{6}$$

$$R^{7}O$$

$$Me$$
II

AΒ The title derivs. I [R1 = C1-7 alkyl, C7-9 aralkyl, pyridyl, thienyl, PhC6H4, Ph optionally substituted with 1 or 2 halo, Me, OH, C1-3 alkoxy or arylamino, PhCH2O, NH2, NO2, CO2H, C2-4 alkoxycarbonyl; R2 = C1-14 alkyl, halo(un)substituted Ph, C7-9 aralkyl, pyridyl; R3 = H, C1-8 alkyl; R4, R5 = H, C1-3 alkyl; R6 = H, halo, X = O, S; n = 0-2] and their physiol. tolerable salts with bases when R3 = H and with acids when R1 and (or) R2 =pyridyl, useful as anticholesteremics, hypolipemics, and antiarteriosclerotics (extensive data tabulated for 7 compds)., were prepared by several methods. Thus, cyclizing 2-(4-methoxyphenoxy)-1-(2-pyridyl)-1-propanone in polyphosphoric acid in30 min at 60° gave 91% methoxybenzofuran II (R7 = Me). This was demethylated in refluxing HBr to give 64.2% benzofuranol II.HBr (R7 = H), which was alkylated with Me2CBrCO2Et to 28.5% II.HCl (R7 = EtO2CCMe2).HCl via the free base. Saponifying II.HCl (R7 = EtO2CCMe2) with aqueous alc. NaOH gave 64.7% II.HCl (R6 = HO2CCMe2). Benzofuran III, at 20 mg/kg orally in rats, lowered serum cholesterol 70.5%, serum triglycerides 39.7%, and serum β -lipoproteins 87.0% vs. a control.

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

=> d his

(FILE 'HOME' ENTERED AT 05:59:46 ON 25 JAN 2010)

FILE 'REGISTRY' ENTERED AT 06:00:06 ON 25 JAN 2010

L1 STRUCTURE UPLOADED

L2 1 SEARCH L1 SSS SAM

L3 0 DSCAN

FILE 'CAPLUS' ENTERED AT 06:01:42 ON 25 JAN 2010 L4

FILE 'REGISTRY' ENTERED AT 06:04:03 ON 25 JAN 2010 L5 873 SEARCH L1 SSS FULL SAVE TEMP L5 OXYLTDRAW/A

FILE 'CAPLUS' ENTERED AT 06:06:52 ON 25 JAN 2010 L6 128 L5

SAVE TEMP L6 OXYLTDREFS/A

L7 555427 ?LIPID? L8 207636 DIAB? L9 733476 L7 OR L8 L10 29 L6 AND L9

=> file req

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
SINCE FILE TOTAL

CA SUBSCRIBER PRICE ENTRY SESSION
-5.95 -5.95

FILE 'REGISTRY' ENTERED AT 06:18:48 ON 25 JAN 2010 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2010 American Chemical Society (ACS)

Property values tagged with IC are from the ${\tt ZIC/VINITI}$ data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 JAN 2010 HIGHEST RN 1202965-77-2 DICTIONARY FILE UPDATES: 22 JAN 2010 HIGHEST RN 1202965-77-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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```
7 8 9 10 11 12 13 14 15 16 23
ring nodes :
1 2 3 4 5 6
chain bonds :
6-7 \quad 7-8 \quad 8-9 \quad 9-10 \quad 10-11 \quad 11-12 \quad 12-13 \quad 13-14 \quad 14-15 \quad 15-16 \quad 15-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
7-8 9-10 10-11 11-12 12-13 13-14 15-16 15-23
exact bonds :
6-7 8-9 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
G1:0,S,N
G2:0,N
Hydrogen count :
9:>= minimum 2 12:>= minimum 2
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 23:CLASS
```

L11 STRUCTURE UPLOADED

=> d 111 L11 HAS NO ANSWERS L11 STR

chain nodes :

G1 O,S,N G2 O,N

Structure attributes must be viewed using STN Express query preparation.

=> search 111 subset =L5 sss sam
SAMPLE SUBSET SEARCH INITIATED 06:19:45 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED - 48 TO ITERATE

100.0% PROCESSED 48 ITERATIONS 43 ANSWERS SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):

PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):

PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):

467 TO 1253

L12 43 SEA SUB=L5 SSS SAM L11

=> d scan

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[[7-[[(2E)-3-[4-[3-(4-morpholinyl)-1-propyn-1-yl]phenyl]-3[4-(trifluoromethyl)phenyl]-2-propen-1-yl]oxy]-4-benzofuranyl]oxy]
MF C33 H28 F3 N O6

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[4-[[(2Z)-3-(4-bromopheny1)-5-pheny1-2-penten-4-yn-1-yl]oxy]-2-methylphenoxy]-

MF C26 H21 Br O4

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, [4-[[2-ethoxy-3,3-bis[3'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-propenyl]oxy]-2-methylphenoxy]- (9CI)

MF C40 H32 F6 O5

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN β -Muramic acid, N-acetyl-1-0-[2-(1-naphthalenyl)ethyl]-4,6-0-

(phenylmethylene) - (9CI)

MF C30 H33 N O8

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[4-[[(2Z)-3-[4-(cyclopropylthio)phenyl]-3-(4-iodophenyl)-2-propen-1-yl]oxy]-2-methylphenoxy]-, methyl ester

MF C28 H27 I O4 S

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[4-[[3,3-bis[4-[3-(1-pyrrolidiny1)-1-propyn-1-y1]pheny1]-2-propen-1-y1]oxy]-2-methylphenoxy]-, methyl ester

MF C39 H42 N2 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[4-[[3,3-bis(3',5'-dichloro[1,1'-biphenyl]-4-yl)-2-ethoxy-2-propen-1-yl]oxy]-2-methylphenoxy]-

MF C38 H30 C14 O5

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Benzoic acid, 3-[3-(2-ethoxy-2-oxoethoxy)-4-[[6-(4-methoxyphenyl)-5-hexenyl]oxy]benzoyl]-, methyl ester, (E)- (9CI)

MF C32 H34 O8

Double bond geometry as shown.

MeO OEt
$$(CH_2)_4$$
 O O OMe

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[4-[[(2Z)-3-[4-(1,1-dimethylethyl)phenyl]-3-[4-[3-(1H-pyrazol-1-yl)-1-propyn-1-yl]phenyl]-2-propen-1-yl]oxy]-2-methylphenoxy]-, methyl ester

MF C35 H36 N2 O4

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[4-[[3,3-bis[4-[3-(1-pyrrolidiny1)-1-propyn-1-y1]pheny1]-2-propen-1-y1]oxy]-2-methylphenoxy]-

MF C38 H40 N2 O4

CI COM

$$\begin{array}{c} \text{HO}_2\text{C}-\text{CH}_2-\text{O} \\ \text{Me} \\ \\ \text{O} \\ \text{CH}_2 \\ \\ \text{N}-\text{CH}_2-\text{C} \\ \text{C}-\text{CH}_2 \\ \\ \text{C} \\ \\ \text{C} \\ \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, [4-[[2-ethoxy-3,3-bis[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-propenyl]oxy]-2-methylphenoxy]- (9CI)

MF C40 H38 O9 S2

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN IN Propanoic acid, 2-[4-(3-phenylpropoxy)phenoxy]-MF C18 H20 O4

$$\begin{array}{c} \text{Me} \\ \mid \\ \text{O-CH-CO}_2\text{H} \end{array}$$
 Ph- (CH₂)₃-0

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[2-methyl-4-[[(2E)-3-[4-(5-methyl-2-thienyl)phenyl]-3-[4-[3-(4-morpholinyl)-1-propyn-1-yl]phenyl]-2-propen-1-yl]oxy]phenoxy]
MF C36 H35 N O5 S

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[2-([1,1'-biphenyl]-4-ylmethylene)-3-methylbutoxy]phenoxy]-2-methyl-

MF C29 H32 O4

$$\begin{array}{c|c} & \text{i-Pr} \\ \text{Me} & \text{O-CH}_2\text{-C} & \text{CH} \\ \text{Et-C-O} & \\ & \text{CO}_2\text{H} & \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[4-[2-[bis(3',4'-difluoro[1,1'-biphenyl]-4-yl)methylene]butoxy]-2-methylphenoxy]-

MF C38 H30 F4 O4

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-methyl-2-[[4-(4-phenylbutoxy)-4'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]oxy]-, ethyl ester

MF C29 H31 F3 O5

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[4-[((2E)-3-(4-chlorophenyl)-3-[4-[3-(1H-pyrazol-1-yl)-1-propyn-1-yl]phenyl]-2-propen-1-yl]oxy]-2-methylphenoxy]-

MF C30 H25 C1 N2 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN IN Butanoic acid, 2-methyl-2-[4-[3-[4-

Butanoic acid, 2-methyl-2-[4-[3-[4-[(methylsulfonyl)oxy]phenyl]propoxy]phenoxy]-, ethyl ester C23 H30 O7 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, [4-[2-[bis[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methylene]butoxy]-2-methylphenoxy]- (9CI)

MF C40 H32 F6 O4

MF

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanamide, N-(5-chloro-2-benzoxazoly1)-2-[4-[[2-(4-chloropheny1)-1,3,3,3-tetrafluoro-1-propen-1-y1]oxy]phenoxy]-, (2R)-

MF C25 H16 C12 F4 N2 O4

Absolute stereochemistry.

Double bond geometry unknown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[2-methyl-4-[[(2E)-3-[4-[2-(2-pyridinyl)ethynyl]phenyl]-3-[4-(trifluoromethyl)phenyl]-2-propen-1-yl]oxy]phenoxy]-

MF C32 H24 F3 N O4

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> search 111 subset =L5 sss full FULL SUBSET SEARCH INITIATED 06:20:48 FILE 'REGISTRY' FULL SUBSET SCREEN SEARCH COMPLETED - 873 TO ITERATE

100.0% PROCESSED 873 ITERATIONS 766 ANSWERS

SEARCH TIME: 00.00.01

L13 766 SEA SUB=L5 SSS FUL L11

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USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

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http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> 113 L14 68 L13

=> d 114 58-68 ti

- L14 ANSWER 58 OF 68 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of 2'-(6-phenyl-5-hexenyloxy)phenylpropionates and analogs as leukotriene B4 inhibitors
- L14 ANSWER 59 OF 68 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Stereochemistry of the macrolactins
- L14 ANSWER 60 OF 68 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Silver halide photographic material containing improved development-inhibitor-releasing coupler for good image sharpness
- L14 ANSWER 61 OF 68 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of benzamide phenylenealkyl ether derivatives as leukotriene-like drugs
- L14 ANSWER 62 OF 68 CAPLUS COPYRIGHT 2010 ACS on STN
- TI High-speed silver halide photographic material containing a useful group-releasing coupler
- L14 ANSWER 63 OF 68 CAPLUS COPYRIGHT 2010 ACS on STN
- ${\tt TI}$ Anti ${\tt SRS-A}$ carboxylic acid derivatives and pharmaceutical formulations containing them
- L14 ANSWER 64 OF 68 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Benzofuran and benzothiophene derivatives and their pharmaceutical use
- L14 ANSWER 65 OF 68 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Phenoxypropionic acid derivatives
- L14 ANSWER 66 OF 68 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Phenoxyalkanoic acids
- L14 ANSWER 67 OF 68 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Neuromuscular blocking properties of a series of bisquaternary tropines
- L14 ANSWER 68 OF 68 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Urinary excretion of a ninhydrin-positive compound, probably a peptide, after administration of $\beta\mbox{-aminoisobutyric}$ acid to the mouse

L14 ANSWER 65 OF 68 CAPLUS COPYRIGHT 2010 ACS on STN

TI Phenoxypropionic acid derivatives

AN 1978:50520 CAPLUS

DN 88:50520

OREF 88:7961a,7964a

TI Phenoxypropionic acid derivatives

IN Majoie, Bernard

PA Societe de Recherches Industrielles (SORI) S. A., Fr.

SO Ger. Offen., 35 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

| FAN. | PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|------|-------------|------|----------|-----------------|---|----------|
| ΡI | DE 2716189 | A1 | 19771027 | DE 1977-2716189 | _ | 19770412 |
| | | | | GB 1976-15777 | Α | 19760415 |
| | GB 1565972 | A | 19800423 | GB 1976-15777 | | 19760415 |
| | FR 2348182 | A1 | 19771110 | FR 1977-10456 | | 19770406 |
| | | | | GB 1976-15777 | Α | 19760415 |
| | AU 7724216 | A | 19781019 | AU 1977-24216 | | 19770413 |
| | | | | GB 1976-15777 | Α | 19760415 |
| | BE 853574 | A1 | 19771014 | BE 1977-55831 | | 19770414 |
| | | | | GB 1976-15777 | Α | 19760415 |
| | SE 7704303 | A | 19771016 | SE 1977-4303 | | 19770414 |
| | | | | GB 1976-15777 | Α | 19760415 |
| | DD 129777 | A5 | 19780208 | DD 1977-198400 | | 19770414 |
| | | | | GB 1976-15777 | Α | 19760415 |
| | NL 7704174 | A | 19771018 | NL 1977-4174 | | 19770415 |
| | | | | GB 1976-15777 | Α | 19760415 |
| | JP 52136138 | A | 19771114 | JP 1977-44020 | | 19770415 |
| | | | | GB 1976-15777 | Α | 19760415 |
| | ZA 7702310 | A | 19780329 | ZA 1977-2310 | | 19770415 |
| | | | | GB 1976-15777 | Α | 19760415 |

GΙ

$$C1$$
— CH_2O — $OCMe_2CO_2H_I$

AB A series of 3-ArZC6H4OCRMeCO2R1 (Ar = aryl; Z = e.g., O, S, OCH2, CH2O, CH:CH; R = H, (usually) Me; R1 = H, lower alkyl) (e.g., I, II) were prepared Thus, 4-ClC6H4CH2OC6H4OH-3 condensed with Me2CO and CHCl3 in the presence of NaOH to give I. The compds. were active as anticholesteremics and hypolipemics.

L14 ANSWER 66 OF 68 CAPLUS COPYRIGHT 2010 ACS on STN

TI Phenoxyalkanoic acids

AN 1976:164480 CAPLUS

```
DN 84:164480
OREF 84:26691a,26694a
    Phenoxyalkanoic acids
ΤI
     Kawamatsu, Yutaka; Asakawa, Hiroyuki; Saraie, Takahiro; Imamiya, Eiko;
IN
     Matano, Mitsuo; Hamuro, Yukihiko
     Takeda Chemical Industries, Ltd., Japan
PA
SO
     Jpn. Kokai Tokkyo Koho, 9 pp.
     CODEN: JKXXAF
DT
     Patent
    Japanese
LA
FAN.CNT 1
                                          APPLICATION NO.
     PATENT NO.
                       KIND DATE
                                          ______
                        A 19760123 JP 1974-79612 19740710
JP 1974-79612 A 19740710
PΤ
     JP 51008228
     Phenoxyalkanoic acids or esters RC6H4ZC6H4OCHR1CO2R2 [I; R = alkyl,
AΒ
     alkoxy, haloalkyl, halo; Z = Z1Z2 or Z2Z1 (Z1 = O, S, CO; Z2 = alkylene,
     alkenylene, alkyleneoxy, direct bond), but not Z = O; R1 and R2 = H,
     alkyl] were prepared by treating phenols RC6H4ZC6H4OH (II) with XCHR1CO2R2
     (X = halo). I are hypolipemic agents (no data). Thus, 11 g II (R =
     3-CF3, Z = CH2O, 4-substituted), prepared from 17 g 3-CF3C6H4CH2Br and 15.6
     g hydroquinone, was refluxed with 7.9 g 28% NaOMe and 5 g ClCHMeCO2Me in
     EtOH for 2.5 hr and hydrolyzed with aqueous NaOH to give 6 g I (R = 3-CF3, Z =
     CH2O, R1 = Me, R2 = H, 4-substituted). Among 14 addnl. I prepared were (R,
     Z, R1, R2, and substitution position given): H, (CH2)30, Me, H, 4; 3-C1,
     CH2O, Me, Me, 4; 4-Cl, CH2O, H, Me, 4; H, CH2O, Me, H, 3.
=> d his
     (FILE 'HOME' ENTERED AT 05:59:46 ON 25 JAN 2010)
     FILE 'REGISTRY' ENTERED AT 06:00:06 ON 25 JAN 2010
L1
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L2
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L3
              0 DSCAN
     FILE 'CAPLUS' ENTERED AT 06:01:42 ON 25 JAN 2010
L4
     FILE 'REGISTRY' ENTERED AT 06:04:03 ON 25 JAN 2010
L5
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                SAVE TEMP L5 OXYLTDRAW/A
     FILE 'CAPLUS' ENTERED AT 06:06:52 ON 25 JAN 2010
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1.6
                SAVE TEMP L6 OXYLTDREFS/A
         555427 ?LIPID?
L7
         207636 DIAB?
L8
         733476 L7 OR L8
L9
L10
             29 L6 AND L9
     FILE 'REGISTRY' ENTERED AT 06:18:48 ON 25 JAN 2010
                STRUCTURE UPLOADED
L11
L12
             43 SEARCH L11 SSS SAM SUB=L5
L13
            766 SEARCH L11 SSS FULL SUB=L5
     FILE 'CAPLUS' ENTERED AT 06:21:09 ON 25 JAN 2010
L14
            68 L13
=> 19 and 114
L15
           22 L9 AND L14
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- L15 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Structure-based drug design of a novel family of chalcones as $PPAR\alpha$ agonists: virtual screening, synthesis, and biological activities in vitro
- AN 2007:1456378 CAPLUS
- DN 148:158854
- TI Structure-based drug design of a novel family of chalcones as PPARα agonists: virtual screening, synthesis, and biological activities in vitro
- AU Li, Xiang-hua; Zou, Han-jun; Wu, An-hui; Ye, Yang-liang; Shen, Jian-hua
- CS Drug Discovery and Design Center, State Key Laboratory of Drug Research, Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Shanghai, 201203, Peop. Rep. China
- SO Acta Pharmacologica Sinica (2007), 28(12), 2040-2052 CODEN: APSCG5; ISSN: 1671-4083
- PB Blackwell Publishing Asia Pty Ltd.
- DT Journal
- LA English
- OS CASREACT 148:158854
- AB Aim: To design and synthesize a novel class of peroxisome proliferator-activated receptors (PPAR) α agonists, which is obtained by the combination of the classical fibrate "head group", a linker with appropriate length and a chalcone. Methods: Thirty seven compds. were designed and identified employing the virtual screening approach. Six compds. were then selected for synthesis and bioassay according to the virtual screening results, structural similarity, and synthetic complexity. Results: Six new compds. (4b and 4d-h) were synthesized and bioassayed. All were found to be potent PPAR α agonists, compound 4 h being the most prominent with a 50% effective concentration value of 0.06 μ mol/L. Conclusion: This study provides a promising novel family of chalcones with a potential hypolipidemic effect.
- OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L15 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN
- ${\tt TI}$ Preparation of 1,3-diethynylbenzene derivatives as agonists of peroxisome proliferator-activated receptors
- AN 2007:1028544 CAPLUS
- DN 147:365259
- TI Preparation of 1,3-diethynylbenzene derivatives as agonists of peroxisome proliferator-activated receptors
- IN Sauerberg, Per
- PA Novo Nordisk A/S, Den.
- SO PCT Int. Appl., 69pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

| 11114 | PA: | TENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION I | NO. | | D | ATE | |
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2007 | | | | A2
A3 | | 2007
2007 | | 1 | wo 2 | 007- | EP52 | 130 | | 2 | 00703 | 307 |
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| | | | MW, | MX, | MY, | MZ, | NA, | NG, | NΙ, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RS, |
| | | | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | ΤJ, | TM, | TN, | TR, | TT, | TZ, |
| | | | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | | | |
| | | RW: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FΙ, | FR, | GB, | GR, | HU, | ΙE, |

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        GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
        BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
                                        EP 2006-110887
                                                            A 20060309
                           20070913
CA 2645719
                     Α1
                                        CA 2007-2645719
                                                               20070307
                                        EP 2006-110887
                                                            Α
                                                               20060309
                                        WO 2007-EP52130
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EP 1999098
                     Α2
                           20081210
                                        EP 2007-726688
                                                               20070307
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                                        EP 2006-110887
                                                            A 20060309
                                        WO 2007-EP52130
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JP 2009529512
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                           20090820
                                        JP 2008-557754
                                                               20070307
                                        EP 2006-110887
                                                              20060309
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                                        WO 2007-EP52130
                                                               20070307
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US 20090048257
                           20090219
                                        US 2008-282244
                                                                20080909
                     Α1
                                        EP 2006-110887
                                                            Α
                                                               20060309
                                        WO 2007-EP52130
                                                               20070307
                                                            W
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 147:365259; MARPAT 147:365259

AB The title compds. with general formula I [wherein X1 = (un)substituted aryl, heteroaryl, heterocycle, etc.; X2 = H, halo, (un)substituted aryl-alkynyl, heteroaryl-alkynyl, etc.; Ar = (un)substituted aryl; Y and Z = independently O or S; n = 1-3; R = H, alkyl, cycloalkyl, alkenyl, etc.] or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, mixture of stereoisomers, or polymorphs thereof were prepared as agonists of peroxisome proliferator-activated receptors (PPAR δ). For example, compound II was prepared in a multi-step synthesis. PPAR transient transactivation assay, based on transient transfection into human HEK293 cells of two plasmids encoding a chimeric test protein and a reporter protein resp., was performed to evaluate the agonistic activity

of I towards PPAR δ . Formulations containing I as active ingredient was also disclosed.

- L15 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Synthesis of phenyloxy isobutyric acid derivatives and their antidiabetic activity in vitro
- AN 2007:723007 CAPLUS
- DN 148:205299
- TI Synthesis of phenyloxy isobutyric acid derivatives and their antidiabetic activity in vitro
- AU Zeng, Qingle; Wang, Heqing; Luo, Huan; Gao, Xiaoping; Liu, Zhongrong; Li, Bogang; Wang, Fengpeng; Zhao, Yufen
- CS Department of Chemistry, Xiamen University, Xiamen, 361005, Peop. Rep. China
- SO Yaoxue Xuebao (2006), 41(2), 108-114 CODEN: YHHPAL; ISSN: 0513-4870
- PB Yaoxue Xuebao Bianjibu
- DT Journal
- LA English
- OS CASREACT 148:205299
- AB The objective was to design and synthesize new phenyloxy isobutyric acid analogs as antidiabetic compds. Eight new target compds. were synthesized by combination of lipophilic moieties and acidic moiety with nucleophilic replacement or Mitsunobu condensation. The eight compds. were confirmed by 1H NMR, 13CN MR, IR and MS. In vitro insulin-sensitizing activity (3T3-L1 adipocyte) demonstrated, that the cultured glucose concentration of up-clear solution detected with GOD-POD assay were 5.942, 6.339, 6.226 and 6.512 mmol·L-1, resp., when rosiglitazone, pioglitazone, compds. A and B were added to the insulin-resistant system. In vitro insulin-sensitizing activity of target compound A is in between that of rosiglitazone and pioglitazone, and activity of target compound B is slightly less than that of pioglitazone.
- OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L15 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of cyclic alkenyl compounds as PPAR δ activators for treating various disease including diabetes and obesity
- AN 2007:705845 CAPLUS
- DN 147:118032
- TI Preparation of cyclic alkenyl compounds as PPAR δ activators for treating various disease including diabetes and obesity
- IN Sauerberg, Per; Pihera, Pavel; Polivka, Zdenek; Havranek, Miroslav;
 Pettersson, Ingrid; Mogensen, John Patrick
- PA Novo Nordisk A/S, Den.
- SO PCT Int. Appl., 216 pp.
 - CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

| | PATENT NO. | | | | KIN | D | DATE | | | APPL | ICAT | ION 1 | NO. | | D. | ATE | |
|----|------------|-----|-----|-----|----------|-----|------------------|-----|-----|------|------|-------|-----|-----|-----|------|-----|
| PI | WO 200 | | | | A2
A3 | |
2007
2007 | | , | WO 2 | 006- | EP70 | 096 | | 2 | 0061 | 221 |
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| | | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | ΤJ, | TM, | TN, | TR, | TT, |
| | | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | | |

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                                        EP 2005-112758
                                                            A 20051222
                                        EP 2006-115631
                                                             A 20060619
                                        WO 2006-EP70096
                                                            W 20061221
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 147:118032; MARPAT 147:118032

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel compds. of the general formula I (wherein the "large X" is a double bond, X1 is heterocyclyl, aryl, heteroaryl, etc.; X2 is (un)substituted arylene or heteroarylene; X3 is (un)substituted aryl or heteroaryl; Ar is (un)substituted arylene; Y1 is O or S; and Y2 is O, S or CH2; and Z is $-(\text{CH2})\,\text{n-}$ wherein n = 1-3; and R1 = H, halo, etc.) the use of these compds. as pharmaceuticals, pharmaceutical compns. comprising the compds. and methods of treatment employing these compds. and compns. are claimed. The present compds. are activators of PPAR δ and should be useful for treating conditions mediated by the same, such as diabetes,

impaired glucose tolerance, insulin resistance, cardiovascular disease, etc.; no biol. data is given in the patent. Example compound II was prepared by reacting Me (Z)-[4-[3-(4-iodophenyl)-3-(4-iodophenyl)]trifluoromethylphenyl)allyloxy]-2-methylphenoxy]acetate with 2-ethynylpyridine and converting the ester obtained to the acid.

- L15 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN
- MAO-B inhibitors useful for treating obesity ΤI
- 2006:1285878 CAPLUS AN
- DN 146:39059
- MAO-B inhibitors useful for treating obesity ΤI
- ΙN McElroy, John F.; Chorvat, Robert J.
- PΑ Rajagopalan, Parthasarathi, India
- PCT Int. Appl., 138 pp. SO
 - CODEN: PIXXD2
- DT Patent

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| | | | VN, | YU, | ZA, | ZM, | ZW | | | | | | | | | | | | |
| | | R₩: | | | | | | CZ,
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NA, | | | | | | | | | | | |
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 146:39059

GΙ

AB The invention provides novel compds. of formulas I and II, both of which are monoamine oxidase-B inhibitors, which can be useful in treating obesity, diabetes, and/or cardiometabolic disorders (e.g., hypertension, dyslipidemias, high blood pressure, and insulin resistance).

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN

TI Preparation of hydroxyphenol derivatives as agonists of PPAR γ for the treatment of dyslipidemia, atherosclerosis and diabetes

AN 2006:700040 CAPLUS

DN 145:145425

TI Preparation of hydroxyphenol derivatives as agonists of PPAR γ for the treatment of dyslipidemia, atherosclerosis and diabetes

IN Adje, Nathalie; Vidal, Catherine; Zeiller, Jean-Jacques; Yvon, Stephane

PA Merck Patent GmbH, Germany

SO PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| FAN. | PATENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION 1 | NO. | | D | ATE | |
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005-: |
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858 | | 2 | 0051 | 222 |
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| | | MZ, | NA, | NG, | NI, | NO, | NΖ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, |
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| | | GM, | KΕ, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | ΑM, | ΑZ, | BY, |
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| BK | 20050198 | 00 | | A2 | | 2009 | 0324 | | | 2005- | |) | 70 | 20051 | |
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| ΔA | 200/000/ | <i>J</i> 0 | | A | | 2000 | 0343 | | | 2007- | | | A | 20070 | |
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 145:145425; MARPAT 145:145425 GI

AB Title compds. I [wherein R1 = OH, (un)substituted alkoxy, amine, etc.; A = divalent hydrocarbyl; R2 = alkyl, (un)substituted cycloalkylalkyl, arylalkyl, etc.; X = O or S; Y, Z = H, halo, alkyl, etc.; Y and Z may link together to form a oxo-containing 5-membered ring] and optical isomers, oxide forms, solvates, and pharmaceutically acceptable addition salts thereof were prepared as partial or full agonists of peroxisome proliferator-activated receptor PPARγ, with differing degrees of PPARα and/or PPARδ activity. For instance, double etherification of 5,6-dihydroxyindan-1-one with 1-iodopentane (48% yield) followed by Me 3-bromomethylbenzoate (71% yield) gave II. Basic hydrolysis of this Me ester led to the corresponding benzoic acid in 68% yield. One analog of

the acid was tested and found to have activation factor of the chimeric protein PPAR γ -Gal4 of 9.10 at a concentration of 10 μ M. Therefore, I and their pharmaceutical compns. are useful in the treatment of dyslipidemia, atherosclerosis and diabetes.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L15 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of bis-phenylethynyl-phenyl-allylsulfanyl-phenoxy acetic acids as agonists of PPAR
- AN 2005:1193221 CAPLUS
- DN 143:459867
- TI Preparation of bis-phenylethynyl-phenyl-allylsulfanyl-phenoxy acetic acids as agonists of PPAR
- IN Polivka, Zdenek; Sindelar, Karel; Sauerberg, Per; Pettersson, Ingrid
- PA Novo Nordisk A/S, Den.
- SO PCT Int. Appl., 103 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

GΙ

| | PATENT | | KIND | I | DATE | | | APPL | ICAT | ION I | . O <i>l</i> . | | D | ATE | | |
|----|---------|-----------|-------|-------|------|-------|--------|------|----------|-----------|----------------|-----|-----|-----|------|-----|
| ΡI | WO 2005 | 5105735 | • | A1 | - | 20051 | 1110 | |
WO 2 |
005-: | EP52 | 012 | | 2 | 0050 | 503 |
| | W: | AE, AG, | AL, | AM, | ΑT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | CN, CO, | CR, | CU, (| CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FΙ, | GB, | GD, |
| | | GE, GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KP, | KR, | KΖ, |
| | | LC, LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, |
| | | NI, NO, | NZ, | OM, I | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, |
| | | SM, SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, |
| | | ZM, ZW | | | | | | | | | | | | | | |
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| | | RO, SE, | SI, | SK, | TR, | BF, | ΒJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, |
| | | MR, NE, | SN, | TD, | ΤG | | | | | | | | | | | |
| | | | | | | | | | DK 2 | 004- | 717 | | | A 2 | 0040 | 505 |
| | EP 1763 | 3511 | | A1 | 2 | 20070 | 321 | | EP 2 | 005- | 7429 | 88 | | 2 | 0050 | 503 |
| | R: | AT, BE, | BG, | CH, (| CY, | CZ, | DE, | DK, | EE, | ES, | FΙ, | FR, | GB, | GR, | HU, | ΙE, |
| | | IS, IT, | LI, | LT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR | | |
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| | | | | | | | | , | WO 2 | 005- | EP52 | 012 | 1 | W 2 | 0050 | 503 |
| | JP 2007 | 7536341 | | T | 4 | 2007 | 1213 | 1 | JP 2 | 007- | 5121 | 87 | | 2 | 0050 | 503 |
| | | | | | | | | | DK 2 | 004- | 717 | | 1 | A 2 | 0040 | 505 |
| | | | | | | | | , | WO 2 | 005- | EP52 | 012 | 1 | W 2 | 0050 | 503 |
| OS | CASREAC | CT 143:45 | 9867; | MAR | PAT | 143 | : 4598 | 367 | | | | | | | | |

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Title compds. I [X1 = (un)substituted aryl, heteroaryl, cycloalkyl, etc.; X2 and X4 independently = (un)substituted arylene or heteroarylene; X3 = (un)substituted aryl, heteroaryl, alkyl, etc.; Ar = (un)substituted arylene; Y1 and Y2 independently = O or S; Z = (CH2)n; n = 1-3; R1 = H, halo, (un)substituted alkyl, etc.; R2 = H, alkenyl, alkynyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as agonists of PPAR. Thus, e.g., II was prepared by coupling of 4,4'-(phenyl-ethynyl)benzophenone with tri-Et phosphonoacetate followed by reduction/bromination sequence to give 3,3-bis[4-(phenylethinyl)phenyl]allyl

bromide (III). Then, III underwent coupling with Et (4-mercapto-2-methylphenoxy)acetate and subsequent hydrolysis yielded acid II. The activity of I was evaluated using in vitro transactivation assay using human HEK293 cells (no data). I as agonist of PPAR should prove useful in the treatment of diabetes type I, diabetes type II and metabolic syndrome X. Pharmaceutical compns. comprising I are disclosed.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN

- TI Preparation of alkynyl substituted phenoxyacetic acids as PPAR δ agonists
- AN 2005:1193203 CAPLUS
- DN 143:459868
- TI Preparation of alkynyl substituted phenoxyacetic acids as PPAR δ agonists
- IN Havranek, Miroslav; Sauerberg, Per; Pettersson, Ingrid
- PA Novo Nordisk A/S, Den.
- SO PCT Int. Appl., 83 pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 1

| | PAT | ATENT NO. | | | | KIN | D | DATE | | | APPL | ICAT | ION : | NO. | | D | ATE | |
|----|----------------|-----------|----------|--------|-----|-----|------|------|------|------|------|-----------|----------|---------|------|------|------|-----|
| ΡI | WO | 2005 |
1057 |
25 | | A1 | _ | 2005 | 1110 | | WO 2 |
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| | | | ZM, | ZW | | | | | | | | | | | | | | |
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| | | | EE, | ES, | FΙ, | FR, | GB, | GR, | HU, | ΙE, | IS, | IT, | LT, | LU, | MC, | NL, | PL, | PT, |
| | | | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, |
| | | | MR, | NE, | SN, | TD, | ΤG | | | | | | | | | | | |
| | | | | | | | | | | | DK 2 | 004- | 716 | | | A 2 | 0040 | 505 |
| | EP | 1745 | 002 | | | A1 | | 2007 | 0124 | | EP 2 | 005- | 7473 | 82 | | 2 | 0050 | 503 |
| | | R: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FΙ, | FR, | GB, | GR, | HU, | IE, |
| | | | IS, | ΙΤ, | LI, | LT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR | | |
| | | | | | | | | | | | DK 2 | 004- | 716 | | 1 | A 2 | 0040 | 505 |
| | | | | | | | | | | | WO 2 | 005- | EP52 | 010 | 1 | W 2 | 0050 | 503 |
| | JΡ | 2007 | 5363 | 40 | | Τ | | 2007 | 1213 | | JP 2 | 007- | 5121 | 86 | | 2 | 0050 | 503 |
| | | | | | | | | | | | DK 2 | 004- | 716 | | | A 2 | 0040 | 505 |
| | | | | | | | | | | | WO 2 | | - | | | | 0050 | 503 |
| | US 20080114036 | | | | A1 | | 2008 | 0515 | | US 2 | 007- | | | | | 0071 | | |
| | | | | | | | | | DK 2 | 004- | 716 | | | A 2 | 0040 | 505 | | |
| | | | | | | | | | | | WO 2 | 005- | EP52 | 010 | 1 | W 2 | 0050 | 503 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 143:459868; MARPAT 143:459868

$$x^{1}$$
 $C > C$
 x^{3}
 x^{2}
 y^{1}
 Ar
 y^{2}
 Z
 O
 R^{2}

AB The title compds. I [X1 = aryl, heteroaryl, alkyl, etc.; X2 = aryl, heteroaryl, H, etc.; X3 = arylene, heteroarylene; Ar = arylene; Y1 = 0, S; Y2 = 0, S; Z = (CH2)n; n = 1-3; R1 = H, halo, alkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.] which are useful in the treatment and/or prevention of conditions mediated by Peroxisome Proliferator-Activated Receptors (PPAR), in particular the PPAR δ subtype, namely, type 1 diabetes, type 2 diabetes, dyslipidemia, syndrome X (including the metabolic syndrome, i.e. impaired glucose tolerance, insulin resistance, hypertriglyceridemia and/or obesity), cardiovascular diseases (including atherosclerosis) and hypercholesterolemia (no data), were prepared and formulated. E.g., a multi-step synthesis of II, starting from 4-bromobenzaldehyde, was given.

ΙI

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN

TI Preparation of phenoxyalkanoates as PPAR- α and PPAR- γ agonists and inhibitors of HMG CoA reductase

AN 2005:395261 CAPLUS

DN 142:446999

TI Preparation of phenoxyalkanoates as PPAR- α and PPAR- γ agonists and inhibitors of HMG CoA reductase

IN Gurram, Ranga Madhavan; Bhuniya, Debnath; Das, Saibal Kumar; Chakrabarti, Ranjan; Iqbal, Javed; Sharma, Sudhir Kumar

PA Reddy's Laboratories Ltd., India

SO PCT Int. Appl., 115 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND APPLICATION NO. DATE DATE ______ _____ ____ _____ WO 2005040104 A1 20050506 WO 2004-IB208 20040129 РΤ W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

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       NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
        TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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        BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
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        TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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ZA 2006002491
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US 20070043035
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                                      WO 2004-IB208
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                                                            20040129
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 142:446999; MARPAT 142:446999

$$R^{1}$$

$$R^{4}$$

$$X-Ar$$

$$p$$

$$R^{5}$$

$$R^{6}$$

$$I$$

Title compds. I [Ar = (un)substituted single or fused-aryl, -heteroaryl, AB -heterocycle; R1 and R2 independently = H, halo, nitro, etc.; R3 and R4 independently = H, (un)substituted-alkyl, -cycloalkyl, etc.; X = O, S, NR; R = H, (un)substituted-aryl, -alkanoyl, etc.; Z = O, S, NR; R5, R6, and R7 independently = H, OH, (un) substituted alkoxy, etc.; R5 and R6 together = 5- or 6-membered ring containing one or two hetero atoms selected from O, S, or N; Y = O, NR11; R11 = H, (un)substituted-heteroaryl, -aroyl, etc.; R7 and R11 together = 5- or 6-membered ring containing one or two hetero atoms selected from O, S, or N] and their pharmaceutically acceptable salts are prepared and disclosed as useful agonists of PPAR-lpha and PPAR- γ and inhibitors or HMG CoA reductase. Thus, e.g., II was prepared by Wittig-Horner reaction of 4-acetyl biphenyl with tri-Et phosphonoacetate followed by reduction and Mitsunobu reaction with Et 2-(4-hydroxyphenoxy)-2-methylpropanoate. The activity of I was evaluated in vivo utilizing hypercholesterolemic rat models and it was revealed that a selected compound of the invention displayed a cholesterol lowering effect of 60%, a triglyceride lowering effect of 52%, as well as an increase in HDL of 70%. I as agonists of PPAR- α and PPAR- γ should prove useful in the treatment of diseases such as diabetes and dyslipidemia. Pharmaceutical compns. comprising I are disclosed.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN

TI Preparation of dimeric dicarboxylic acid derivatives as PPAR agonists

AN 2004:546467 CAPLUS

DN 141:106263

TI Preparation of dimeric dicarboxylic acid derivatives as PPAR agonists

IN Sauerberg, Per; Jeppesen, Lone; Polivka, Zdenek; Sindelar, Karel

PA Novo Nordisk A/S, Den.

SO PCT Int. Appl., 114 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PA: | CENT : | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION 1 | NO. | | D | ATE | | |
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| ΡI | WO | 2004 | | | | | | | | | | | | | | | 0031 | | |
| | | W: | | | | | | AU, | | | | | | | | | | | |
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| | | | | | | | | LV, | | | | | | | , | | | | |
| | | | | | | | | PT, | | | | | | | | | SY, | ΤJ, | |
| | | | | , | , | | | UA, | | | | | | | , | | | | |
| | | RW: | | | | | | MW, | | | | | | | | | | | |
| | | | | • | • | • | | ΤJ, | • | | • | • | | | | • | • | • | |
| | | | • | • | • | • | • | HU, | • | • | • | • | • | • | • | • | • | • | |
| | | | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | | | | | | | | | | ΤG |
| | | 0004 | 0050 | 0 - 0 | | 3.4 | | 0004 | 1000 | | | | 1966 | | | | | | |
| | US | 2004 | 0259 | 950 | | AI | | 2004 | 1223 | | | | | | | | 0031 | | |
| | | | | | | | | | | | | | 1966 | | | | | | |
| | 70 5 7 | 2002 | 0070 | 1 0 | | 70 1 | | 2004 | 0714 | | | | 4394 | | | | | | |
| | ΑU | 2003 | 28/9 | 12 | | AI | | 2004 | 0/14 | | | | 2879
1966 | | | | 0031 | | |
| | | | | | | | | | | | | | | | | | | | |
| | מת | 1578 | 716 | | | 7\1 | | 2005 | 0020 | | | | DK89. | | | | 0031 | | |
| | E.F | | | | | | | ES, | | | | | | - | | | | | |
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| | | | 111, | υ . , | шт, | ш∨, | гт, | NO, | riiv, | | | | 1966 | • | | | | 220 | |
| | | | | | | | | | | | | | DK89. | | | | | | |
| | .TD | 2006 | 5106 | 87 | | т | | 2006 | N 3 3 N | | | | 5610 | | | | 0031 | | |
| | OL | 2000 | 5100 | · / | | _ | | 2000 | 0000 | | | | 1966 | | | | | | |
| | | | | | | | | | | | | | DK89. | | | | | | |
| | | | | | | | | | | | | | | - | | | | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 141:106263

AB The title compds. DOC(O)AXLTZUMYBC(O)OE [I; A, B = (un)substituted alkylene, O(alkylene), S(alkylene); D, E = H, alkyl, cycloalkyl; L, M = O, S; T, U = (un)substituted divalent saturated carbon chain, NR1(alkylene) (wherein R1 = H, alkyl); X, Y = (un)substituted arylene, heteroarylene; Z = (un)substituted arylene, heteroarylene, divalent polycyclic ring system] which may be useful in the treatment and/or prevention of conditions mediated by Peroxisome Proliferator-Activated Receptors (PPAR) (no specific biol. data given), were prepared and formulated. E.g., a multi-step synthesis of II, is given. The compds. I are claimed as selective PPAR δ agonists useful in treating diabetes, syndrome X, cardiovascular diseases, dyslipidemia, and hypercholesteremia.

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ТΤ
        Receptor function controlling agent
         2004:412803 CAPLUS
ΑN
DN
        141:1264
ΤI
         Receptor function controlling agent
         Fukatsu, Kohji; Sasaki, Shinobu; Hinuma, Shuji; Ito, Yasuaki; Suzuki,
ΙN
         Nobuhiro; Harada, Masataka; Yasuma, Tsuneo
PA
         Takeda Chemical Industries, Ltd., Japan
         PCT Int. Appl., 442 pp.
         CODEN: PIXXD2
         Patent
DT
LA
        Japanese
FAN.CNT 2
         PATENT NO.
                                           KIND DATE APPLICATION NO.
        _____
                                             A1 20040521 WO 2003-JP14139
                                                                                                                          20031106
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                        CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
                       GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
                        PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
                RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
                                                                               A, GN, GQ, GW, ML, MR, NE, SN, TD,
JP 2002-324632 A 20021108
JP 2003-16889 A 20030530
CA 2003-2505322 20031106
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JP 2003-16889 A 20030530
WO 2003-JP14139 W 20031106
JP 2002-324632 A 20021108
AU 2003-277576 20031106
JP 2002-324632 A 20021108
JP 2003-16889 A 20030530
WO 203-JP14139 W 2003127
JP 2003-16889 A 20030530
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JP 2003-376833 2003127
JP 2003-376833 20031106
JP 2003-153986 A 20030530
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JP 2003-153986 A 20030127
JP 2003-153986 A 20030530
JP 2003-810621 D 20031106
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        AU 2003277576 A1
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                                              A1 20050803
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                        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
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JP 2003-153986 A 20030530
WO 2003-JP14139 W 20031106
CN 2003-80108260 20031106
JP 2002-324632 A 20021108
JP 2003-16889 A 20030530
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JP 2002-324632 A 20021108
JP 2003-16889 A 20030127
JP 2003-16889 A 20030127
JP 2003-153986 A 20030530
WO 2003-JP14139 W 20031106
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         CN 1735408
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PATENT FAMILY INFORMATION:
FAN 2004:1059297
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                                                           DATE
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                                                                                                                           DATE
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              LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
              NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
              TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
          RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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              EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
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              SN, TD, TG
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A 20040507
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CA 2004-2527691 20040528

JP 2003-153986 A 20030530

JP 2004-139144 A 20040507

WO 2004-JP7770 W 20040528

JP 2004-158907 20040528

JP 2003-153986 A 20030530

JP 2004-139144 A 20040507

EP 2004-745580 20040528

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                                                                      A 20040507
                                                                  W 20040528
                                                 WO 2004-JP7770
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
     MARPAT 141:1264
OS
     A GPR40 receptor function controlling agent which contains a compound having
AΒ
     an aromatic ring and a group capable of releasing a cation and is useful as a
     insulin secretion promoting agent or a preventive/remedy for
     diabetes, etc.
OSC.G 18
               THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (37 CITINGS)
RE.CNT 6
               THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
L15 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN
     Preparation of [[(diarylallyl)sulfanyl]phenoxy]acetic acids and esters as
     PPAR activators for treatment of diabetes and related conditions
     2004:370892 CAPLUS
ΑN
     140:374984
DN
ΤI
     Preparation of [[(diarylallyl)sulfanyl]phenoxy]acetic acids and esters as
     PPAR activators for treatment of diabetes and related conditions
     Jeppesen, Lone; Mogensen, John Patrick; Pettersson, Ingrid; Sauerberg,
IN
     Per; Pihera, Pavel; Havranek, Miroslav
PA
     Novo Nordisk A/S, Den.
SO
     PCT Int. Appl., 124 pp.
     CODEN: PIXXD2
DT
     Patent
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     PATENT NO.
                          KIND DATE APPLICATION NO.
                                                                          DATE
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      WO 2004037776
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      20040506

      WO 2004037776
      A3
      20040610

PΙ
                                                WO 2003-DK722
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WO 2004106276 A1 20041209 WO 2004-JP7770 20040528

PΤ

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CN | 2003-
2003- | DK72
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| JP | 2006 | 5039 | 08 | | T | : | 2006 | 0202 | | DK
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20021 | 526
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028 |
| RU | 2349 | 582 | | | C2 | : | 2009 | 0320 | | WO
RU | 2003-
2003-
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

$$X^1$$
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Title compds. I [wherein X1 and X2 = independently (un)substituted AB (hetero)aryl; Ar = (un)substituted arylene; Y1 and Y2 = independently O or S; Z = (CH2)n; n = 1-3; R1 = H, halo, or optionally halo-substituted (cyclo)alkyl, alkenyl, alkynyl, (hetero)aralkyl, (cyclo)alkoxy, aryloxy, (hetero)aralkoxy, (cyclo)alkylthio, or arylthio; R2 = H, (cyclo)alkyl, alkenyl, alkynyl, alkenynyl, or aryl; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, mixts. of stereoisomers, or polymorphs thereof] were prepared as peroxisome proliferator activated receptors (PPAR) activators (no data). Thus, I and their pharmaceutical compns. are useful for the treatment and/or prevention of conditions mediated by PPAR, particularly subtype PPAR δ , such as diabetes, impaired glucose tolerance, insulin resistance, obesity, dyslipidemia, syndrome X, cardiovascular disease, and hypercholesteremia (no data). For example, coupling of 4,4'-dibromobenzophenone with tri-Et phosphonoacetate in toluene and THF using NaH provided Et 3,3-bis(4-bromophenyl)acrylate (73%). Reduction of the ester to the alc. (76%) using DIBAL-H in THF and toluene, followed by reaction with (4-mercapto-2-methylphenoxy)acetic acid Me ester in the presence of ADDP and tributylphosphine in THF gave II (88%).

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN

TI Preparation of [[[bis(biphenylyl)allyl]oxy]phenoxy]acetic acids and analogs as PPAR δ agonists for treatment of diabetes and related conditions

AN 2004:220310 CAPLUS

DN 140:270625

TI Preparation of [[[bis(biphenylyl)allyl]oxy]phenoxy]acetic acids and analogs as PPAR δ agonists for treatment of diabetes and related conditions

IN Jeppesen, Lone; Mogensen, John Patrick; Pettersson, Ingrid; Sauerberg, Per

PA Novo Nordisk A/s, Den.

SO PCT Int. Appl., 78 pp. CODEN: PIXXD2

DT Patent

LA English

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Z, TZ, | | | דעד קיז | 7. 1./1 | 7\ \(\tau\) | DV |
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| | MX | 2005 | 0024 | 11 | | А | | 2005 | 0527 | | | 2005- | | | | | 20050 | |
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| | ΙN | 2005 | DN00 | 976 | | А | | 2009 | 1030 | | | 2005- | | | | | 20050 | |
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| 700 | ГСИТИТ | יז ידואיב | тстл | DV E | ח זי | י עם ט | רואיםיד | ר אַזאַ | TIND: | י ים ז | | 2003- | | | | | 20030 | JU4 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 140:270625

AΒ Title vinyl carboxylic acid derivs. I [wherein X1 and X3 = independently (un) substituted (hetero) aryl; X2 and X4 = independently (un) substituted (hetero)arylene; Ar = (un)substituted arylene; Y1 and Y2 = independently O or S; Z = (CH2)n; n = 1-3; R1 = H, halo, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (hetero)aralkyl, (cyclo)alkoxy, aryloxy, (hetero)aralkoxy, (cyclo)alkylthio, arylthio; R2 = H, (cyclo)alkyl, alkenyl, alkynyl, or aryl; or pharmaceutically acceptable salts, solvates, tautomers, stereoisomers, or polymorphs thereof] were prepared as peroxisome proliferator-activated receptor δ (PPAR δ) agonists (no data). For example, 4,4'-dibromobenzophenone was coupled with tri-Et phosphonoacetate in the presence of NaH in toluene to give Et 3,3-bis(4-bromophenyl)acrylate (73%). Reduction using DIBAL-H in THF (76%), followed by ADDP-catalyzed condensation with (4-mercapto-2-methylphenoxy)acetic acid Me ester in THF (88%) afforded [4-[3,3-bis(4-bromophenyl)allylsulfanyl]-2-methylphenoxy]acetic acid Me ester. Saponification (93%) and substitution with phenylboronic acid using KF, Pd2(dba)3, and Pd[P(t-Bu)3]2 in THF (53%) provided II. Also disclosed is the use of I and their pharmaceutical compns. for the treatment of PPAR δ -mediated conditions, such as diabetes, impaired glucose tolerance, insulin resistance, or obesity (no data). OSC.G THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS) 4 RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

L15 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN

CO2H

ΙI

TI Preparation of imidazole and benzimidazole derivatives that inhibit the interaction of ligands with RAGE

ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN 2003:737580 CAPLUS

DN 139:261298

TI Preparation of imidazole and benzimidazole derivatives that inhibit the interaction of ligands with RAGE

IN Mjalli, Adnan M. M.; Andrews, Robert C.; Gopalaswamy, Ramesh; Hari,
 Anitha; Avor, Kwasi; Qabaja, Ghassan; Guo, Xiao-Chuan; Gupta, Suparna;
 Jones, David R.; Chen, Xin

PA Transtech Pharma, Inc., USA

SO PCT Int. Appl., 462 pp. CODEN: PIXXD2

DT LA Patent English

| LA English
FAN.CNT 6 | | | | |
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WO 200307592 | 21 A2 | 20030918 | | |
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GM,
LS,
PL, | CR, CU, CZ,
HR, HU, ID,
LT, LU, LV,
PT, RO, RU, | DE, DK, DM, IL, IN, IS, MA, MD, MG, SC, SD, SE, | BA, BB, BG, BR, BY, DZ, EC, EE, ES, FI, JP, KE, KG, KP, KR, MK, MN, MW, MX, MZ, SG, SK, SL, TJ, TM, | GB, GD, GE, GH,
KZ, LC, LK, LR,
NO, NZ, OM, PH, |
| RW: GH,
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BE, BG, CH, CY, CZ,
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SE, SI, SK, TR,
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| FAN | 2002:695779
PATENT NO. | KIND DATE | APPLICATION NO. | |
| DT | | 71 20020012 |
WO 2002-US6706 | 20020205 |
| ΡΙ | W: AE, AG, AL,
CO, CR, CU,
GM, HR, HU,
LS, LT, LU,
PL, PT, RO,
UA, UG, US, | AM, AT, AU, AZ, CZ, DE, DK, DM, ID, IL, IN, IS, LV, MA, MD, MG, RU, SD, SE, SG, UZ, VN, YU, ZA, | BA, BB, BG, BR, BY, DZ, EC, EE, ES, FI, JP, KE, KG, KP, KR, MK, MN, MW, MX, MZ, SI, SK, SL, TJ, TM, ZM, ZW | BZ, CA, CH, CN,
GB, GD, GE, GH,
KZ, LC, LK, LR,
NO, NZ, OM, PH,
TN, TR, TT, TZ, |
| | CY, DE, DK, | ES, FI, FR, GB, | SL, SZ, TZ, UG, ZM,
GR, IE, IT, LU, MC,
GN, GQ, GW, ML, MR, | NL, PT, SE, TR,
NE, SN, TD, TG |
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| | AU 2002245590
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B2 20060629 | AU 2002-245590 | 20020305 |
| | | | US 2001-273377P
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| | | A1 20040211
DE, DK, ES, FR,
LV, FI, RO, MK, | | NL, SE, MC, PT, |
| | CN 1494423
CN 1235583 | A 20040505
C 20060111 | US 2001-273377P
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| | JP 2004523565 | T 20040805 | US 2001-273377P
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P 20010305 |
| | AU 2006203512
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OS MARPAT 139:261298

GΙ

$$R^1$$
 A
 R^3

AB Title compds. and analogs I [wherein A = O, S, or NR2; R1 and R2 = independently H or (un)substituted (hetero)aryl, (cyclo)alkyl, heterocyclyl, alkenyl, alkynyl, alkylene(hetero)aryl, alkylene heterocyclyl, alkylene cycloalkyl, etc.; R3 and R4 = independently H,

halo, OH, CN, CONH2, CO2H, or (un)substituted (hetero)aryl, (cyclo)alkyl, heterocyclyl, alkenyl, alkynyl, alkylene(hetero)aryl, alkylene heterocyclyl, alkylene cycloalkyl, etc.; and pharmaceutically acceptable salts thereof] were prepared as modulators of the interaction between the receptor for advanced glycated end products (RAGE) and its ligands, such

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as advanced glycated end products (AGEs), S100/calgranulin/EN-RAGE, $\beta\text{-amyloid},$ and amphoterin. For example,

β-amyloid, and amphoterin. For example, 1-BOC-4-[2-(4-amino-3-butylaminophenoxy) ethyl]piperazine was condensed with 3-hydroxybenzaldehyde to give the hydroxybenzimidazole. Coupling with cyclohexylmethyl bromide in the presence of NaH in THF afforded II. In binding studies employing S100b as the RAGE ligand, five hundred fifty-one invention compds. exhibited binding with IC50 values of < 10 μM. Thus, I and their pharmaceutical compns. are useful for the management, treatment, control, or as an adjunct treatment for diseases in humans caused by RAGE, including acute and chronic inflammation, the development of diabetic late complications such as increased vascular permeability, nephropathy, atherosclerosis, and retinopathy, the development of Alzheimer's disease, erectile dysfunction, and tumor invasion and metastasis (no data).

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS) RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD

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- TI Preparation of 5-amino-4-phenyl-1H-imidazoles as inhibitors of protein tyrosine phosphatase 1B (PTP-1B)
- AN 2003:331970 CAPLUS
- DN 138:338171
- TI Preparation of 5-amino-4-phenyl-1H-imidazoles as inhibitors of protein tyrosine phosphatase 1B (PTP-1B)
- IN Behnke, Dirk; Taube, Roswita; Cappi, Michael William
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- DT Patent
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FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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DE 2001-10150172 | 20011011
20011011 |

OS MARPAT 138:338171

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- AB Title compds. [I; R1-R5 = H, halo, OH, amino, NO2, thiolyl, (hetero)alkyl, (hetero)aryl, (hetero)cycloalkyl, (hetero)aralkyl; R6-R8 = H, (hetero)alkyl, (hetero)aryl, (hetero)cycloalkyl, (hetero)aralkyl; or R7R8 together with the adjoining atoms = heteroaryl, heteroalkyl, heterocycloalkyl], were prepared Several I inhibited PTP-1B with IC50 = 0.18-0.83 μM . I are especially useful for the prevention and treatment of diabetes or obesity (fatty degeneration).
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- IN Sauerberg, Per; Bury, Paul Stanley; Jeppesen, Lone; Mogensen, John Patrick
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 138:337836

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A novel class of dicarboxylic acid derivs., I, is disclosed [wherein: A = AΒ (un)substituted C1-3 alkylene, or A'O or A'S where A' is (un)substituted C1-3 alkylene; B = (un)substituted C1-3 alkylene, or OB' or SB' where B' is (un)substituted C1-3 alkylene; D, E = H, C1-6 alkyl, C3-6 cycloalkyl; L, M = O or S; T, U = C3-9 divalent, (un)substituted, unsatd. carbon chain; X, Y = (un)substituted arylene or heteroarylene; Z = (un) substituted arylene, heteroarylene, or divalent polycyclic ring system]. Also disclosed is the use of I in pharmaceutical compns., pharmaceutical compns. comprising I, and methods of treatment employing I and the compns. The present compds. may be useful (no data) in the treatment and/or prevention of conditions mediated by peroxisome proliferator-activated receptors (PPAR). For example, 1,4-diiodobenzene was coupled with excess 2-penten-4-yn-1-ol in (iso-Pr)2NH in the presence of CuI and Pd(PPh3)4 at 60°, to give 55% (E,E)-5-[4-(5-hydroxypent-3-en-1-ynyl)phenyl]pent-2-en-4-yn-1-ol.Mitsunobu reaction of this diol with (S)-2-ethoxy-3-(4-hydroxyphenyl)propionic acid Et ester using azodicarboxylic acid dipiperidide and PBu3 in THF gave 27% invention compound II. A total of 29 synthetic examples illustrate a variety of I, mostly sym. diacids and diesters, and mostly stereoisomeric, with all stereoisomers having (E) and (S) stereochem. at double bonds and chiral centers. Claims list a wide variety of sym. and asym. I, all named without stereochem. Claimed applications include treatment of type I and II diabetes, dyslipidemia, syndrome X and its conditions, cardiovascular diseases including atherosclerosis, and hypercholesterolemia.

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN

 ${\tt TI}$ Preparation of aryl or heterocyclyl-substituted benzoic acid and alkanoic acid derivatives as antagonists of prostaglandin E2 (PEG2) receptors

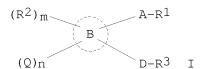
AN 2003:154382 CAPLUS

- DN 138:187795
- Preparation of aryl or heterocyclyl-substituted benzoic acid and alkanoic ΤI acid derivatives as antagonists of prostaglandin E2 (PEG2) receptors
- Tani, Kousuke; Asada, Masaki; Kobayashi, Kaoru; Narita, Masami; Ogawa, ΙN Mikio
- Ono Pharmaceutical Co., Ltd., Japan PΑ
- PCT Int. Appl., 1009 pp. SO
 - CODEN: PIXXD2
- DT Patent
- Japanese LA

| | PA: | TENT | NO. | | | KINI | | APPLICATION NO. | | | | | | | DATE | | | | |
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 138:187795



Carboxylic acid derivs. (I) and nontoxic salts thereof [wherein R1 = CO2H, AB CO2R4, CH2OH, COR5SO2R6, CONH2, CH2NR5SO2R6, CH2NR9COR10, CH2NR9CONR5SO2R6, CH2SO2NR9COR10, CH2O2CNR5SO2R6, tetrazole, 1,2,4-oxadiazol-5-one, 1,2,4-oxadiazol-5-thione, 1,2,4-thiadiazol-5-one, etc. (wherein R4 = C1-6 alkyl, hydroxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, carboxy-C1-4 alkyl, etc.; R5, R9 = H, C1-6 alkyl; R6 = C1-6 alkyl, C3-15 mono-, di-, or tricarbocyclic, 3- to 13-membered mono-, di-, or tricyclic heterocyclyl, etc.; R10 = H, R6); A = a single bond, C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, etc.; the ring $B = C3-12 \mod or$ dicyclic carbocyclic ring, 3- to 12-membered mono- or dicyclic heterocyclic ring; R2 = C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C2-6 alkenyl, C2-6 alkynyl, halo, CHF2, CF3, NO2, cyano, Ph, oxo; m, n = 0,1,2; Q = (C1-4 alkylene,C2-4 alkenylene, or C2-4 alkynylene)-Cyc2, -C1-4 alkylene-Z-Cyc3, amino-C1-4 alkyl, cyano-C1-4 alkyl, acylamino-C1-4 alkyl, 3- to 7-membered monocyclic carbocyclyl, 3- to 6-membered monocyclic heterocyclyl, etc. (wherein Cyc2, Cyc3 = C3-15 mono-, di-, or tricyclic carbocyclyl or heterocyclyl, etc.; Z = O, S, SO, SO2, NH, NHCO, etc.); D = an linking chain consisting of 1-2 or 3-6 of atoms selected from C, N, O, or S, etc.; R3 = C1-6 alkyl, C3-15 mono-, di-, or tricyclic carbocyclyl, 3- to 15-membered mono-, di-, or tricyclic heterocyclyl, etc.] are prepared These carboxylic acid derivs. include phenylpropanoic acid, phenylpropenoic acid, phenylpropanamide, phenylpropenamide, 3-oxoisoindolin-1-ylacetic acid, benzylbenzoic acid, benzylaminoacetic acid, pyrazolylmethylbenzoic acid, benzoylaminoacetic acid, (pyrazolylmethylphenyl)propenoic acid, pyrazolylmethylpropanoic acid, (pyridinyloxyphenyl)propanoic acid, phenoxyacetic acid, phenylbutanoic acid, (pyrazolylmethyl)propanamide, (piperazinylmethylphenyl)propanamide, (morpholinylmethylphenyl)propanamide, (pyridinyloxyphenyl)propanamide, (pyrazolylmethyl)propenamide (oxoimidazolidinylmethylphenyl)propanamide, (oxopyrrolidinylmethylphenyl)propenamide, (thiophenylmethylphenyl)propenamide, (pyrazolylmethylphenylamino) acetamide, (thiazolylaminomethylphenyl)propanamide, thiophenylpropenamide, (pyrazolylmethylphenoxy) acetamide, (phenoxymethyl) benzamide, (pyrazolylmethylphenylethyl)-1,2,4-oxadiazol-5-one, and (pyrazolylmethylphenylindolyl)acetic acid. Because of binding to PEG2 receptors, in particular, subtype EP3 and/or subtype EP4 and having antagonism, the compds. I are useful in preventing and/or treating diseases such as pain, allodynia, hyperalgesia, pruritus (itching),

urticaria, atopic dermatitis, contact dermatitis, Urushi (Japanese lacquer tree) dermatitis, allergic conjunctivitis, symptoms during dialysis, asthma, rhinitis, allergic rhinitis, nasal congestion, sneeze, psoriasis, pollakiuria (increased urinary frequency), urination disorder, ejaculation (semination) disorder, fever (pyrexia), systemic inflammation reaction, learning disorder, Alzheimer's disease, neovascularization, cancer formation, cancer proliferation, cancer metastasis to organs, cancer metastasis to bone, hypercalcemia accompanied by cancer metastasis to bone, retinopathy, rubrum, erythema (rash), leucoma, skin moth-patch, heat burn, burn, steroid burn, kidney failure, nephropathy, acute or chronic nephritis, blood electrolyte disorder, imminent abortion, threatened abortion, excessive menstruation, dysmenorrhea, endometriosis, premenstrual syndrome, uterine gland myopathy, reproduction disorder, and stress. They are also useful in preventing and/or treating anxiety, depression, psychophysiol. disorder, mental retardation, thrombus, embolism, transient ischemic attack, cerebral infarction, atheroma, organ transplant, heart failure, hypertension, myocardial infarction, arteriosclerosis, circulation disorders or ulcers associated therewith, nerve disorders, vascular dementia, edema, diarrhea, constipation, biliary excretion disorder, ulcerative colitis, Crohn's disease, irritable bowel syndrome, reduction of rebound after using steroid drugs, aids for decreasing or removing steroid drugs, bone diseases, systemic granuloma, immune diseases, pyorrhea alveolaris, gingivitis, periodontal disease, nerve cell death, lung disorder, liver disorder, acute hepatitis, myocardial ischemia, Kawasaki disease, multiple organ failure, chronic headache, angiitis, venous failure, varicose vein (varicosis), anal fistula, diabetes insipidus, neonatal patent ductus arteriosus, and cholelithiasis. Thus, 4-hydroxymethyl-2-[2-(naphthalen-2yl)ethoxy]cinnamic acid Et ester was mesylated by methanesulfonyl chloride in the presence of Et3N in THF at 0° for 15 min and condensed with pyrazole in the presence of NaH in DMF at 0° to give 2-[2-(naphthalen-2-yl)ethoxy]-4-(1-pyrazolylmethyl)cinnamic acid Et ester. 4-[2-[2-(Naphthalen-1-yl)propanoyl]amino]-4methylthiomethylphenyl]butanoic acid inhibited the binding of [3H]PGE2 to prostaglandin E2 (PEG2) receptor subtype EP1, Ep2, EP3, and EP4 expressed in CHO cells with Ki of >10, >10, 0.27, and 0.038 μM , resp. A tablet formulation containing (2E)-2-[2-(naphthalen-2-yl)ethoxy]-4-(1-

pyrazolylmethyl)cinnamic acid was described.

OSC.G 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (40 CITINGS)

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L15 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of thiazole and oxazole derivatives for treating human PPAR related disorders
- AN 2002:615588 CAPLUS
- DN 137:169510
- TI Preparation of thiazole and oxazole derivatives for treating human PPAR related disorders
- IN Cadilla, Rodolfo; Gosmini, Romain Luc Marie; Lambert, Millard Hurst, III; Sierra, Michael Lawrence
- PA Glaxo Group Limited, UK
- SO PCT Int. Appl., 63 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | | | |
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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
                       LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
                       PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
                       UA, UG, US, UZ, VN, YU, ZA, ZW
           RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 137:169510 GI

HO
$$\begin{array}{c|c}
0 & R^3 \\
R^4 & R^5 \\
R^5 & R^7 \\
X^2 & Y \\
R^9 & Z
\end{array}$$

$$\begin{array}{c|c}
(R^8)_m \\
R^9 & R^7
\end{array}$$

AB Title compds. I [wherein R1 and R2 = independently H, or alkyl; or CR1R2 = cycloalkyl; and at least one or R1 and R2 \neq H; X2 = 0, S, or (CR10R11)n; n = 1-2; R3-R5 = independently H, alkyl, OMe,CF3, allyl, or halo; R10 and R11 = independently H, F, or alkyl; one of Y and Z is N, and the other is S or O; R6 and R7 = independently H, Ph, PhCH2, F, OH, alkyl, or allyl; or CR6R7 = CO; R9 = H, CF3, or Me; R8 = independently CF3, alkyl, OMe, or halo; m = 0-5; or pharmaceutically acceptable salts, solvates, or hydrolyzable esters thereof] were prepared as selective human peroxisome proliferator-activated receptor (hPPAR) activators. For example, Et 2-(4-hydroxy-2-methylphenoxy)-2-methylpropanoate was condensed with (R)- α , 4-dimethyl-2-(4-trifluoromethylphenyl)-5-thiazolemethanol using Mitsunobu protocol to give the Et ester of (S)-II (52.5%). Saponification

Ι

afforded the acid (S)-II (52.5%), which activated hPPAR α , hPPAR δ , and hPPAR γ with EC50 values of 16 nM, 3 nM, and 7000 nM, resp. I are useful for the treatment hPPAR mediated diseases or conditions, such as dyslipidemia, syndrome X, heart failure, hypercholesteremia, cardiovascular disease, type II diabetes mellitus, type I diabetes, insulin resistance, hyperlipidemia, obesity, anorexia bulimia, and anorexia nervosa (no data).

OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L15 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of biaryloxa(thia)zole derivatives as PPAR modulators
- AN 2001:167982 CAPLUS
- DN 134:207811
- TI Preparation of biaryloxa(thia)zole derivatives as PPAR modulators
- IN Brooks, Dawn A.; Rito, Christopher J.; Shuker, Anthony J.; Dominianni,
 Samuel J.; Warshawsky, Alan M.; Gossett, Lynn S.; Matthews, Donald P.;
 Hay, David A.; Ardecky, Robert J.; Michellys, Pierre-Yves; Tyhonas, John
 S
- PA Eli Lilly and Company, USA; Ligand Pharmaceuticals Incorporated
- SO PCT Int. Appl., 232 pp.
 - CODEN: PIXXD2
- DT Patent
- LA English

| FAN.CNT 1 PATENT NO. | | | | | | | | | | | | | | | | | | | | |
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87 | | P | 200
199
200 | 908 | 327 |
| | ΔТ | 2520 | 91 | | | T | | 20031115 | | | WO | 20 | 00- | 1511
US23
9594 | 358 | | P
W | 199
200
200 | 008 | 323 |
| | | | | | | | | | | | US
WO | 19
20 | 99-
00-1 | 1511
US23 | 62P
358 | | P
W | 199
200 | 908
008 | 327
323 |
| | | 1206
2204 | | | | E
T3 | | 2004 | | | US | 19 | 99- | 9594
1511
9594 | 62P | | P | 200
199
200 | 908 | 327 |
| | | 2003 | | 558 | | A1 | | 2003 | | | US | 19 | 99- | 1511
1213 | 62P | | P | 199
200 | 908 | 327 |
| | | 6610 | | | | В2 | | 2003 | | | US | 20 | 00- | 1511
6444 | 57 | | P
A3 | 199
200 | 008 | 323 |
| | | 2004
6825 | | 090 | | A1
B2 | | 2004 | | | | | | 4344
1511 | | | P | 200199 | | |
| | | | | | | | | | | | US | 20 | 00- | | 57 | | AJ | 200 | 000 | 125 |

AΒ Title compds. (I) [wherein n = 2-4; V = 0 or S; W = 0, S, or SO2; R1 = H, alkyl, Ph, or CF3; R2 = independently H, (cyclo)alkyl, cycloalkylalkyl, aryl(alkyl), or together with the Ph to which they are bound form naphthyl or 1,2,3,4-tetrahydronaphthyl; R3 = independently H, (cyclo)alkyl, cycloalkylalkyl, or aryl(alkyl); R4 = independently H, alkyl, aryl, or benzyl; R5 = independently H or (un) substituted (hetero) aryl, provided that at least one R5 = (un) substituted (hetero)aryl; and R6 = H or (amino)alkyl] were prepared as are modulators of peroxisome proliferator activated receptors (PPARs) and are useful in the treatment of type II diabetes and cardiovascular diseases. For example, a mixture of the toluene-4-sulfonic acid 2-(2-(biphenyl-4-yl)-5-methyloxazol-4-yl) ethyl ester and 2-(3-hydroxyphenoxy)-2-methylpropanoic acid Et ester was heated at 55°C in DMF for 18 h and the intermediate deesterified using NaOH in EtOH and THF to afford the title compound II. II bound to human PPAR α and PPAR γ with IC50 values of 97 nM and 532 nM, resp., and activated human PPAR α and PPAR γ with efficacies of 97% and 70%, resp. In assays evaluating triglyceride and cholesterol levels in mice transgenic for human apoAI, administration of II reduced triglyceride serum levels by 60.5% and increased HDLc serum levels by 204%. Glucose normalization of 95% was attained in male diabetic (db/db) mice dosed with II.

OSC.G THERE ARE 32 CAPLUS RECORDS THAT CITE THIS RECORD (36 CITINGS) 32 RE.CNT THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN

ΤI Preparation of tricyclic compounds as prostaglandin I2 receptor agonists

ΑN 1998:208535 CAPLUS

DN 128:257432

OREF 128:50963a,50966a

Preparation of tricyclic compounds as prostaglandin I2 receptor agonists ТΤ

ΙN Ohkawa, Shigenori; Setoh, Masaki; Terashita, Zen-ichi PA Takeda Chemical Industries, Ltd., Japan

PCT Int. Appl., 151 pp. CODEN: PIXXD2 SO

DT Patent LA English

| FAN. | | | NO. | | | | | DATE | | | APP | LICAT | ION | NO. | | Ε | ATE | |
|------|-----|--------------|------------|------------|------|--------|------|------|------|-----|----------|-------------------------|--------------|----------|-----|----------|-------------------------|------------|
| ΡI | WO | 9813 |
356 | | |
A1 | | 1998 | 0402 | | WO | 1997- |
ЈР33 |
84 | | 1 | .9970 | 924 |
| | | W: | ID, | IL,
NZ, | IS, | KG, | KR, | KΖ, | LC, | LK, | LR | CA,
LT,
TJ, | LV, | MD, | MG, | MK, | MN, | MX, |
| | | RW: | GH,
GB, | KE,
GR, | IE, | IT, | LU, | | NL, | PT, | SE | , BE,
, BF, | BJ, | CF, | CG, | CI, | CM, | GA, |
| | TW | 4169 | 53 | | | В | | 2001 | 0101 | | ΤW | 1996-
1997-
1996- | 8611 | 3705 | | 1 | .9960
.9970
.9960 | 920 |
| | CA | 2264 | 641 | | | A1 | | 1998 | 0402 | | CA | 1997-
1996- | 2264 | 641 | | 1 | .9970 | 924 |
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1997-
1996- | 4397 | 3 | | 1 | .9970 | 924 |
| | JP | 1015 | 2480 | | | A | | 1998 | 0609 | | WO
JP | 1997-
1997- | JP33
2574 | 84
08 | | W 1
1 | .9970
.9970 | 924
924 |
| | EP | | | | | | | | | | EΡ | 1996-
1997- | 9421 | 96 | | 1 | .9970 | 924 |
| | | Ι. | IE, | | CII, | DE, | DI., | EU, | rn, | · | | 1996- | · | • | | | .9960 | · |
| | US | 6248 | 766 | | | В1 | | 2001 | 0619 | | US | 1997-
1999- | 2544 | 46 | | 1 | .9970
.9990 | 309 |
| | IIC | 2002 | 0006 | 0.4.4 | | 7.1 | | 2002 | 0117 | | WO | 1996-
1997-
2001- | JP33 | 84 | | W 1 | .9960
.9970
:0010 | 924 |
| | US | 2002
6417 | 213 | 744 | | B2 | | 2002 | 0709 | | | 1996- | | | | | | |
| | | | | | | | | | | | WO | 1997-
1999- | JP33 | 84 | | W 1 | .9970 | 924 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 128:257432

The title compds. [I; R1 = H, a substituent; m = 1-3; Ar = (un)substituted AB aromatic group; X = a bond, (un)substituted divalent straight-chain group having 1-6 atoms; Y = S, O, N(R2) (R2 = H, a substituent); Z = N, C(R3)(R3 = H, a hydrocarbon); ring A = a benzene ring; ring B = (un)substituted5-7 membered ring], useful for eliciting a prostaglandin I2 receptor agonistic effect, inhibiting a platelet aggregation, and for the prophylaxis or treatment of transient ischemic attack, diabetic neuropathy, peripheral vascular diseases or ulcer, were prepared and formulated. Thus, reaction of Et [(2-mercapto-4,5-dihydronaphtho[1,2d]thiazol-6-yl)oxy]acetate with 2,2-diphenylethyl methanesulfonate in the presence of K2CO3 in DMF followed by hydrolysis the resulting Et $\{[2-(2,2-diphenylethyl)thio-4,5-dihydronaphtho[1,2-d]thiazol-6$ yl]oxy}acetate with 1N NaOH afforded 61% II which showed IC50 of 0.024 μM against PGI2 receptor binding, and IC50 of 0.54 μM against platelet aggregation.

ΙI

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN

TI Trisubstituted benzene leukotriene B4 receptor antagonists: synthesis and structure-activity relationships

AN 1997:645809 CAPLUS

DN 127:318788

OREF 127:62477a,62480a

TI Trisubstituted benzene leukotriene B4 receptor antagonists: synthesis and structure-activity relationships

AU Konno, Mitoshi; Nakae, Takahiko; Sakuyama, Shigeru; Odagaki, Yoshihiko; Nakai, Hisao; Hamanaka, Nobuyuki

CS Department of Medicinal Chemistry, Minase Research Institute, Ono Pharmaceutical Co., Ltd, Mishima, 618, Japan

SO Bioorganic & Medicinal Chemistry (1997), 5(8), 1649-1674 CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier

DT Journal

LA English

AB A series of trisubstituted benzenes which demonstrate leukotriene B4 (LTB4, 1) receptor affinity was prepared Previous trisubstituted benzenes from our laboratory showed high affinity to the LTB4 receptor but demonstrated agonist activity in functional assays. (I) (R1 = H, R2 = 4-MeOC6H4) (II), the initial lead compound of this new series, showed only modest affinity (IC50 = 0.20 μM). However, II was a receptor antagonist with no demonstrable agonist activity up to 30 μM . Further modification of the lipid tail and aryl head groups region led to the discovery of I [R1 = O(CH2)4CO2H, R2 = 4-MeOC6H4] (III) (ONO-4057). III, free of agonist activity, possesses high affinity to the LTB4 receptor (Ki = 3.7±0.9 nM).

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2010 ACS on STN

TI Benzofuran and benzothiophene derivatives and their pharmaceutical use

AN 1981:83926 CAPLUS

DN 94:83926

OREF 94:13685a,13688a

TI Benzofuran and benzothiophene derivatives and their pharmaceutical use

IN Grell, Wolfgang; Sauter, Robert; Griss, Gerhart; Hurnaus, Rudolf; Eisele, Bernhard; Kaubisch, Nikolaus; Rupprecht, Eckhard; Kaehling, Joachim

PA Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.

SO Ger. Offen., 92 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|------|----------|-----------------|----------|
| | | | | | |
| ΡI | DE 2909754 | A1 | 19800918 | DE 1979-2909754 | 19790313 |
| | | | | DE 1979-2909754 | 19790313 |
| | | | | | |

OS MARPAT 94:83926

GΙ

AΒ The title derivs. I [R1 = C1-7 alkyl, C7-9 aralkyl, pyridyl, thienyl, PhC6H4, Ph optionally substituted with 1 or 2 halo, Me, OH, C1-3 alkoxy or arylamino, PhCH2O, NH2, NO2, CO2H, C2-4 alkoxycarbonyl; R2 = C1-14 alkyl, halo(un)substituted Ph, C7-9 aralkyl, pyridyl; R3 = H, C1-8 alkyl; R4, R5 = H, C1-3 alkyl; R6 = H, halo, X = O, S; n = 0-2] and their physiol. tolerable salts with bases when R3 = H and with acids when R1 and (or) R2 =pyridyl, useful as anticholesteremics, hypolipemics, and antiarteriosclerotics (extensive data tabulated for 7 compds)., were prepared by several methods. Thus, cyclizing 2-(4-methoxyphenoxy)-1-(2-pyridyl)-1-propanone in polyphosphoric acid in30 min at 60° gave 91% methoxybenzofuran II (R7 = Me). This was demethylated in refluxing HBr to give 64.2% benzofuranol II.HBr (R7 = H), which was alkylated with Me2CBrCO2Et to 28.5% II.HCl (R7 = EtO2CCMe2).HCl via the free base. Saponifying II.HCl (R7 = EtO2CCMe2) with aqueous alc. NaOH gave 64.7% II.HCl (R6 = HO2CCMe2). Benzofuran III, at 20 mg/kg orally in rats, lowered serum cholesterol 70.5%, serum triglycerides 39.7%, and serum β -lipoproteins 87.0% vs. a control.

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

| => d cost | | |
|--|------------|---------|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CONNECT CHARGES | 4.73 | 20.24 |
| NETWORK CHARGES | 0.77 | 3.36 |
| SEARCH CHARGES | 0.00 | 246.67 |
| DISPLAY CHARGES | 93.79 | 121.08 |
| | | |
| FULL ESTIMATED COST | 99.29 | 391.35 |
| | | |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | -20.40 | -26.35 |
| | | |

IN FILE 'CAPLUS' AT 06:27:54 ON 25 JAN 2010

(FILE 'HOME' ENTERED AT 05:59:46 ON 25 JAN 2010)

| | FILE | 'REGIS | STRY' E | NTE | RED | ΑT | 06:00: | :06 | ON | 25 | JAN | 2010 | |
|----|------|--------|---------|-----|-----|-----|--------|-----|----|----|-----|------|--|
| L1 | | | STRUCT | URE | UPL | OAI | DED | | | | | | |
| L2 | | 1 | SEARCH | L1 | SSS | SI | MA | | | | | | |
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L3 0 DSCAN

FILE 'CAPLUS' ENTERED AT 06:01:42 ON 25 JAN 2010

L4 1 L2

FILE 'REGISTRY' ENTERED AT 06:04:03 ON 25 JAN 2010 L5 873 SEARCH L1 SSS FULL

SAVE TEMP L5 OXYLTDRAW/A

FILE 'CAPLUS' ENTERED AT 06:06:52 ON 25 JAN 2010

L6 128 L5

SAVE TEMP L6 OXYLTDREFS/A

L7 555427 ?LIPID? L8 207636 DIAB? L9 733476 L7 OR L8 L10 29 L6 AND L9

FILE 'REGISTRY' ENTERED AT 06:18:48 ON 25 JAN 2010

L11 STRUCTURE UPLOADED

FILE 'CAPLUS' ENTERED AT 06:21:09 ON 25 JAN 2010

L14 68 L13

L15 22 L9 AND L14

=> save temp 114 HOxyltdrefs/a ANSWER SET L14 HAS BEEN SAVED AS 'HOXYLTDREFS/A'

=> logoff hold

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE TOTAL
ENTRY SESSION
-20.40
-26.35

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 06:29:12 ON 25 JAN 2010

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1623PAZ

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * * * SESSION RESUMED IN FILE 'CAPLUS' AT 06:37:48 ON 25 JAN 2010 FILE 'CAPLUS' ENTERED AT 06:37:48 ON 25 JAN 2010 COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
|--|---------------------|------------------|
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 100.79 | 392.85 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | -20.40 | -26.35 |
| => file reg | | |
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 100.79 | 392.85 |
| DICCOLINE AMOUNTS (FOR OUR I TRYING ACCOLINES) | CINCE BILE | T0T21 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE
ENTRY | TOTAL
SESSION |
| CA SUBSCRIBER PRICE | -20.40 | -26.35 |
| CA DODDCITUEN LITTEE | 20.40 | 20.55 |

FILE 'REGISTRY' ENTERED AT 06:38:02 ON 25 JAN 2010 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2010 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 JAN 2010 HIGHEST RN 1202965-77-2 DICTIONARY FILE UPDATES: 22 JAN 2010 HIGHEST RN 1202965-77-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Documents and Settings\PZucker\My Documents\Examination Auxillary files\10575122\10575122 ph sub oxyltd.str

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chain nodes :
7  8  9  10  11  12  13  14  15  16  23
ring nodes :
1  2  3  4  5  6  26  27  28  29  30  31
chain bonds :
6-7  7-8  8-9  9-10  10-11  11-12  12-13  13-14  14-15  15-16  15-23
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  26-27  26-31  27-28  28-29  29-30  30-31
exact/norm bonds :
7-8  9-10  10-11  11-12  12-13  13-14  15-16  15-23
exact bonds :
6-7  8-9  14-15
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  26-27  26-31  27-28  28-29  29-30  30-31
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G2:0,N

Hydrogen count :

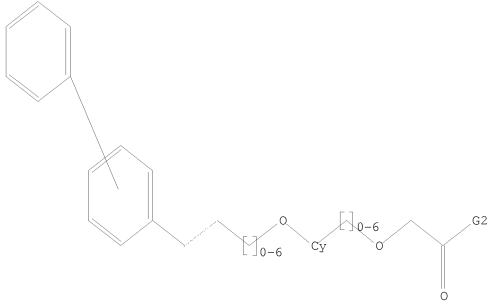
9:>= minimum 2 12:>= minimum 2

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 23:CLASS 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom

L16 STRUCTURE UPLOADED

=> d 116L16 HAS NO ANSWERS L16 STR



G1 O, S, N G2 O, N

Structure attributes must be viewed using STN Express query preparation.

=> d his

(FILE 'HOME' ENTERED AT 05:59:46 ON 25 JAN 2010)

FILE 'REGISTRY' ENTERED AT 06:00:06 ON 25 JAN 2010

L1STRUCTURE UPLOADED

L2 1 SEARCH L1 SSS SAM

L3 0 DSCAN

FILE 'CAPLUS' ENTERED AT 06:01:42 ON 25 JAN 2010

L41 L2

> FILE 'REGISTRY' ENTERED AT 06:04:03 ON 25 JAN 2010 873 SEARCH L1 SSS FULL

L5

SAVE TEMP L5 OXYLTDRAW/A

FILE 'CAPLUS' ENTERED AT 06:06:52 ON 25 JAN 2010 L6 128 L5 SAVE TEMP L6 OXYLTDREFS/A 555427 ?LIPID? L7L8 207636 DIAB? L9 733476 L7 OR L8 L10 29 L6 AND L9 FILE 'REGISTRY' ENTERED AT 06:18:48 ON 25 JAN 2010 STRUCTURE UPLOADED L11 L12 43 SEARCH L11 SSS SAM SUB=L5 L13 766 SEARCH L11 SSS FULL SUB=L5 FILE 'CAPLUS' ENTERED AT 06:21:09 ON 25 JAN 2010 68 L13 L14 L15 22 L9 AND L14 SAVE TEMP L14 HOXYLTDREFS/A FILE 'REGISTRY' ENTERED AT 06:38:02 ON 25 JAN 2010 L16 STRUCTURE UPLOADED \Rightarrow search 116 sub = 15 sss sam SAMPLE SUBSET SEARCH INITIATED 06:41:49 FILE 'REGISTRY' SAMPLE SUBSET SCREEN SEARCH COMPLETED -47 TO ITERATE 100.0% PROCESSED 47 ITERATIONS 15 ANSWERS SEARCH TIME: 00.00.01 PROJECTIONS (WITHIN SPECIFIED SUBSET): ONLINE **COMPLETE** 1351 PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET): 529 TO PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET): 68 TO 532 L17 15 SEA SUB=L5 SSS SAM L16 => d scan L17 15 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN Propanoic acid, 2-[4-(2-[1,1'-bipheny1]-4-ylethoxy)phenoxy]-2-methyl-,ethvl ester MF C26 H28 O4 CH2-CH2-C Me

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):15

L17 15 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[4-[2-[bis(3',4'-difluoro[1,1'-biphenyl]-4-yl)methylene]butoxy]-2-methylphenoxy]
MF C38 H30 F4 O4

L17 15 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-methyl-2-[4-[[3-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-buten-1-yl]oxy]phenoxy]-

MF C27 H25 F3 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L17 15 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, [4-[[2-ethoxy-3,3-bis[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-propenyl]oxy]-2-methylphenoxy]- (9CI)

MF C40 H38 O9 S2

L17 15 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[2-([1,1'-biphenyl]-4-ylmethylene)-3-

methylbutoxy]phenoxy]-2-methyl-

MF C29 H32 O4

$$\begin{array}{c|c} & \text{i-Pr} \\ \text{Me} & \text{O-CH}_2\text{-C--}\text{CH} \\ \text{Et-C-O} & \text{Ph} \\ & \text{CO}_2\text{H} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L17 15 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[4-[[3,3-bis(3',5'-dichloro[1,1'-biphenyl]-4-yl)-2-ethoxy-2-propen-1-yl]oxy]-2-methylphenoxy]-

MF C38 H30 C14 O5

$$\begin{array}{c|c} & \text{HO}_2\text{C}-\text{CH}_2-\text{O} \\ & \text{Me} \\ \hline \\ \text{C1} & \text{C} \\ & \text{C} \\ \end{array}$$

L17 15 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN D- α -Glutamine, N-[N-acetyl-1-0-(2-[1,1'-biphenyl]-4-ylethyl)- β -

muramoyl]-L-alanyl- (9CI)

MF C33 H44 N4 O11

Absolute stereochemistry. Rotation (+).

PAGE 1-B

__ Ph

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L17 15 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, [4-[[2-ethoxy-3,3-bis[3'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-propenyl]oxy]-2-methylphenoxy]- (9CI)

MF C40 H32 F6 O5

$$\begin{array}{c} \text{HO}_2\text{C-CH}_2\text{-O} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{C-OEt} \\ \text{CF}_3 \end{array}$$

L17 15 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, 2-[4-[[3,3-bis([1,1'-biphenyl]-4-yl)-2-propen-1-yl]oxy]-2-methylphenoxy]-

MF C36 H30 O4

$$\begin{array}{c} \text{Ph} \\ \\ \text{Ph} \\ \\ \text{C} = \text{CH-CH}_2 - \text{O} \\ \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L17 15 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, [4-[[3,3-bis(4'-phenoxy[1,1'-biphenyl]-4-yl)-2-propenyl]oxy]-2-chlorophenoxy]- (9CI)

MF C47 H35 Cl O6

L17 15 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, [4-[[3,3-bis(4'-phenoxy[1,1'-biphenyl]-4-yl)-2-propenyl]oxy]-2-methylphenoxy]- (9CI)

MF C48 H38 O6

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L17 15 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, [4-[[3,3-bis(3'-chloro-4'-fluoro[1,1'-biphenyl]-4-yl)-2-propenyl]oxy]-2-chlorophenoxy]- (9CI)

MF C35 H23 C13 F2 O4

REGISTRY COPYRIGHT 2010 ACS on STN L17 15 ANSWERS

Acetic acid, [4-[[3,3-bis(3'-chloro-4'-fluoro[1,1'-biphenyl]-4-yl)-2-INpropenyl]oxy]-2-methylphenoxy]- (9CI)

C36 H26 C12 F2 O4 MF

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L17 15 ANSWERS

15 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN Acetic acid, 2,2'-[[3,3'-bis(trifluoromethyl)[1,1'-biphenyl]-4,4'-ΙN diyl]bis(3,1-propanediyloxy-4,1-phenyleneoxy)]bis- (9CI)

MFC36 H32 F6 O8

CF3

PAGE 1-B

_ O- CH2-CO2H

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L17 15 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN Acetic acid, [4-[2-[bis[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methylene]butoxy]-2-methylphenoxy]- (9CI)
MF C40 H32 F6 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> search 116 sub = 15 sss full FULL SUBSET SEARCH INITIATED 06:42:27 FILE 'REGISTRY' FULL SUBSET SCREEN SEARCH COMPLETED - 863 TO ITERATE

100.0% PROCESSED 863 ITERATIONS 210 ANSWERS SEARCH TIME: 00.00.01

L18 210 SEA SUB=L5 SSS FUL L16

=> save temp 117 biphenylsraw/a

ANSWER SET L17 HAS BEEN SAVED AS 'BIPHENYLSRAW/A'

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
49.91
442.76

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE 0.00 -26.35

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FILE COVERS 1907 - 25 Jan 2010 VOL 152 ISS 5
FILE LAST UPDATED: 24 Jan 2010 (20100124/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> 118

L19 5 L18

=> d 119 1-5 ti fbib abs

- L19 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Synthesis and Protective Activity of β -Glycosides of N-Acetylmuramyl-L-Alanyl-D-Isoglutamine with Alkyl-Alicyclic and Aryl-Aliphatic Aglycons
- AN 2005:1346992 CAPLUS
- DN 145:471761
- TI Synthesis and Protective Activity of $\beta\text{--Glycosides}$ of N-Acetylmuramyl-L-Alanyl-D-Isoglutamine with Alkyl-Alicyclic and Aryl-Aliphatic Aglycons
- AU Zemlyakov, A. E.; Tsikalova, V. N.; Tsikalov, V. V.; Chirva, V. Ya.; Mulik, E. L.; Kalyuzhin, O. V.
- CS Vernadsky Tauric National University, Simferopol, 95007, Ukraine
- SO Russian Journal of Bioorganic Chemistry (2005), 31(6), 576-582 CODEN: RJBCET; ISSN: 1068-1620
- PB Pleiades Publishing, Inc.

- DT Journal
- LA English
- OS CASREACT 145:471761
- AB The starting peracetylated β -N-acetylglucosaminides were prepared by the oxazoline method. They were converted into 4,6-O-isopropylidene-N-acetyl-D-muramic acids, which were coupled with L-Ala-D-Glu(NH2)OBn. The target glycopeptides were obtained after their deprotection. The stimulation of the anti-infection resistance of mice against Staphylococcus aureus by the MDP glycosides was studied.
- OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
 RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L19 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of phenoxyalkanoates as PPAR- α and PPAR- γ agonists and inhibitors of HMG CoA reductase
- AN 2005:395261 CAPLUS
- DN 142:446999
- TI Preparation of phenoxyalkanoates as PPAR- $\!\alpha$ and PPAR- $\!\gamma$ agonists and inhibitors of HMG CoA reductase
- IN Gurram, Ranga Madhavan; Bhuniya, Debnath; Das, Saibal Kumar; Chakrabarti, Ranjan; Iqbal, Javed; Sharma, Sudhir Kumar
- PA Reddy's Laboratories Ltd., India
- SO PCT Int. Appl., 115 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

| FAN. | WO 2005040104 | | | | | KIND DATE | | | APPL | ICAT | ION | NO. | DATE | | | | | | |
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IB20 | 8 | | 20 | 0040 | 129 | |
| | | W: | | | | | | | | | | BG, | | | | | | | |
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| | | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KΖ, | LC, | |
| | | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NΙ, | |
| | | | | | | | | | | | | SC, | | | | | | | |
| | | | ΤJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | |
| | | RW: | BW, | GH, | GM, | KΕ, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | |
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W 20 | | | |
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| | EР | 1678 | 128 | | | A1 | | 2006 | 0712 | | | 004- | | | | | 0040 | | |
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| | BR | 2004 | 0145 | 54 | | Α | | 2006 | 1107 | | BR 2 | 004- | 1455 | 4 | | 20 | 0040 | 129 | |
| | | | | | | | | | | | WO 2 | 003- | IB47 | 41 | | A 20 | 0031 | 028 | |
| | | | | | | | | | | | WO 2 | 004- | IB20 | 8 | 1 | W 20 | | | |
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| | | | | WO | 2004-IB208 | W | 20040129 |
| ИО | 2006001310 | A | 20060728 | ИО | 2006-1310 | | 20060323 |
| | | | | WO | 2003-IB4741 | Α | 20031028 |
| | | | | WO | 2004-IB208 | W | 20040129 |
| ZA | 2006002491 | A | 20080528 | ZA | 2006-2491 | | 20060327 |
| | | | | WO | 2003-IB4741 | Α | 20031028 |
| US | 20070043035 | A1 | 20070222 | US | 2006-575122 | | 20060407 |
| | | | | WO | 2003-IB4741 | Α | 20031028 |
| | | | | WO | 2004-IB208 | W | 20040129 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 142:446999; MARPAT 142:446999

AΒ Title compds. I [Ar = (un)substituted single or fused-aryl, -heteroaryl, -heterocycle; R1 and R2 independently = H, halo, nitro, etc.; R3 and R4 independently = H, (un)substituted-alkyl, -cycloalkyl, etc.; X = O, S, NR; R = H, (un)substituted-aryl, -alkanoyl, etc.; Z = O, S, NR; R5, R6, and R7 independently = H, OH, (un) substituted alkoxy, etc.; R5 and R6 together = 5- or 6-membered ring containing one or two hetero atoms selected from O, S, or N; Y = O, NR11; R11 = H, (un)substituted-heteroaryl, -aroyl, etc.; R7 and R11 together = 5- or 6-membered ring containing one or two hetero atoms selected from O, S, or N] and their pharmaceutically acceptable salts are prepared and disclosed as useful agonists of PPAR- α and PPAR- γ and inhibitors or HMG CoA reductase. Thus, e.g., II was prepared by Wittig-Horner reaction of 4-acetyl biphenyl with tri-Et phosphonoacetate followed by reduction and Mitsunobu reaction with Et 2-(4-hydroxyphenoxy)-2-methylpropanoate. The activity of I was evaluated in vivo utilizing hypercholesterolemic rat models and it was revealed that a selected compound of the invention displayed a cholesterol lowering effect of 60%, a triglyceride lowering effect of 52%, as well as an increase in

HDL of 70%. I as agonists of PPAR- α and PPAR- γ should prove useful in the treatment of diseases such as diabetes and dyslipidemia. Pharmaceutical compns. comprising I are disclosed.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2010 ACS on STN

TI Preparation of dimeric dicarboxylic acid derivatives as PPAR agonists

AN 2004:546467 CAPLUS

DN 141:106263

TI Preparation of dimeric dicarboxylic acid derivatives as PPAR agonists

IN Sauerberg, Per; Jeppesen, Lone; Polivka, Zdenek; Sindelar, Karel

PA Novo Nordisk A/S, Den.

SO PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PA: | TENT | NO. | | | KIN | | | | APPLICATION NC | | | | | | D | ATE | | |
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| ΡI | W0 2004056740 A1 200 W: AE, AG, AL, AM, AT, AU CN, CO, CR, CU, CZ, DE | | | | | | | | | | | | | | | 2 | 0031 | 218 | |
| | | W: | ΑE, | AG, | AL, | ΑM, | ΑT, | ΑU, | ΑZ, | BA, | BB, | ВG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KΖ, | LC, | |
| | | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NΙ, | NO, | |
| | | | NΖ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | ΤJ, | |
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| | | | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | | | | | | | | | | TG |
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| | ΑU | 2003 | 2819 | 12 | | AI | | 2004 | 0/14 | | AU 2 | | | | | | | | |
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WO 2 | | | | | | | | |
| | מים | 1578 | 716 | | | 7.1 | | 2005 | 0000 | | | | | | | | | | |
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| | | | ть, | oı, | шт, | ъ∨, | гт, | NO, | rin, | , | DK 2 | , | , | , | , | , | | 220 | |
| | | | | | | | | | | | WO 2 | | | | | | | | |
| | .TD | 2006 | 5106 | 87 | | Т | | 2006 | N 3 3 N | | JP 2 | | | | | | | | |
| | OI | 2000 | 5100 | · / | | _ | | 2000 | 0000 | | | | | | | | | | |
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WO 2003-DK895 W 20031218 | | | | | | | | | | |
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 141:106263

GΙ

- AB The title compds. DOC(O)AXLTZUMYBC(O)OE [I; A, B = (un)substituted alkylene, O(alkylene), S(alkylene); D, E = H, alkyl, cycloalkyl; L, M = O, S; T, U = (un)substituted divalent saturated carbon chain, NR1(alkylene) (wherein R1 = H, alkyl); X, Y = (un)substituted arylene, heteroarylene; Z = (un)substituted arylene, heteroarylene, divalent polycyclic ring system] which may be useful in the treatment and/or prevention of conditions mediated by Peroxisome Proliferator-Activated Receptors (PPAR) (no specific biol. data given), were prepared and formulated. E.g., a multi-step synthesis of II, is given. The compds. I are claimed as selective PPAR δ agonists useful in treating diabetes, syndrome X, cardiovascular diseases, dyslipidemia, and hypercholesteremia.
- OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
 RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L19 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of [[[bis(biphenylyl)allyl]oxy]phenoxy]acetic acids and analogs as PPAR δ agonists for treatment of diabetes and related conditions
- AN 2004:220310 CAPLUS
- DN 140:270625
- TI Preparation of [[[bis(biphenylyl)allyl]oxy]phenoxy]acetic acids and analogs as PPAR δ agonists for treatment of diabetes and related conditions
- IN Jeppesen, Lone; Mogensen, John Patrick; Pettersson, Ingrid; Sauerberg, Per
- PA Novo Nordisk A/s, Den.
- SO PCT Int. Appl., 78 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

| | PATENT NO. | | | | | KIND DATE | | | | | APPL | ICAT | ION 1 | DATE | | | | |
|----|----------------|---------------|-----|-------------|-------------|-------------|-----|--|------|----------------------|----------------------|----------------------|-------------|------|--------------------------------------|----------|-------------------------|-----|
| ΡI | WO 2004022533 | | | A1 20040318 | | | | WO 2 | 003- |
DK57 | 20030904 | | | | | | | |
| | | W: | CO, | CR, | CU, | CZ, | DE, | AU,
DK,
IN, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | | PG, | PH, | PL, | PT, | RO, | MD,
RU,
UZ, | SC, | SD, | SE, | SG, | SK, | SL, | | | | , |
| | | RW: | | | | | | MZ,
TM, | | | | | | | | | | |
| | | | FΙ, | FR, | GB, | GR, | HU, | IE,
CM, | IT, | LU, | MC, | NL, | PT, | RO, | SE, | SI, | SK, | TR, |
| | | , , | | · | | | | DK 2002-1301
DK 2003-784 | | | | | | | | | | |
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002-
003- | 2499.
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784 | 380 | | 20030904
A 20020905
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DK 2 | 003- | 2602 | 82 | | 2 | 0030'
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| | | ΙE, | SI, | LT, | LV, | FΙ, | RO, | MK, | CY, | ΑL | , TR, | ВG, | CZ, | EE, | HU, | SK | |
| | | | | | | | | | I | DK | 2002-3 | 1301 | | i | A 2 | 0020 | 905 |
| | | | | | | | | | I | DK | 2003- | 784 | | i | A 2 | 0030 | 523 |
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| BR | 2003 | 0143 | 35 | | A | | 2005 | 0726 | I | BR | 2003-3 | 1433 | 5 | | 2 | 0030 | 904 |
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| CN | 1688 | 540 | | | Α | | 2005 | 1026 | (| CN | 2003-8 | 8241 | 79 | | 2 | 0030 | 904 |
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| | | | | | | | | | Ι | DK | 2003- | 784 | | i | A 2 | 0030 | 523 |
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| | | | | | | | | | I | DK | 2002-3 | 1301 | | ž | A 2 | 0020 | 905 |
| | | | | | | | | | I | DK | 2003- | 784 | | i | A 2 | 0030 | 523 |
| | | | | | | | | | Į | ΜO | 2003-1 | DK57 | 8 | Ţ | W 2 | 0030 | 904 |
| MX | 2005 | 0024 | 11 | | А | | 2005 | 0527 | 1 | MΧ | 2005-2 | 2411 | | | 2 | 0050 | 302 |
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| | | | | | | | | | Į. | ΜO | 2003-1 | DK57 | 8 | Ţ | W 2 | 0030 | 904 |
| IN | 2005 | DN00 | 976 | | Α | | 2009 | 1030 | - | ΙN | 2005-1 | DN97 | 6 | | 2 | 0050 | 314 |
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| | | | | | | | | | Ī | ΝO | 2003-1 | DK57 | 8 | Ţ | W 2 | 0030 | 904 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 140:270625

$$x^{1}-x^{2}$$
 $x^{4}-x^{3}$
 y^{1}
 Ar
 y^{2}
 z
 0
 R^{2}
 I

Me
 0
 $CO_{2}H$
 II

AB Title vinyl carboxylic acid derivs. I [wherein X1 and X3 = independently (un)substituted (hetero)aryl; X2 and X4 = independently (un)substituted (hetero)arylene; Ar = (un)substituted arylene; Y1 and Y2 = independently O or S; Z = (CH2)n; n = 1-3; R1 = H, halo, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (hetero)aralkyl, (cyclo)alkoxy, aryloxy,

(hetero)aralkoxy, (cyclo)alkylthio, arylthio; R2 = H, (cyclo)alkyl, alkenyl, alkynyl, or aryl; or pharmaceutically acceptable salts, solvates, tautomers, stereoisomers, or polymorphs thereof] were prepared as peroxisome proliferator-activated receptor δ (PPAR δ) agonists (no data). For example, 4,4'-dibromobenzophenone was coupled with tri-Et phosphonoacetate in the presence of NaH in toluene to give Et 3,3-bis(4-bromophenyl)acrylate (73%). Reduction using DIBAL-H in THF (76%), followed by ADDP-catalyzed condensation with (4-mercapto-2-methylphenoxy)acetic acid Me ester in THF (88%) afforded [4-[3,3-bis(4-bromophenyl)allylsulfanyl]-2-methylphenoxy]acetic acid Me ester. Saponification (93%) and substitution with phenylboronic acid using KF, Pd2(dba)3, and Pd[P(t-Bu)3]2 in THF (53%) provided II. Also disclosed is the use of I and their pharmaceutical compns. for the treatment of PPAR δ -mediated conditions, such as diabetes, impaired glucose tolerance, insulin resistance, or obesity (no data).

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L19 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Long-chain, unsaturated, aromatic dicarboxylic acid derivatives, their preparation, and therapeutic use for treatment of conditions mediated by peroxisome proliferator-activated receptors (PPAR).
- AN 2003:319859 CAPLUS
- DN 138:337836
- TI Long-chain, unsaturated, aromatic dicarboxylic acid derivatives, their preparation, and therapeutic use for treatment of conditions mediated by peroxisome proliferator-activated receptors (PPAR).
- IN Sauerberg, Per; Bury, Paul Stanley; Jeppesen, Lone; Mogensen, John Patrick
- PA Novo Nordisk A/S, Den.
- SO PCT Int. Appl., 104 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

| | PATENT NO. | | | | | KIND DATE | | | | | APPLICATION NO. | | | | | DATE | | | | |
|----|------------|---------------|------|--------------|-----------------|-------------|-----|-----------------|---|--------------|---------------------|----------|------|------------|------------|------------|--------|-----|--|--|
| ΡI | WO | WO 2003033453 | | | A1 20030424 | | | | WO 2 | 002- |
DK69 | 20021015 | | | | | | | | |
| | | W: | ΑE, | AG, | AL, | AM, | ΑT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, | | |
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| | | DIJ. | , | , | , | , | , | YU, | , | , | | TT [7 | 110 | [7]./I | F7 T-7 | 70 B /F | 70 177 | DM | | |
| | | KW: | | | | • | • | MZ, | | | | | | | | | | • | | |
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IT, | | | | | | | | | | | | |
| | | | | | | | | | | | | | | | | Dr, | DU, | CF, | | |
| | | , , , | | C11 , | GA, GN, GQ, GW, | | | • | DK 2001-1524 | | | | | | | | | | | |
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AU 2002-336916 | | | | | A 20011017 | | | | | | |
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| | AU | 2002 | 3369 | 16 | | | | | | | | | | 20021015 | | | | | | |
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| | | R: | • | | • | • | • | ES, | | • | | | • | | • | | MC, | PT, | | |
| | | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | • | CY, AL, TR, BG, CZ, | | | | | · · | | | | |
| | | | | | | | | | | | DK 2001-1524 | | | | | | | | | |
| | | 0000 | 0100 | | | _ | | 000 * | 1000 | | WO 2002-DK692 | | | | | | | | | |
| | BR | 2002 | 0132 | 53 | | А | | 2004 | 1026 | | BR 2 | | | | | | | | | |
| | | | | | | | | | | DK 2001-1524 | | | | | A 2 | 0011 | 01.7 | | | |

| | | | | WO | 2002-DK692 | W | 20021015 |
|----|-------------|----|----------|----|--------------|---|----------|
| HU | 2004001837 | A2 | 20041228 | HU | 2004-1837 | | 20021015 |
| | | | | DK | 2001-1524 | Α | 20011017 |
| | | | | WO | 2002-DK692 | Α | 20021015 |
| CN | 1571766 | A | 20050126 | CN | 2002-820547 | | 20021015 |
| | | | | DK | 2001-1524 | Α | 20011017 |
| JP | 2005505616 | Τ | 20050224 | JP | 2003-536195 | | 20021015 |
| | | | | DK | 2001-1524 | Α | 20011017 |
| | | | | WO | 2002-DK692 | W | 20021015 |
| US | 20030109579 | A1 | 20030612 | US | 2002-272613 | | 20021016 |
| US | 7220877 | B2 | 20070522 | | | | |
| | | | | DK | 2001-1524 | Α | 20011017 |
| | | | | US | 2001-330346P | P | 20011018 |
| IN | 2004CN00771 | A | 20060113 | IN | 2004-CN771 | | 20040415 |
| | | | | DK | 2001-1524 | Α | 20011017 |
| | | | | WO | 2002-DK692 | W | 20021015 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 138:337836 GI

$$\begin{array}{c} O \\ \searrow \\ D-O \end{array} \qquad \begin{array}{c} O \\ A-X-L-T-Z-U-M-Y-B- \end{array} \qquad \begin{array}{c} O \\ \bigcirc \\ O-E \end{array} \qquad I$$

AB A novel class of dicarboxylic acid derivs., I, is disclosed [wherein: A = (un)substituted C1-3 alkylene, or A'O or A'S where A' is (un)substituted C1-3 alkylene; B = (un)substituted C1-3 alkylene, or OB' or SB' where B' is (un)substituted C1-3 alkylene; D, E = H, C1-6 alkyl, C3-6 cycloalkyl; L, M = O or S; T, U = C3-9 divalent, (un)substituted, unsatd. carbon chain; X, Y = (un)substituted arylene or heteroarylene; Z = (un)substituted arylene, heteroarylene, or divalent polycyclic ring system]. Also disclosed is the use of I in pharmaceutical compns., pharmaceutical compns. comprising I, and methods of treatment employing I and the compns. The present compds. may be useful (no data) in the treatment and/or prevention of conditions mediated by peroxisome proliferator-activated receptors (PPAR). For example, 1,4-diiodobenzene was coupled with excess 2-penten-4-yn-1-ol in (iso-Pr)2NH in the presence of CuI and Pd(PPh3)4 at 60°, to give 55%

(E,E)-5-[4-(5-hydroxypent-3-en-1-ynyl)phenyl]pent-2-en-4-yn-1-ol.Mitsunobu reaction of this diol with

(S)-2-ethoxy-3-(4-hydroxyphenyl)propionic acid Et ester using azodicarboxylic acid dipiperidide and PBu3 in THF gave 27% invention compound II. A total of 29 synthetic examples illustrate a variety of I, mostly sym. diacids and diesters, and mostly stereoisomeric, with all stereoisomers having (E) and (S) stereochem. at double bonds and chiral centers. Claims list a wide variety of sym. and asym. I, all named without stereochem. Claimed applications include treatment of type I and II diabetes, dyslipidemia, syndrome X and its conditions, cardiovascular diseases including atherosclerosis, and hypercholesterolemia.

THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS) RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> logoff hold SINCE FILE COST IN U.S. DOLLARS TOTAL ENTRY SESSION FULL ESTIMATED COST 17.50 460.26 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -4.25-30.60

SESSION WILL BE HELD FOR 120 MINUTES STN INTERNATIONAL SESSION SUSPENDED AT 06:45:50 ON 25 JAN 2010

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1623PAZ

PASSWORD:

* * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * SESSION RESUMED IN FILE 'CAPLUS' AT 08:15:58 ON 25 JAN 2010 FILE 'CAPLUS' ENTERED AT 08:15:58 ON 25 JAN 2010 COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

| COST IN U.S. DOLLARS FULL ESTIMATED COST | SINCE FILE
ENTRY
17.50 | TOTAL
SESSION
460.26 |
|---|------------------------------|----------------------------|
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) CA SUBSCRIBER PRICE | SINCE FILE
ENTRY
-4.25 | TOTAL
SESSION
-30.60 |
| => logoff hold
COST IN U.S. DOLLARS
FULL ESTIMATED COST | SINCE FILE
ENTRY
18.00 | TOTAL
SESSION
460.76 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) CA SUBSCRIBER PRICE | SINCE FILE
ENTRY
-4.25 | TOTAL
SESSION
-30.60 |

SESSION WILL BE HELD FOR 120 MINUTES STN INTERNATIONAL SESSION SUSPENDED AT 08:16:16 ON 25 JAN 2010 Welcome to STN International! Enter x:x

LOGINID:SSSPTA1623PAZ

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * * * SESSION RESUMED IN FILE 'CAPLUS' AT 09:40:43 ON 25 JAN 2010 FILE 'CAPLUS' ENTERED AT 09:40:43 ON 25 JAN 2010 COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
|--|---------------------|-------------------|
| FULL ESTIMATED COST | ENTRY
18.00 | SESSION
460.76 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE
ENTRY | TOTAL
SESSION |
| CA SUBSCRIBER PRICE | -4.25 | -30.60 |
| => logoff hold
COST IN U.S. DOLLARS | SINCE FILE
ENTRY | TOTAL
SESSION |
| FULL ESTIMATED COST | 18.00 | 460.76 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE
ENTRY | TOTAL
SESSION |
| CA SUBSCRIBER PRICE | -4.25 | -30.60 |

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 09:40:57 ON 25 JAN 2010

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1623PAZ

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * * * SESSION RESUMED IN FILE 'CAPLUS' AT 10:30:16 ON 25 JAN 2010 FILE 'CAPLUS' ENTERED AT 10:30:16 ON 25 JAN 2010 COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

| COST IN U.S. DOLLARS | SINCE FILE
ENTRY | TOTAL
SESSION |
|--|---------------------|------------------|
| FULL ESTIMATED COST | 18.00 | 460.76 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE
ENTRY | TOTAL
SESSION |
| CA SUBSCRIBER PRICE | -4.25 | -30.60 |
| => file reg
COST IN U.S. DOLLARS | SINCE FILE
ENTRY | TOTAL
SESSION |

FULL ESTIMATED COST 18.00 460.76

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE -4.25 -30.60

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Property values tagged with IC are from the ${\tt ZIC/VINITI}$ data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 JAN 2010 HIGHEST RN 1203430-88-9 DICTIONARY FILE UPDATES: 24 JAN 2010 HIGHEST RN 1203430-88-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

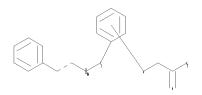
Please note that search-term pricing does apply when conducting SmartSELECT searches.

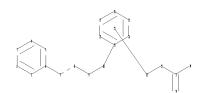
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Documents and Settings\PZucker\My Documents\Examination Auxillary files\10575122\10575122 specific species.str





chain nodes :

7 8 9 10 11 12 13 14 19

ring nodes :

1 2 3 4 5 6 21 22 23 24 25 26

chain bonds :

6-7 7-8 8-9 9-10 10-21 11-12 12-13 13-14 13-19

ring bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 21-22 \quad 21-26 \quad 22-23 \quad 23-24 \quad 24-25 \quad 25-26$

exact/norm bonds :

7-8 9-10 10-21 11-12 13-14 13-19

exact bonds :

6-7 8-9 12-13

normalized bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 21-22 \quad 21-26 \quad 22-23 \quad 23-24 \quad 24-25 \quad 25-26$

G1:0,S,N

G2:0, N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 19:CLASS 21:CLASS 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom

L20 STRUCTURE UPLOADED

=> d 120

L20 HAS NO ANSWERS L20 STR

G1 O,S,N

G2 O, N

Structure attributes must be viewed using STN Express query preparation.

=> search 120 sss sam
SAMPLE SEARCH INITIATED 10:31:08 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 50058 TO ITERATE

4.0% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

6 ANSWERS

PROJECTED ITERATIONS: 987795 TO 1014525 PROJECTED ANSWERS: 2268 TO 3738

L21 6 SEA SSS SAM L20

=> d scan

L21 6 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetic acid, [4-[[2-[bis(4'-methyl[1,1'-biphenyl]-4-

yl)methylene]butyl]thio]-2-methylphenoxy]- (9CI)

MF C40 H38 O3 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):6

L21 6 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN IN Acetamide, 2-[4-[(3-phenylpropyl)amino]phenoxy]-MF C17 H20 N2 O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L21 6 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN IN Acetic acid, 2-[2-methyl-4-[[(2E)-3-[4-(5-methyl-2-thienyl)phenyl]-3-[4-[3-(4-morpholinyl)-1-propyn-1-yl]phenyl]-2-propen-1-yl]oxy]phenoxy]-

Double bond geometry as shown.

C36 H35 N O5 S

MF

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L21 6 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN L-Leucinamide, L- α -glutamyl-L-valyl-N-[(1S,2R)-3-[[4-(2-amino-2-oxoethoxy)-3,5-dichlorophenyl]amino]-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]- (9CI)

MF C34 H46 C12 N6 O9

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L21 6 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

PAGE 1-A
HO₂C-CH₂-O
(CH₂)₃-O
(CH₂)₃-O

PAGE 1-B

_ O- CH2-CO2H

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> search 120 sss full FULL SEARCH INITIATED 10:31:39 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1008806 TO ITERATE

100.0% PROCESSED 1008806 ITERATIONS SEARCH TIME: 00.00.14

2232 ANSWERS

L22 2232 SEA SSS FUL L20

=> save temp specraw/a
ENTER L#, L# RANGE, ALL, OR (END):122
ANSWER SET L22 HAS BEEN SAVED AS 'SPECRAW/A'

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
193.01 653.77

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
ENTRY
SESSION

CA SUBSCRIBER PRICE

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-30.60

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FILE COVERS 1907 - 25 Jan 2010 VOL 152 ISS 5
FILE LAST UPDATED: 24 Jan 2010 (20100124/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> 122

L23 159 L22

=> d his

(FILE 'HOME' ENTERED AT 05:59:46 ON 25 JAN 2010)

FILE 'REGISTRY' ENTERED AT 06:00:06 ON 25 JAN 2010

L1 STRUCTURE UPLOADED L2 1 SEARCH L1 SSS SAM

L3 0 DSCAN

FILE 'CAPLUS' ENTERED AT 06:01:42 ON 25 JAN 2010 L4

FILE 'REGISTRY' ENTERED AT 06:04:03 ON 25 JAN 2010 L5 873 SEARCH L1 SSS FULL SAVE TEMP L5 OXYLTDRAW/A

```
FILE 'CAPLUS' ENTERED AT 06:06:52 ON 25 JAN 2010
1.6
            128 L5
                SAVE TEMP L6 OXYLTDREFS/A
         555427 ?LIPID?
T.7
L8
         207636 DIAB?
         733476 L7 OR L8
L9
L10
             29 L6 AND L9
     FILE 'REGISTRY' ENTERED AT 06:18:48 ON 25 JAN 2010
L11
                STRUCTURE UPLOADED
L12
             43 SEARCH L11 SSS SAM SUB=L5
L13
            766 SEARCH L11 SSS FULL SUB=L5
     FILE 'CAPLUS' ENTERED AT 06:21:09 ON 25 JAN 2010
L14
             68 L13
L15
             22 L9 AND L14
                SAVE TEMP L14 HOXYLTDREFS/A
     FILE 'REGISTRY' ENTERED AT 06:38:02 ON 25 JAN 2010
T.16
                STRUCTURE UPLOADED
L17
             15 SEARCH L16 SSS SAM SUB=L5
            210 SEARCH L16 SSS FULL SUB=L5
L18
                SAVE TEMP L17 BIPHENYLSRAW/A
     FILE 'CAPLUS' ENTERED AT 06:43:19 ON 25 JAN 2010
              5 L18
L19
     FILE 'REGISTRY' ENTERED AT 10:30:29 ON 25 JAN 2010
L20
                STRUCTURE UPLOADED
L21
              6 SEARCH L20 SSS SAM
           2232 SEARCH L20 SSS FULL
L22
                SAVE TEMP SPECRAW/A L22
     FILE 'CAPLUS' ENTERED AT 10:32:52 ON 25 JAN 2010
L23
           159 L22
=> 19 and 123
           43 L9 AND L23
=> d 124 33-43 ti
L24 ANSWER 33 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
     Long-chain, unsaturated, aromatic dicarboxylic acid derivatives, their
ТΤ
     preparation, and therapeutic use for treatment of conditions mediated by
     peroxisome proliferator-activated receptors (PPAR).
L24 ANSWER 34 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
     Preparation of aryl or heterocyclyl-substituted benzoic acid and alkanoic
ΤТ
     acid derivatives as antagonists of prostaglandin E2 (PEG2) receptors
L24 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ΤI
     Preparation of thiazole and oxazole derivatives for treating human PPAR
     related disorders
L24 ANSWER 36 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
ΤI
     Preparation of phenylmethylalkanoic acid derivatives as PPAR\alpha
     agonists useful in the treatment of hyperlipidemia,
     arteriosclerosis, diabetes, and obesity
L24 ANSWER 37 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
```

Preparation of biaryloxa(thia)zole derivatives as PPAR modulators

TΤ

- L24 ANSWER 38 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Novel inhibitors of formation of advanced glycation endproducts (AGE's)
- L24 ANSWER 39 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
- TI A new rapid method to detect inhibition of Amadori product generated by $\delta\text{-gluconolactone}$
- L24 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Novel Inhibitors of Advanced Glycation Endproducts
- L24 ANSWER 41 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Medical uses of allosteric hemoglobin modifier compounds in patient care
- L24 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Trisubstituted benzene leukotriene B4 receptor antagonists: synthesis and structure-activity relationships
- L24 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Benzofuran and benzothiophene derivatives and their pharmaceutical use
- => d 124 36 ti fbib abs
- L24 ANSWER 36 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of phenylmethylalkanoic acid derivatives as PPARa agonists useful in the treatment of hyperlipidemia, arteriosclerosis, diabetes, and obesity
- AN 2002:428856 CAPLUS
- DN 137:20225
- TI Preparation of phenylmethylalkanoic acid derivatives as PPAR α agonists useful in the treatment of hyperlipidemia, arteriosclerosis, diabetes, and obesity
- IN Miyachi, Hiroyuki; Nomura, Masahiro; Murakami, Kouji
- PA Kyorin Pharmaceutical Co., Ltd., Japan
- SO PCT Int. Appl., 67 pp. CODEN: PIXXD2
- DT Patent
- LA Japanese
- FAN.CNT 1

| r An. | | rent 1 | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION : | NO. | | D. | ATE | |
|-------|----|--------|------|--------|-----|-----|-----|------|------|-----|----------|------|-----------------|-----|-----|-----|------|-----|
| ΡI | WO | 2002 | 0441 |
27 | | A1 | | 2002 | 0606 | |
WO 2 | 001- | JP10 | 355 | | 2 | 0011 | 128 |
| | | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KP, | KR, | KΖ, | LC, | LK, | LR, |
| | | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NΖ, | OM, | PH, |
| | | | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | ТJ, | TM, | TR, | TT, | TZ, | UA, |
| | | | UG, | US, | UZ, | VN, | YU, | ZA, | ZW | | | | | | | | | |
| | | RW: | GH, | GM, | KΕ, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | ΑT, | BE, | CH, |
| | | | CY, | DE, | DK, | ES, | FΙ, | FR, | GB, | GR, | IE, | ΙΤ, | LU, | MC, | NL, | PT, | SE, | TR, |
| | | | BF, | ΒJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML , | MR, | ΝE, | SN, | TD, | ΤG |
| | | | | | | | | | | 1 | JP 2 | 000- | 3636 | 79 | | A 2 | 0001 | 129 |
| | ΑU | 2002 | 0225 | 52 | | Α | | 2002 | 0611 | | AU 2 | 002- | 2255 | 2 | | 2 | 0011 | 128 |
| | | | | | | | | | | 1 | JP 2 | 000- | 3636 | 79 | | A 2 | 0001 | 129 |
| | | | | | | | | | | • | WO 2 | 001- | JP10 | 355 | 1 | W 2 | 0011 | 128 |

OS MARPAT 137:20225

$$R^{1}$$
 $(CH_{2})_{n}-A$
 $X-C-CO-OR^{5}$
 R^{3}

AB The title compds. I [R1 represents trifluoromethy1, optionally substituted phenoxy, etc.; R2 represents hydrogen or lower alkoxy; R3, R4 and R5 represent each hydrogen or lower alky1; A represents NHCO or CONH; X is located at the para-position relative to A and represents oxygen or sulfur, or X is located at the para-position relative to R2 and represents oxygen or sulfur; and n is an integer of from 0 to 2], useful as PPAR α agonists (no data) for the treatment of hyperlipidemia , arteriosclerosis, diabetes, and obesity, are prepared For example, 2-[[4-[N-[[4-(trifluoromethy1)pheny1]methy1]carbamoy1]-3-methoxypheny1]methy1]butyric acid was prepared

OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

| => logoff hold | | |
|--|---------------------|------------------|
| COST IN U.S. DOLLARS | SINCE FILE
ENTRY | TOTAL
SESSION |
| FULL ESTIMATED COST | 10.89 | 664.66 |
| | | |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | -0.85 | -31.45 |

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 10:37:03 ON 25 JAN 2010

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Welcome to STN International! Enter x:x

LOGINID:SSSPTA1623PAZ

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * * * SESSION RESUMED IN FILE 'CAPLUS' AT 10:44:26 ON 25 JAN 2010 FILE 'CAPLUS' ENTERED AT 10:44:26 ON 25 JAN 2010 COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

| COST IN U.S. DOLLARS | SINCE FILE
ENTRY | TOTAL
SESSION |
|--|---------------------|------------------|
| FULL ESTIMATED COST | 10.89 | 664.66 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE
ENTRY | TOTAL
SESSION |
| CA SUBSCRIBER PRICE | -0.85 | -31.45 |

| | (FILE 'HOME' ENTERED AT 05:59:46 ON 25 JAN 2010) |
|-------------------|---|
| L1
L2
L3 | FILE 'REGISTRY' ENTERED AT 06:00:06 ON 25 JAN 2010 STRUCTURE UPLOADED 1 SEARCH L1 SSS SAM 0 DSCAN |
| L4 | FILE 'CAPLUS' ENTERED AT 06:01:42 ON 25 JAN 2010
1 L2 |
| L5 | FILE 'REGISTRY' ENTERED AT 06:04:03 ON 25 JAN 2010
873 SEARCH L1 SSS FULL
SAVE TEMP L5 OXYLTDRAW/A |
| L6 | FILE 'CAPLUS' ENTERED AT 06:06:52 ON 25 JAN 2010
128 L5
SAVE TEMP L6 OXYLTDREFS/A |
| L8
L9 | 555427 ?LIPID?
207636 DIAB?
733476 L7 OR L8
29 L6 AND L9 |
| L11
L12
L13 | 43 SEARCH L11 SSS SAM SUB=L5 |
| L14 | FILE 'CAPLUS' ENTERED AT 06:21:09 ON 25 JAN 2010 68 L13 22 L9 AND L14 SAVE TEMP L14 HOXYLTDREFS/A |
| L16
L17
L18 | 15 SEARCH L16 SSS SAM SUB=L5 |
| L19 | FILE 'CAPLUS' ENTERED AT 06:43:19 ON 25 JAN 2010 5 L18 |
| L20
L21
L22 | FILE 'REGISTRY' ENTERED AT 10:30:29 ON 25 JAN 2010 STRUCTURE UPLOADED 6 SEARCH L20 SSS SAM 2232 SEARCH L20 SSS FULL SAVE TEMP SPECRAW/A L22 |
| L23
L24 | FILE 'CAPLUS' ENTERED AT 10:32:52 ON 25 JAN 2010
159 L22
43 L9 AND L23 |
| => d | 124 22-32 ti |
| L24
TI | ANSWER 22 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN Preparation of dimeric dicarboxylic acid derivatives as PPAR agonists |
| L24
TI | ANSWER 23 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN Receptor function controlling agent |
| L24 | ANSWER 24 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN |

- TI Preparation of [[(diarylallyl)sulfanyl]phenoxy]acetic acids and esters as PPAR activators for treatment of diabetes and related conditions
- L24 ANSWER 25 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of biphenylallylsulfanylphenoxyacetates and related compounds for treating peroxisome proliferator activated receptor (PPAR) mediated diseases
- L24 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of [[[bis(biphenylyl)allyl]oxy]phenoxy]acetic acids and analogs as PPAR δ agonists for treatment of diabetes and related conditions
- L24 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of phenoxyacetic acids and indanyloxyacetic acids that modulate PPAR activity
- L24 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
- ${\tt TI}$ Preparation of imidazole and benzimidazole derivatives that inhibit the interaction of ligands with RAGE
- L24 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of (arylalkyl)thiazoles and oxazoles as peroxisome proliferator activated receptor modulators for treating diabetes mellitus, syndrome X, and cardiovascular disease
- L24 ANSWER 30 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of pyrazolopyrimidines and related compounds as hPPAR α and hPPAR γ ligands
- L24 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of vanilloid receptor ligands and their use in treatments
- L24 ANSWER 32 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of 5-amino-4-phenyl-1H-imidazoles as inhibitors of protein tyrosine phosphatase 1B (PTP-1B)
- => d 124 26 ti fbib abs
- L24 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of [[[bis(biphenylyl)allyl]oxy]phenoxy]acetic acids and analogs as PPAR δ agonists for treatment of diabetes and related conditions
- AN 2004:220310 CAPLUS
- DN 140:270625
- TI Preparation of [[[bis(biphenylyl)allyl]oxy]phenoxy]acetic acids and analogs as PPAR δ agonists for treatment of diabetes and related conditions
- IN Jeppesen, Lone; Mogensen, John Patrick; Pettersson, Ingrid; Sauerberg, Per
- PA Novo Nordisk A/s, Den.
- SO PCT Int. Appl., 78 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

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| ΡI | WO 2004022533 | | | A1 20040318 | | WO 2003-DK578 | | | | | | 20030904 | | | | | | |
| | | W: | ΑE, | AG, | AL, | ΑM, | ΑT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | BΖ, | CA, | CH, | CN, |
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           KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
           FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
           BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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DK 2003-784

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CN 2003-824179

DK 2002-1301

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                                     20051215
MX 2005002411 A
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WO 2003-DK578 W 20030904
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 140:270625

$$x^{1}-x^{2}$$
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 y^{2}
 z
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 R^{2}
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Me

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 $CO_{2}H$
 II

AΒ Title vinyl carboxylic acid derivs. I [wherein X1 and X3 = independently (un) substituted (hetero) aryl; X2 and X4 = independently (un) substituted (hetero)arylene; Ar = (un)substituted arylene; Y1 and Y2 = independently O or S; Z = (CH2)n; n = 1-3; R1 = H, halo, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (hetero)aralkyl, (cyclo)alkoxy, aryloxy, (hetero)aralkoxy, (cyclo)alkylthio, arylthio; R2 = H, (cyclo)alkyl, alkenyl, alkynyl, or aryl; or pharmaceutically acceptable salts, solvates, tautomers, stereoisomers, or polymorphs thereof] were prepared as peroxisome proliferator-activated receptor δ (PPAR δ) agonists (no data). For example, 4,4'-dibromobenzophenone was coupled with tri-Et phosphonoacetate in the presence of NaH in toluene to give Et 3,3-bis(4-bromophenyl)acrylate (73%). Reduction using DIBAL-H in THF (76%), followed by ADDP-catalyzed condensation with (4-mercapto-2-methylphenoxy) acetic acid Me ester in THF (88%) afforded [4-[3,3-bis(4-bromophenyl)allylsulfanyl]-2-methylphenoxy]acetic acid Me ester. Saponification (93%) and substitution with phenylboronic acid using KF, Pd2(dba)3, and Pd[P(t-Bu)3]2 in THF (53%) provided II. Also disclosed is the use of I and their pharmaceutical compns. for the treatment of PPAR δ -mediated conditions, such as diabetes, impaired qlucose tolerance, insulin resistance, or obesity (no data). THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS) OSC.G RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

| => logoff hold | | |
|--|------------|---------|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 20.28 | 674.05 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | -1.70 | -32.30 |

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Welcome to STN International! Enter x:x

LOGINID:SSSPTA1623PAZ

PASSWORD:

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| COST IN U.S. DOLLARS FULL ESTIMATED COST | SINCE FILE
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COST IN U.S. DOLLARS
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SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 11:11:05 ON 25 JAN 2010

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1623PAZ

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* * * * * RECONNECTED TO STN INTERNATIONAL * * * * * * * SESSION RESUMED IN FILE 'REGISTRY' AT 10:30:06 ON 15 JUN 2010 FILE 'REGISTRY' ENTERED AT 10:30:06 ON 15 JUN 2010 COPYRIGHT (C) 2010 American Chemical Society (ACS)

| COST IN U.S. DOLLARS | SINCE FILE
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| FULL ESTIMATED COST | 0.49 | 1.41 |

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STRUCTURE FILE UPDATES: 14 JUN 2010 HIGHEST RN 1227665-04-4 DICTIONARY FILE UPDATES: 14 JUN 2010 HIGHEST RN 1227665-04-4

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TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

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http://www.cas.org/support/stngen/stndoc/properties.html

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2 3 4 5 17 18 19
ring nodes :
1 6 7 8 9
                  10 11 12 13 14 15
chain bonds :
1-2 2-3 3-4
                 4-5 5-6 14-17 17-18
                                               18-19
ring bonds :
1-7 \quad 1-11 \quad 6-12 \quad 6-16 \quad 7-8 \quad 8-9 \quad 9-10 \quad 10-11 \quad 12-13 \quad 13-14 \quad 14-15 \quad 15-16
exact/norm bonds :
4-5 5-6 14-17 17-18
exact bonds :
1-2 2-3 3-4 18-19
normalized bonds :
1-7 \quad 1-11 \quad 6-12 \quad 6-16 \quad 7-8 \quad 8-9 \quad 9-10 \quad 10-11 \quad 12-13 \quad 13-14 \quad 14-15 \quad 15-16
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Match level :

1:Atom 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS

L10 STRUCTURE UPLOADED

=> d 110 L10 HAS NO ANSWERS L10 ST

Structure attributes must be viewed using STN Express query preparation.

=>

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chain nodes :

 $2 \quad 3 \quad 4 \quad 5 \quad 17 \quad 18 \quad 19 \quad 20 \quad 21$

ring nodes :

1 6 7 8 9 10 11 12 13 14 15 16

chain bonds :

1-2 2-3 3-4 4-5 14-17 17-18 18-19 18-20 18-21

ring bonds :

1-7 1-11 6-16 6-12 7-8 8-9 9-10 10-11 12-13 13-14 14-15 15-16

exact/norm bonds:
4-5 14-17 17-18
exact bonds:

1-2 2-3 3-4 18-19 18-20 18-21

normalized bonds :

 $1-7 \quad 1-11 \quad 6-16 \quad 6-12 \quad 7-8 \quad 8-9 \quad 9-10 \quad 10-11 \quad 12-13 \quad 13-14 \quad 14-15 \quad 15-16$

G1:0,S,N

Match level:

1:Atom 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 24:Atom

L11 STRUCTURE UPLOADED

=> d 111 L11 HAS NO ANSWERS L11 STR

G1 O, S, N

Structure attributes must be viewed using STN Express query preparation.

=> search 111 sss sam

SAMPLE SEARCH INITIATED 10:37:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 28 TO ITERATE

100.0% PROCESSED 28 ITERATIONS 2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 243 TO 877

PROJECTED ITERATIONS: 243 TO 8//
PROJECTED ANSWERS: 2 TO 124

=> d scan

L12 2 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[2-([1,1'-biphenyl]-4-ylmethylene)-3-

methylbutoxy]phenoxy]-2-methyl-

MF C29 H32 O4

$$\begin{array}{c|c} & i-Pr \\ & \\ Me \\ Et-C-O \\ & \\ CO_2H \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L12 2 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-methyl-2-[4-[[3-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-

43 ANSWERS

y1]-2-buten-1-y1]oxy]phenoxy]-

MF C27 H25 F3 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> search 111 sss full FULL SEARCH INITIATED 10:38:38 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 427 TO ITERATE

100.0% PROCESSED 427 ITERATIONS

SEARCH TIME: 00.00.01

L13 43 SEA SSS FUL L11

=> d scan

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-methyl-2-[4-[[(2E)-3-[4'-[(methylsulfonyl)oxy][1,1'-biphenyl]-4-yl]-2-propen-1-yl]oxy]phenoxy]-

MF C26 H26 O7 S

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):42

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, $2-[4-[(2E)-3-[1,1'-bipheny1]-4-y1-2-buteny1]oxy]phenoxy]-2-methyl-, (2S)-, compd. with (<math>\beta$ S)- β -aminobenzeneethanol (1:1) (9CI)

MF C27 H28 O4 . C8 H11 N O

CM 1

Absolute stereochemistry. Rotation (-). Double bond geometry as shown.

CM 2

Absolute stereochemistry. Rotation (+).

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[(3-[1,1'-biphenyl]-4-yl-2-propen-1-yl)oxy]phenoxy]-2-methyl-, (2R)-

Absolute stereochemistry.

Double bond geometry unknown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[[4-[(3-[1,1'-biphenyl]-4-yl-2-buten-1-yl)oxy]phenyl]thio]-2-methyl-, (2R)
MF C27 H28 O3 S

Absolute stereochemistry. Double bond geometry unknown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[[3-(4'-fluoro[1,1'-biphenyl]-4-yl)-2-buten-1-yl]oxy]phenoxy]-2-methyl-, (2R)
MF C27 H27 F O4

Absolute stereochemistry.

Double bond geometry unknown.

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-methyl-2-[[4-[[3-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-buten-1-yl]oxy]phenyl]thio]-, (2S)MF C28 H27 F3 O3 S

Absolute stereochemistry.

Double bond geometry unknown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-methyl-2-[4-[[3-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-buten-1-yl]oxy]phenoxy]-, (2S)- MF C28 H27 F3 O4

Absolute stereochemistry.

Double bond geometry unknown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[[3-(10-ethyl-10H-phenothiazin-2-yl)-2-buten-1-yl]oxy]phenoxy]-2-methyl-

MF C29 H31 N O4 S

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-methyl-2-[4-[[3-[4-[(methylsulfonyl)oxy]phenyl]-2-propen-1-yl]oxy]phenoxy]-

MF C21 H24 O7 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[(3-[1,1'-biphenyl]-4-yl-2-propen-1-yl)oxy]phenoxy]-2-methyl-

MF C26 H26 O4

$$\begin{array}{c} \text{CH} = \text{CH} - \text{CH}_2 - \text{O} \\ \text{O} - \text{C} - \text{Et} \\ \text{CO}_2 \text{H} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-methyl-2-[[4-[[3-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-buten-1-yl]oxy]phenyl]thio]-

MF C28 H27 F3 O3 S

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN Butanoic acid, 2-[[4-[(3-[1,1'-biphenyl]-4-yl-2-buten-1-yl)oxy]phenyl]thio]-2-methylMF C27 H28 O3 S

$$\begin{array}{c} \text{Me} \\ | \\ \text{S-C-Et} \\ | \\ \text{CO}_2\text{H} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[[3-(3',5'-dichloro[1,1'-biphenyl]-4-yl)-2-buten-1-yl]oxy]phenoxy]-2-methyl
MF C27 H26 C12 O4

$$\begin{array}{c} \text{Me} \\ \text{O-C-Et} \\ \text{CO}_2\text{H} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN Butanoic acid, 2-[4-[[(2E)-3-[1,1'-biphenyl]-4-yl-2-buten-1-yl]oxy]phenoxy]-2-methyl-, (2S)MF C27 H28 O4
CI COM

Absolute stereochemistry. Rotation (-). Double bond geometry as shown.

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-methyl-2-[4-[[3-[4-[(methylsulfonyl)oxy]phenyl]-2-propen-1-yl]oxy]phenoxy]-

MF C20 H22 O7 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-methyl-2-[[4-[[3-[4'-(trifluoromethyl)[1,1'-biphenyl]-4yl]-2-buten-1-yl]oxy]phenyl]thio]-

MF C27 H25 F3 O3 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-methyl-2-[4-[[3-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-buten-1-yl]oxy]phenoxy]-

MF C27 H25 F3 O4

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[(3-[1,1'-biphenyl]-4-yl-2-buten-1-yl)oxy]phenoxy]-2-methyl-

MF C27 H28 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-[4-[2-([1,1'-biphenyl]-4-ylmethylene)-3methylbutoxy]phenoxy]-2-methyl-

MF C28 H30 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-[4-[[3-(10-ethyl-10H-phenoxazin-2-yl)-2-buten-1yl]oxy]phenoxy]-2-methyl-

MF C28 H29 N O5

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-[4-[[3-(4'-fluoro[1,1'-biphenyl]-4-yl)-2-buten-1-yl]oxy]phenoxy]-2-methyl-

MF C26 H25 F O4

$$\begin{array}{c} \text{Me} \\ | \\ \text{O-C-CO}_2\text{H} \\ \\ \text{C-CH-CH}_2\text{-O} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-[4-[(2Z)-3-[1,1'-biphenyl]-4-yl-4-methyl-2-penten-1-yl]oxy]phenoxy]-2-methyl-

MF C28 H30 O4

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-methyl-2-[4-[(3-phenyl-2-propen-1-yl)oxy]phenoxy]-

MF C19 H20 O4

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[[(2E)-3-[1,1'-biphenyl]-4-yl-2-butenyl]oxy]phenoxy]-2-methyl-, (2R)-, compd. with (β R)- β -aminobenzeneethanol (1:1) (9CI)

MF C27 H28 O4 . C8 H11 N O

CM 1

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

CM 2

Absolute stereochemistry. Rotation (-).

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-methyl-2-[[4-[[3-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-buten-1-yl]oxy]phenyl]thio]-, (2R)-

MF C28 H27 F3 O3 S

Absolute stereochemistry.

Double bond geometry unknown.

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-methyl-2-[4-[[3-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-buten-1-yl]oxy]phenoxy]-, (2R)-

MF C28 H27 F3 O4

Absolute stereochemistry.

Double bond geometry unknown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[(3-[1,1'-biphenyl]-4-yl-2-propen-1-yl)oxy]phenoxy]-2-methyl-, (2S)-

MF C26 H26 O4

Absolute stereochemistry.

Double bond geometry unknown.

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN Butanoic acid, 2-[[4-[(3-[1,1'-bipheny1]-4-y1-2-buten-1-y1)oxy]pheny1]thio]-2-methy1-, (2S)MF C27 H28 O3 S

Absolute stereochemistry.

Double bond geometry unknown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[[3-(4'-fluoro[1,1'-biphenyl]-4-yl)-2-buten-1-yl]oxy]phenoxy]-2-methyl-, (2S)
MF C27 H27 F O4

Absolute stereochemistry.

Double bond geometry unknown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[[3-[4-(1H-imidazol-1-yl)phenyl]-2-buten-1-yl]oxy]phenoxy]-2-methyl-

MF C24 H26 N2 O4

PAGE 1-A

PAGE 2-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN IN Butanoic acid, 2-[4-[[3-(10-ethyl-10H-phenoxazin-2-yl)-2-buten-1-

yl]oxy]phenoxy]-2-methyl-C29 H31 N O5

MF

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

Butanoic acid, 2-[4-[[3-(9H-fluoren-2-y1)-2-buten-1-y1]oxy]phenoxy]-2-INmethyl-

MFC28 H28 O4

$$\begin{array}{c} \text{Me} \\ \text{O-C-Et} \\ \text{C} \\ \text{CH-CH}_2 \\ \text{O} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

REGISTRY COPYRIGHT 2010 ACS on STN L13 43 ANSWERS

Butanoic acid, 2-methyl-2-[4-[[3-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-ΙN yl]-2-buten-1-yl]oxy]phenoxy]-

MF C28 H27 F3 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

REGISTRY COPYRIGHT 2010 ACS on STN L13 43 ANSWERS

ΙN Butanoic acid, 2-[4-[[(2E)-3-[1,1'-biphenyl]-4-yl-2-buten-1yl]oxy]phenoxy]-2-methyl-, (2R)-

MF C27 H28 O4 Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-[4-[[3-(10-ethyl-10H-phenothiazin-2-y1)-2-buten-1-y1]oxy]phenoxy]-2-methyl-

MF C28 H29 N O4 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-[4-[(3-[1,1'-biphenyl]-4-yl-2-propen-1-yl)oxy]phenoxy]-2-methyl-

MF C25 H24 O4

$$\begin{array}{c} \text{CH-CH}_2\text{-O-Me} \\ \text{O-C-CO}_2\text{H} \\ \text{Me} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-[[4-[(3-[1,1'-biphenyl]-4-yl-2-buten-1yl)oxy]phenyl]thio]-2-methyl-

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-[4-[[3-(3',5'-dichloro[1,1'-biphenyl]-4-yl)-2-buten-1-yl]oxy]phenoxy]-2-methyl-

MF C26 H24 C12 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Butanoic acid, 2-[4-[[3-(4'-fluoro[1,1'-biphenyl]-4-yl)-2-buten-1yl]oxy]phenoxy]-2-methyl-

MF C27 H27 F O4

$$\begin{array}{c} \text{Me} \\ \text{O-C-Et} \\ \text{C} \\ \text{CH-CH}_2 \\ \text{O} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-[4-[3-[4-(1H-imidazol-1-yl)phenyl]-2-buten-1-yl]oxy]phenoxy]-2-methyl-

MF C23 H24 N2 O4

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Propanoic acid, 2-[4-[[3-(9H-fluoren-2-yl)-2-buten-1-yl]oxy]phenoxy]-2methyl
MF C27 H26 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 43 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN Propanoic acid, 2-[4-[(3-[1,1'-biphenyl]-4-yl-2-buten-1-yl)oxy]phenoxy]-2-methyl-

ALL ANSWERS HAVE BEEN SCANNED

=> file caplus COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 198.40 199.81

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FILE COVERS 1907 - 15 Jun 2010 VOL 152 ISS 25 FILE LAST UPDATED: 14 Jun 2010 (20100614/ED) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2010 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> 113 L14 2 L13

=> d 114

L14 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2010 ACS on STN AN 2007:723007 CAPLUS DN 148:205299

- TI Synthesis of phenyloxy isobutyric acid derivatives and their antidiabetic activity in vitro
- AU Zeng, Qingle; Wang, Heqing; Luo, Huan; Gao, Xiaoping; Liu, Zhongrong; Li, Bogang; Wang, Fengpeng; Zhao, Yufen
- CS Department of Chemistry, Xiamen University, Xiamen, 361005, Peop. Rep. China
- SO Yaoxue Xuebao (2006), 41(2), 108-114 CODEN: YHHPAL; ISSN: 0513-4870
- PB Yaoxue Xuebao Bianjibu
- DT Journal
- LA English
- OS CASREACT 148:205299
- OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
- RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 114 1-2 ti fbib abs

- L14 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Synthesis of phenyloxy isobutyric acid derivatives and their antidiabetic activity in vitro
- AN 2007:723007 CAPLUS
- DN 148:205299
- TI Synthesis of phenyloxy isobutyric acid derivatives and their antidiabetic activity in vitro
- AU Zeng, Qingle; Wang, Heqing; Luo, Huan; Gao, Xiaoping; Liu, Zhongrong; Li, Bogang; Wang, Fengpeng; Zhao, Yufen
- CS Department of Chemistry, Xiamen University, Xiamen, 361005, Peop. Rep. China
- SO Yaoxue Xuebao (2006), 41(2), 108-114 CODEN: YHHPAL; ISSN: 0513-4870
- PB Yaoxue Xuebao Bianjibu
- DT Journal
- LA English
- OS CASREACT 148:205299
- AB The objective was to design and synthesize new phenyloxy isobutyric acid analogs as antidiabetic compds. Eight new target compds. were synthesized by combination of lipophilic moieties and acidic moiety with nucleophilic replacement or Mitsunobu condensation. The eight compds. were confirmed by 1H NMR, 13CN MR, IR, and MS. In vitro insulin-sensitizing activity (3T3-L1 adipocyte) demonstrated, that the cultured glucose concentration of up-clear solution detected with GOD-POD assay were 5.942, 6.339, 6.226, and 6.512 mmol/L-1, resp., when rosiglitazone, pioglitazone, compds. A and B were added to the insulin-resistant system. In vitro insulin-sensitizing activity of target compound A is in between that of rosiglitazone and pioglitazone, and activity of target compound B is slightly less than that of pioglitazone.
- OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
- RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L14 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of phenoxyalkanoates as PPAR- α and PPAR- γ agonists and inhibitors of HMG CoA reductase
- AN 2005:395261 CAPLUS
- DN 142:446999
- TI Preparation of phenoxyalkanoates as PPAR- α and PPAR- γ agonists and inhibitors of HMG CoA reductase
- IN Gurram, Ranga Madhavan; Bhuniya, Debnath; Das, Saibal Kumar; Chakrabarti, Ranjan; Iqbal, Javed; Sharma, Sudhir Kumar
- PA Reddy's Laboratories Ltd., India

SO PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DT Patent LA English

FAN.CNT 1

| r AIN . (| | | KIN | D DATE | APPLICATION NO. | DATE | | |
|-----------|----|--|--|---|--|---|--|--|
| ΡΙ | WO | CN, CO,
GE, GH,
LK, LR,
NO, NZ,
TJ, TM,
RW: BW, GH,
BY, KG,
ES, FI, | CR, CU,
GM, HR,
LS, LT,
OM, PG,
TN, TR,
GM, KE,
KZ, MD,
FR, GB, | AT, AU, AZ, CZ, DE, DK, HU, ID, IL, LU, LV, MA, PH, PL, PT, TT, TZ, UA, LS, MW, MZ, RU, TJ, TM, GR, HU, IE, | WO 2004-IB208 BA, BB, BG, BR, BW, DM, DZ, EC, EE, EG, IN, IS, JP, KE, KG, MD, MG, MK, MN, MW, RO, RU, SC, SD, SE, UG, US, UZ, VC, VN, SD, SL, SZ, TZ, UG, AT, BE, BG, CH, CY, IT, LU, MC, NL, PT, GA, GN, GQ, GW, ML, WO 2003-IB4741 | ES, FI, GB, GD, KP, KR, KZ, LC, MX, MZ, NA, NI, SG, SK, SL, SY, YU, ZA, ZM, ZW ZM, ZW, AM, AZ, CZ, DE, DK, EE, RO, SE, SI, SK, MR, NE, SN, TD, TG | | |
| | | 2002MA00792
202812 | A
A1 | | IN 2002-MA792 | 20021028 | | |
| | AU | 2004283147 | A1 | 20050506 | WO 2003-IB4741
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20040129
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W 20040129 | | |
| | CA | 2538630 | A1 | 20050506 | CA 2004-18208
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WO 2004-18208 | 20040129
20040129
A 20031028
W 20040129 | | |
| | EP | | | DK, ES, FR, | EP 2004-706247 GB, GR, IT, LI, LU, CY, AL, TR, BG, CZ, WO 2003-IB4741 | 20040129
NL, SE, MC, PT,
EE, HU, SK
A 20031028 | | |
| | BR | 2004014554 | A | 20061107 | WO 2004-IB208
BR 2004-14554
WO 2003-IB4741
WO 2004-IB208 | W 20040129
20040129
A 20031028
W 20040129 | | |
| | CN | 1867546 | А | 20061122 | CN 2004-80030239
WO 2003-IB4741 | 20040129
A 20031028 | | |
| | JP | 2007509921 | Т | 20070419 | WO 2004-IB208
JP 2006-537450
WO 2003-IB4741 | 20040129
A 20031028 | | |
| | MX | 2006003019 | А | 20060623 | WO 2004-IB208
MX 2006-3019
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20060316
A 20031028 | | |
| | NO | 2006001310 | А | 20060728 | WO 2004-IB208
NO 2006-1310
WO 2003-IB4741 | W 20040129
20060323
A 20031028 | | |
| | ZA | 2006002491 | А | 20080528 | WO 2004-IB208
ZA 2006-2491
WO 2003-IB4741 | W 20040129
20060327
A 20031028 | | |
| | US | 20070043035 | A1 | 20070222 | US 2006-575122
WO 2003-IB4741
WO 2004-IB208 | 20060407
A 20031028
W 20040129 | | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 142:446999; MARPAT 142:446999

$$R^{1}$$

$$R^{4}$$

$$X-Ar$$

$$p$$

$$R^{5}$$

$$R^{6}$$

$$I$$

Title compds. I [Ar = (un) substituted single or fused-aryl, -heteroaryl, AB -heterocycle; R1 and R2 independently = H, halo, nitro, etc.; R3 and R4 independently = H, (un)substituted-alkyl, -cycloalkyl, etc.; X = O, S, NR; R = H, (un)substituted-aryl, -alkanoyl, etc.; Z = O, S, NR; R5, R6, and R7 independently = H, OH, (un) substituted alkoxy, etc.; R5 and R6 together = 5- or 6-membered ring containing one or two hetero atoms selected from O, S, or N; Y = O, NR11; R11 = H, (un)substituted-heteroaryl, -aroyl, etc.; R7 and R11 together = 5- or 6-membered ring containing one or two hetero atoms selected from O, S, or N] and their pharmaceutically acceptable salts are prepared and disclosed as useful agonists of PPAR-lpha and PPAR- γ and inhibitors or HMG CoA reductase. Thus, e.g., II was prepared by Wittig-Horner reaction of 4-acetyl biphenyl with tri-Et phosphonoacetate followed by reduction and Mitsunobu reaction with Et 2-(4-hydroxyphenoxy)-2-methylpropanoate. The activity of I was evaluated in vivo utilizing hypercholesterolemic rat models and it was revealed that a selected compound of the invention displayed a cholesterol lowering effect of 60%, a triglyceride lowering effect of 52%, as well as an increase in HDL of 70%. I as agonists of PPAR- α and PPAR- γ should prove useful in the treatment of diseases such as diabetes and dyslipidemia. Pharmaceutical compns. comprising I are disclosed.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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|--|------------|---------|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 11.00 | 210.81 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | -1.70 | -1.70 |

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Uploading C:\Documents and Settings\PZucker\My Documents\Examination Auxillary files\10575122\10575122 barebones core.str

chain nodes : 2 3 4 5 17 18 19 ring nodes : 1 6 7 8 9 10 11 12 13 14 chain bonds : 1-2 2-3 3-4 4-5 5-6 14-17 17-18 18-19 ring bonds : $1-7 \quad 1-11 \quad 6-12 \quad 6-16 \quad 7-8 \quad 8-9 \quad 9-10 \quad 10-11 \quad 12-13 \quad 13-14 \quad 14-15 \quad 15-16$ exact/norm bonds : 4-5 5-6 14-17 17-18 exact bonds : 1-2 2-3 3-4 18-19 normalized bonds : $1-7 \quad 1-11 \quad 6-12 \quad 6-16 \quad 7-8 \quad 8-9 \quad 9-10 \quad 10-11 \quad 12-13 \quad 13-14 \quad 14-15 \quad 15-16$

Match level :

1:Atom 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS

=> d 115L15 HAS NO ANSWERS L15 STR

Structure attributes must be viewed using STN Express query preparation.

=> search 115 sss full FULL SEARCH INITIATED 10:43:56 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED -1040 TO ITERATE

100.0% PROCESSED 1040 ITERATIONS 342 ANSWERS SEARCH TIME: 00.00.01

L16 342 SEA SSS FUL L15

=> file caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 192.03 402.84

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -1.70

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FILE COVERS 1907 - 15 Jun 2010 VOL 152 ISS 25 FILE LAST UPDATED: 14 Jun 2010 (20100614/ED) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2010 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2010

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> 116

L17 11 L16

=> d 117 1-11 ti

- L17 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Process for the production of phenoxy acetic acid derivatives
- L17 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
- TI E/Z Isomerization of 3,3-disubstituted allylic thioethers
- L17 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Novel selective PPAR δ agonists: Optimization of activity by modification of alkynylallylic moiety
- L17 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Synthesis of phenyloxy isobutyric acid derivatives and their antidiabetic activity in vitro
- L17 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of cyclic alkenyl compounds as PPAR δ activators for treating various disease including diabetes and obesity
- L17 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of bis-phenylethynyl-phenyl-allylsulfanyl-phenoxy acetic acids as agonists of PPAR
- L17 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of alkynyl substituted phenoxyacetic acids as PPAR δ agonists
- L17 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of phenoxyalkanoates as PPAR- α and PPAR- γ agonists and inhibitors of HMG CoA reductase
- L17 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of [[(diarylallyl)sulfanyl]phenoxy]acetic acids and esters as PPAR activators for treatment of diabetes and related conditions
- L17 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of [[[bis(biphenylyl)allyl]oxy]phenoxy]acetic acids and analogs as PPAR δ agonists for treatment of diabetes and related conditions
- L17 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Long-chain, unsaturated, aromatic dicarboxylic acid derivatives, their preparation, and therapeutic use for treatment of conditions mediated by peroxisome proliferator-activated receptors (PPAR).

=> d 117 1-11 ti fbib abs

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L17 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
TI
       Process for the production of phenoxy acetic acid derivatives
       2007:1420361 CAPLUS
AN
       148:54743
DN
ΤI
       Process for the production of phenoxy acetic acid derivatives
IN
       Rasmussen, Kaare Gyberg; Christensen, Signe Maria; Humble, Rikke Eva
PA
       Novo Nordisk A/S, Den.
       PCT Int. Appl., 44pp.
SO
       CODEN: PIXXD2
DT
       Patent
       English
LA
FAN.CNT 1
       PATENT NO.
                                   KIND DATE
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                                                                                                    DATE
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       WO 2007141295
                                                20071213 WO 2007-EP55568
                                                                                                    20070606
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PΙ
             W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
                   CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
                   GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
             GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ
                   GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
                   BY, KG, KZ, MD, RU, TJ, TM
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EP 2006-115124 A 20060608 20090304 EP 2029507 EP 2007-729943 20070606 Α1 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS EP 2006-115124 A 20060608

WO 2007-EP55568 W 20070606

OS CASREACT 148:54743; MARPAT 148:54743

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

- The invention relates to a process for the production of phenoxy acetic acid AB I, known as a partial PPAR δ agonist, via intermediates II (wherein X is halo and OSO2R2, R2 is C1-6 alkyl or alkylaryl) and III (wherein R1 is C1-6 alkyl). For instance, Wittig reaction of 4,4'-dibromobenzophenone with tri-Et phosphonoacetate (90%) followed by reduction (93%) and halogenation (77%) gave the intermediate compound II (X = C1). Substitution of 1-(4-hydroxy-3-methylphenyl)-ethanone with Me bromoacetate (90%) followed by Baeyer-Villiger oxidation (99%) and hydrolysis (77%) gave the intermediate compound III (R1 = Me). The phenoxy acetic acid I was then prepared by substitution of compound II (X = C1) with compound III (R1 = Me)followed by hydrolysis (94%).
- THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L17 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
- E/Z Isomerization of 3,3-disubstituted allylic thioethers TΤ
- ΑN 2007:982258 CAPLUS
- DN 147:468952

- TI E/Z Isomerization of 3,3-disubstituted allylic thioethers
- AU Havranek, Miroslav; Sauerberg, Per; Kratina, Pavel; Pihera, Pavel
- CS RE&D VUFB, Prague, 180 66, Czech Rep.
- SO Tetrahedron Letters (2007), 48(39), 6970-6973 CODEN: TELEAY; ISSN: 0040-4039
- PB Elsevier Ltd.
- DT Journal
- LA English
- OS CASREACT 147:468952
- AB Allylic thioethers of the general structure 1 underwent E/Z isomerization during both basic and acidic hydrolysis of the ester moiety at the remote end of the mol. The isomerization was dependent on the substitution of the allylic moiety. The presence of a 5-membered heterocycle on the double bond supported the isomerization. However, analogous oxy-ethers were stable.
- RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L17 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Novel selective PPAR δ agonists: Optimization of activity by modification of alkynylallylic moiety
- AN 2007:746419 CAPLUS
- DN 147:343462
- TI Novel selective PPAR δ agonists: Optimization of activity by modification of alkynylallylic moiety
- AU Havranek, Miroslav; Sauerberg, Per; Mogensen, John P.; Kratina, Pavel; Jeppesen, Claus B.; Pettersson, Ingrid; Pihera, Pavel
- CS RE&D VUFB, s.r.o., Prague, 180 66 9, Czech Rep.
- SO Bioorganic & Medicinal Chemistry Letters (2007), 17(15), 4144-4149 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Ltd.
- DT Journal
- LA English
- OS CASREACT 147:343462
- GΙ

AB Y-shaped mols. bearing alkynylallylic moieties were found to be potent and selective PPAR δ activators. The alkynylallylic moiety was synthesized from alkyn-1-ols by hydroalumination followed by a cross-coupling reaction. Series of active compds. were obtained by stepwise changing the structure of a known PPARpan agonist into Y-shaped compds. The most active and selective compound, I, had a PPAR δ potency of 0.13 μ M.

Ι

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS) RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Synthesis of phenyloxy isobutyric acid derivatives and their antidiabetic activity in vitro
- AN 2007:723007 CAPLUS
- DN 148:205299
- TI Synthesis of phenyloxy isobutyric acid derivatives and their antidiabetic activity in vitro
- AU Zeng, Qingle; Wang, Heqing; Luo, Huan; Gao, Xiaoping; Liu, Zhongrong; Li, Bogang; Wang, Fengpeng; Zhao, Yufen
- CS Department of Chemistry, Xiamen University, Xiamen, 361005, Peop. Rep. China
- SO Yaoxue Xuebao (2006), 41(2), 108-114 CODEN: YHHPAL; ISSN: 0513-4870
- PB Yaoxue Xuebao Bianjibu
- DT Journal
- LA English
- OS CASREACT 148:205299
- AB The objective was to design and synthesize new phenyloxy isobutyric acid analogs as antidiabetic compds. Eight new target compds. were synthesized by combination of lipophilic moieties and acidic moiety with nucleophilic replacement or Mitsunobu condensation. The eight compds. were confirmed by 1H NMR, 13CN MR, IR, and MS. In vitro insulin-sensitizing activity (3T3-L1 adipocyte) demonstrated, that the cultured glucose concentration of up-clear solution detected with GOD-POD assay were 5.942, 6.339, 6.226, and 6.512 mmol/L-1, resp., when rosiglitazone, pioglitazone, compds. A and B were added to the insulin-resistant system. In vitro insulin-sensitizing activity of target compound A is in between that of rosiglitazone and pioglitazone, and activity of target compound B is slightly less than that of pioglitazone.
- OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L17 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of cyclic alkenyl compounds as PPAR δ activators for treating various disease including diabetes and obesity
- AN 2007:705845 CAPLUS
- DN 147:118032
- TI Preparation of cyclic alkenyl compounds as PPAR δ activators for treating various disease including diabetes and obesity
- IN Sauerberg, Per; Pihera, Pavel; Polivka, Zdenek; Havranek, Miroslav;
 Pettersson, Ingrid; Mogensen, John Patrick
- PA Novo Nordisk A/S, Den.
- SO PCT Int. Appl., 216 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

| T. TJIA . | CIAI | т. | | | | | | | | | | | | | | | | | |
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| | | | | | | | | | | | | | | | | | | | |
| ΡI | WO 2007071766
WO 2007071766 | | | A2 20070628
A3 20070913 | | 20070628 | | | WO 2006-EP70096 | | | | | 20061221 | | | | | |
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| | | | GE, | GH, | GM, | GΤ, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KM, | KN, | |
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                                      WO 2006-US70096
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KR 2008078707
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A 20060619
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                                      WO 2006-EP70096
                                                         W 20061221
US 20090093484
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                          20090409
                                      US 2008-97564
                                                            20081023
                                      EP 2005-112758
                                                         A 20051222
                                      EP 2006-115631
                                                         A 20060619
                                      WO 2006-EP70096
                                                        W 20061221
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 147:118032; MARPAT 147:118032

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel compds. of the general formula I (wherein the "large X" is a double bond, X1 is heterocyclyl, aryl, heteroaryl, etc.; X2 is (un)substituted arylene or heteroarylene; X3 is (un)substituted aryl or heteroaryl; Ar is (un)substituted arylene; Y1 is O or S; and Y2 is O, S or CH2; and Z is $-(\text{CH2})\,\text{n-}$ wherein n = 1-3; and R1 = H, halo, etc.) the use of these compds. as pharmaceuticals, pharmaceutical compns. comprising the compds. and methods of treatment employing these compds. and compns. are claimed. The present compds. are activators of PPAR δ and should be useful for treating conditions mediated by the same, such as diabetes, impaired glucose tolerance, insulin resistance, cardiovascular disease, etc.; no

biol. data is given in the patent. Example compound II was prepared by reacting Me (Z)-[4-[3-(4-iodopheny1)-3-(4-trifluoromethylpheny1)allyloxy]-2-methylphenoxy]acetate with 2-ethynylpyridine and converting the ester obtained to the acid.

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L17 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
    Preparation of bis-phenylethynyl-phenyl-allylsulfanyl-phenoxy acetic acids
    as agonists of PPAR
ΑN
    2005:1193221 CAPLUS
    143:459867
DN
    Preparation of bis-phenylethynyl-phenyl-allylsulfanyl-phenoxy acetic acids
ΤI
    as agonists of PPAR
ΙN
    Polivka, Zdenek; Sindelar, Karel; Sauerberg, Per; Pettersson, Ingrid
PA
    Novo Nordisk A/S, Den.
    PCT Int. Appl., 103 pp.
SO
    CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 1
                     KIND
                                      APPLICATION NO.
    PATENT NO.
                             DATE
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    WO 2005105735
                      A1 20051110
                                      WO 2005-EP52012
                                                            20050503
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           ZM, ZW
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           AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
           EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
           RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
           MR, NE, SN, TD, TG
                                        DK 2004-717
                                                         A 20040505
                             20070321
                                       EP 2005-742988
    EP 1763511
                       Α1
                                                             20050503
        R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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                                        DK 2004-717
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                                        WO 2005-EP52012
                                                         W 20050503
    JP 2007536341
                       Τ
                             20071213
                                        JP 2007-512187
                                                             20050503
                                        DK 2004-717
                                                         A 20040505
                                        WO 2005-EP52012 W 20050503
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

CASREACT 143:459867; MARPAT 143:459867

OS

GΙ

AB Title compds. I [X1 = (un)substituted aryl, heteroaryl, cycloalkyl, etc.; X2 and X4 independently = (un)substituted arylene or heteroarylene; X3 = (un)substituted aryl, heteroaryl, alkyl, etc.; Ar = (un)substituted arylene; Y1 and Y2 independently = O or S; Z = (CH2)n; n = 1-3; R1 = H, halo, (un)substituted alkyl, etc.; R2 = H, alkenyl, alkynyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as agonists of PPAR. Thus, e.g., II was prepared by coupling of 4,4'-(phenyl-ethynyl)benzophenone with tri-Et phosphonoacetate followed by reduction/bromination sequence to give 3,3-bis[4-(phenylethinyl)phenyl]allyl bromide (III). Then, III underwent coupling with Et (4-mercapto-2-methylphenoxy)acetate and subsequent hydrolysis yielded acid

II. The activity of I was evaluated using in vitro transactivation assay using human HEK293 cells (no data). I as agonist of PPAR should prove useful in the treatment of diabetes type I, diabetes type II and metabolic syndrome X. Pharmaceutical compns. comprising I are disclosed.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of alkynyl substituted phenoxyacetic acids as PPAR δ agonists
- AN 2005:1193203 CAPLUS
- DN 143:459868
- TI Preparation of alkynyl substituted phenoxyacetic acids as PPAR $\!\delta\!$ agonists
- IN Havranek, Miroslav; Sauerberg, Per; Pettersson, Ingrid
- PA Novo Nordisk A/S, Den.
- SO PCT Int. Appl., 83 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

| | PATENT NO. | | | | | KIND DATE | | | | APPLICATION NO. | | | | | | DATE | | | |
|----|------------|------------|------|-----|-----|-----------|-----|------|-----------------|-----------------|------------|---------|------|-----|----------|------|------|-----------------|--|
| ΡI | WO | 2005105725 | | | | | | | WO 2005-EP52010 | | | | | | 20050503 | | | | |
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| | | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | KM, | ΚP, | KR, | KΖ, | |
| | | | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MΖ, | NA, | |
| | | | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | |
| | | | SM, | SY, | ΤJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | |
| | | | ZM, | ZW | | | | | | | | | | | | | | | |
| | | RW: | BW, | GH, | GM, | ΚE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
| | | | ΑZ, | BY, | KG, | KΖ, | MD, | RU, | ТJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
| | | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | IS, | ΙΤ, | LT, | LU, | MC, | NL, | PL, | PT, | |
| | | | RO, | SE, | SI, | SK, | TR, | BF, | ΒJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML , | |
| | | | MR, | NE, | SN, | TD, | ΤG | | | | | | | | | | | | |
| | | | | | | | | | DK 2004-716 | | | | | | | | | | |
| | EP | 1745 | | | | | | 2007 | | | | | | | | | 0050 | | |
| | | R: | | | | | | CZ, | | | | | | | | | HU, | ΙE, | |
| | | | IS, | ΙΤ, | LI, | LT, | LU, | MC, | ΝL, | | | | | | | | | | |
| | | | | | | | | | | DK 2004-716 | | | | | | | | | |
| | | | | | | | | | | | | 005- | | | | | | | |
| | JP | 2007 | 5363 | 40 | | Τ | | 2007 | 1213 | | | 007- | | | | | 0050 | | |
| | | | | | | | | | | | | 004- | | | | | 0040 | | |
| | | | | | | | | | | | WO 2 | 005- | EP52 | 010 | Ī | W 2 | 0050 | 503 | |
| | US | 2008 | 0114 | 036 | | A1 | | 2008 | 0515 | | US 2 | 007- | 5793 | 03 | | _ 2 | 0071 | 010 | |
| | | | | | | | | | | | K 2004-716 | | | | | | | | |
| | | | | | | | | | | | WO 2 | 005 - 1 | EP52 | 010 | 1 | ₩ 2 | 0050 | 503 | |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 143:459868; MARPAT 143:459868

GΙ

$$X^{1}$$
 C
 C
 X^{3}
 X^{2}
 Y^{1}
 Ar
 Y^{2}
 Z
 O
 R^{2}
 I

AB The title compds. I [X1 = aryl, heteroaryl, alkyl, etc.; X2 = aryl, heteroaryl, H, etc.; X3 = arylene, heteroarylene; Ar = arylene; Y1 = 0, S; Y2 = 0, S; Z = (CH2)n; n = 1-3; R1 = H, halo, alkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.] which are useful in the treatment and/or prevention of conditions mediated by Peroxisome Proliferator-Activated Receptors (PPAR), in particular the PPAR δ subtype, namely, type 1 diabetes, type 2 diabetes, dyslipidemia, syndrome X (including the metabolic syndrome, i.e. impaired glucose tolerance, insulin resistance, hypertriglyceridemia and/or obesity), cardiovascular diseases (including atherosclerosis) and hypercholesterolemia (no data), were prepared and formulated. E.g., a multi-step synthesis of II, starting from 4-bromobenzaldehyde, was given.

ΙI

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN

TI Preparation of phenoxyalkanoates as PPAR- α and PPAR- γ agonists and inhibitors of HMG CoA reductase

AN 2005:395261 CAPLUS

DN 142:446999

TI Preparation of phenoxyalkanoates as PPAR- α and PPAR- γ agonists and inhibitors of HMG CoA reductase

IN Gurram, Ranga Madhavan; Bhuniya, Debnath; Das, Saibal Kumar; Chakrabarti, Ranjan; Iqbal, Javed; Sharma, Sudhir Kumar

PA Reddy's Laboratories Ltd., India

SO PCT Int. Appl., 115 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

-----PI WO 2005040104 A1 20050506 WO 2004-IB208 20040129

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

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        NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
        TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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        TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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US 20070043035
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                                      WO 2004-IB208
                                                         W 20040129
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 142:446999; MARPAT 142:446999

$$R^{1}$$

$$R^{4}$$

$$X-Ar$$

$$p$$

$$R^{5}$$

$$R^{6}$$

$$I$$

Title compds. I [Ar = (un)substituted single or fused-aryl, -heteroaryl, AB -heterocycle; R1 and R2 independently = H, halo, nitro, etc.; R3 and R4 independently = H, (un)substituted-alkyl, -cycloalkyl, etc.; X = O, S, NR; R = H, (un)substituted-aryl, -alkanoyl, etc.; Z = O, S, NR; R5, R6, and R7 independently = H, OH, (un) substituted alkoxy, etc.; R5 and R6 together = 5- or 6-membered ring containing one or two hetero atoms selected from O, S, or N; Y = O, NR11; R11 = H, (un)substituted-heteroaryl, -aroyl, etc.; R7 and R11 together = 5- or 6-membered ring containing one or two hetero atoms selected from O, S, or N] and their pharmaceutically acceptable salts are prepared and disclosed as useful agonists of PPAR-lpha and PPAR- γ and inhibitors or HMG CoA reductase. Thus, e.g., II was prepared by Wittig-Horner reaction of 4-acetyl biphenyl with tri-Et phosphonoacetate followed by reduction and Mitsunobu reaction with Et 2-(4-hydroxyphenoxy)-2-methylpropanoate. The activity of I was evaluated in vivo utilizing hypercholesterolemic rat models and it was revealed that a selected compound of the invention displayed a cholesterol lowering effect of 60%, a triglyceride lowering effect of 52%, as well as an increase in HDL of 70%. I as agonists of PPAR- α and PPAR- γ should prove useful in the treatment of diseases such as diabetes and dyslipidemia. Pharmaceutical compns. comprising I are disclosed.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L17 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2010 ACS on STN
- TI Preparation of [[(diarylallyl)sulfanyl]phenoxy]acetic acids and esters as PPAR activators for treatment of diabetes and related conditions
- AN 2004:370892 CAPLUS
- DN 140:374984
- TI Preparation of [[(diarylallyl)sulfanyl]phenoxy]acetic acids and esters as PPAR activators for treatment of diabetes and related conditions
- IN Jeppesen, Lone; Mogensen, John Patrick; Pettersson, Ingrid; Sauerberg,
 Per; Pihera, Pavel; Havranek, Miroslav
- PA Novo Nordisk A/S, Den.
- SO PCT Int. Appl., 124 pp. CODEN: PIXXD2

| FAN. | | 1
FENT 1 | NO. | | | KIND | | DATE | | | APPL | | DATE | | | | | |
|------|----|----------------|-------------------------------|-------------------|--------------------------|--------------------------|--------------------------|--------------------------|--------------------------|--------------------------|---|---|----------------------------------|--------------------------|--------------------------|--------------------------|--------------------------------------|--------------------------|
| PI | | 20040 | | | | A2
A3 | | 2004
2004 | | | WO 2 | 003- |
DK72 | 2 | | 2 | 0031 | 027 |
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LR, LS, | | CU,
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LT,
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HU,
LU,
PL, | DE,
ID,
LV,
PT, | DK,
IL, | DM,
IN,
MD,
RU, | DZ,
IS,
MG,
SC, | EC,
JP,
MK,
SD, | EE,
KE,
MN,
SE, | EG,
KG,
MW,
SG, | ES,
KP,
MX,
SK, | FI,
KR,
MZ, | GB,
KZ,
NI, | GD,
LC,
NO, | GE,
LK,
NZ, |
| | | RW: | GH,
KG,
FI, | GM,
KZ,
FR, | KE,
MD,
GB, | LS,
RU,
GR, | MW,
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HU, | MZ,
TM,
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CM, | SD,
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 140:374984

$$X^1$$
 X^2
 Y^1
 Ar
 Y^2
 Z
 O
 R^2
 I

Br

S

Me

O
O
O
II

AB Title compds. I [wherein X1 and X2 = independently (un)substituted (hetero)aryl; Ar = (un)substituted arylene; Y1 and Y2 = independently O or S; Z = (CH2)n; n = 1-3; R1 = H, halo, or optionally halo-substituted (cyclo)alkyl, alkenyl, alkynyl, (hetero)aralkyl, (cyclo)alkoxy, aryloxy, (hetero)aralkoxy, (cyclo)alkylthio, or arylthio; R2 = H, (cyclo)alkyl, alkenyl, alkynyl, alkenynyl, or aryl; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, mixts. of stereoisomers, or polymorphs thereof] were prepared as peroxisome proliferator activated receptors (PPAR) activators (no data). Thus, I and their pharmaceutical compns. are useful for the treatment and/or prevention of conditions $% \left(1\right) =\left(1\right) \left(1\right) +\left(1\right) \left(1\right) \left(1\right) +\left(1\right) \left(1\right) \left($ mediated by PPAR, particularly subtype PPAR δ , such as diabetes, impaired glucose tolerance, insulin resistance, obesity, dyslipidemia, syndrome X, cardiovascular disease, and hypercholesteremia (no data). For example, coupling of 4,4'-dibromobenzophenone with tri-Et phosphonoacetate in toluene and THF using NaH provided Et 3,3-bis(4-bromophenyl)acrylate (73%). Reduction of the ester to the alc. (76%) using DIBAL-H in THF and toluene, followed by reaction with (4-mercapto-2-methylphenoxy)acetic acid Me ester in the presence of ADDP and tributylphosphine in THF gave II (88%).

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS) RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

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- Preparation of [[[bis(biphenylyl)allyl]oxy]phenoxy]acetic acids and ΤI analogs as PPAR δ agonists for treatment of diabetes and related conditions
- ΙN Jeppesen, Lone; Mogensen, John Patrick; Pettersson, Ingrid; Sauerberg, Per
- PΑ Novo Nordisk A/s, Den.
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 140:270625

AB Title vinyl carboxylic acid derivs. I [wherein X1 and X3 = independently (un) substituted (hetero) aryl; X2 and X4 = independently (un) substituted (hetero)arylene; Ar = (un)substituted arylene; Y1 and Y2 = independently O or S; Z = (CH2)n; n = 1-3; R1 = H, halo, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (hetero)aralkyl, (cyclo)alkoxy, aryloxy, (hetero)aralkoxy, (cyclo)alkylthio, arylthio; R2 = H, (cyclo)alkyl, alkenyl, alkynyl, or aryl; or pharmaceutically acceptable salts, solvates, tautomers, stereoisomers, or polymorphs thereof] were prepared as peroxisome proliferator-activated receptor δ (PPAR δ) agonists (no data). For example, 4,4'-dibromobenzophenone was coupled with tri-Et phosphonoacetate in the presence of NaH in toluene to give Et 3,3-bis(4-bromophenyl)acrylate (73%). Reduction using DIBAL-H in THF (76%), followed by ADDP-catalyzed condensation with (4-mercapto-2-methylphenoxy)acetic acid Me ester in THF (88%) afforded [4-[3,3-bis(4-bromophenyl)allylsulfanyl]-2-methylphenoxy] acetic acid Me ester. Saponification (93%) and substitution with phenylboronic acid using KF, Pd2(dba)3, and Pd[P(t-Bu)3]2 in THF (53%) provided II. Also disclosed is the use of I and their pharmaceutical compns. for the treatment of $\ensuremath{\mathsf{PPAR}\delta}\xspace$ -mediated conditions, such as diabetes, impaired glucose tolerance, insulin resistance, or obesity (no data).

- THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS) OSC.G 6 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 7 ALL CITATIONS AVAILABLE IN THE RE FORMAT
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- 2003:319859 CAPLUS ΑN
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- Long-chain, unsaturated, aromatic dicarboxylic acid derivatives, their ΤI preparation, and therapeutic use for treatment of conditions mediated by peroxisome proliferator-activated receptors (PPAR).
- Sauerberg, Per; Bury, Paul Stanley; Jeppesen, Lone; Mogensen, John Patrick ΙN
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 138:337836

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$$\begin{array}{c} O \\ \longrightarrow \\ D-O \end{array} \\ \begin{array}{c} A-X-L-T-Z-U-M-Y-B \\ \longrightarrow \\ O-E \end{array} \quad I \\ \end{array}$$

A novel class of dicarboxylic acid derivs., I, is disclosed [wherein: A = AΒ (un)substituted C1-3 alkylene, or A'O or A'S where A' is (un)substituted C1-3 alkylene; B = (un)substituted C1-3 alkylene, or OB' or SB' where B' is (un)substituted C1-3 alkylene; D, E = H, C1-6 alkyl, C3-6 cycloalkyl; L, M = O or S; T, U = C3-9 divalent, (un)substituted, unsatd. carbon chain; X, Y = (un) substituted arylene or heteroarylene; Z = (un) substituted arylene, heteroarylene, or divalent polycyclic ring system]. Also disclosed is the use of I in pharmaceutical compns., pharmaceutical compns. comprising I, and methods of treatment employing I and the compns. The present compds. may be useful (no data) in the treatment and/or prevention of conditions mediated by peroxisome proliferator-activated receptors (PPAR). For example, 1,4-diiodobenzene was coupled with excess 2-penten-4-yn-1-ol in (iso-Pr)2NH in the presence of CuI and Pd(PPh3)4 at 60°, to give 55% (E,E)-5-[4-(5-hydroxypent-3-en-1-ynyl)phenyl]pent-2-en-4-yn-1-ol.Mitsunobu reaction of this diol with (S)-2-ethoxy-3-(4-hydroxyphenyl)propionic acid Et ester using azodicarboxylic acid dipiperidide and PBu3 in THF gave 27% invention compound II. A total of 29 synthetic examples illustrate a variety of I, mostly sym. diacids and diesters, and mostly stereoisomeric, with all stereoisomers having (E) and (S) stereochem. at double bonds and chiral centers. Claims list a wide variety of sym. and asym. I, all named without stereochem. Claimed applications include treatment of type I and II diabetes, dyslipidemia, syndrome X and its conditions, cardiovascular diseases including atherosclerosis, and hypercholesterolemia.

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
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COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
66.39
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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SESSION WILL BE HELD FOR 120 MINUTES

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